```
=> fil reg; d stat que l23
FILE 'REGISTRY' ENTERED AT 12:34:43 ON 30 APR 2002
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STRUCTURE FILE UPDATES:   29 APR 2002  HIGHEST RN 409058-68-0
DICTIONARY FILE UPDATES:  29 APR 2002  HIGHEST RN 409058-68-0

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Calculated physical property data is now available.  See HELP PROPERTIES
for more information.  See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf


L21             STR
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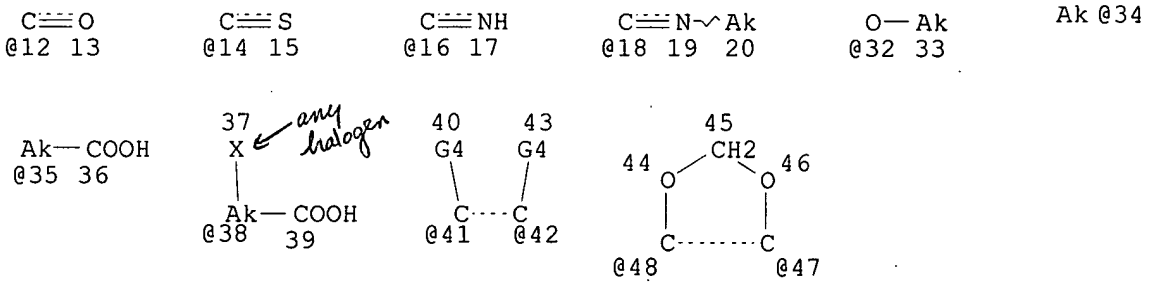
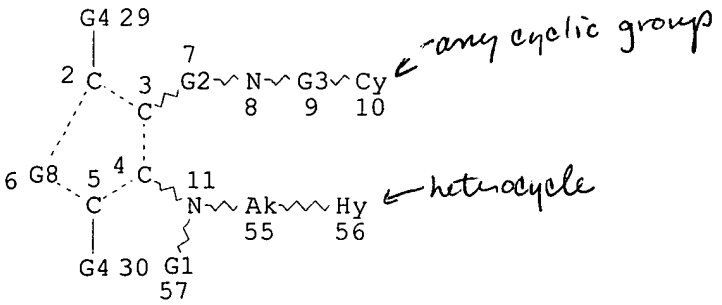


Page 1-A

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Page 2-A
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VAR G2=12/14/CH2/16/18
REP G3=(0-9) C
VAR G4=H/X/32/34/35/38
VAR G8=41-5 42-2/48-5 47-2
NODE ATTRIBUTES:
CONNECT IS E1  RC AT  33
CONNECT IS E1  RC AT  34
CONNECT IS E2  RC AT  35
CONNECT IS E2  RC AT  55
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
ECOUNT  IS M1 N  AT  56 — heterocycle at 56 has at least 1 nitrogen
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 41

STEREO ATTRIBUTES: NONE
L23 390 SEA FILE=REGISTRY SSS FUL L21

100.0% PROCESSED 139982 ITERATIONS 390 ANSWERS
SEARCH TIME: 00.00.11

=> fil capl; d que nos l24; fil uspatf; d que nos l26
FILE 'CAPLUS' ENTERED AT 12:34:52 ON 30 APR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 30 Apr 2002 VOL 136 ISS 18
FILE LAST UPDATED: 28 Apr 2002 (20020428/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

L21 STR
L23 390 SEA FILE=REGISTRY SSS FUL L21
L24 24 SEA FILE=CAPLUS ABB=ON L23

FILE 'USPATFULL' ENTERED AT 12:34:52 ON 30 APR 2002

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 25 Apr 2002 (20020425/PD)
FILE LAST UPDATED: 25 Apr 2002 (20020425/ED)
HIGHEST GRANTED PATENT NUMBER: US6378132
HIGHEST APPLICATION PUBLICATION NUMBER: US2002049999
CA INDEXING IS CURRENT THROUGH 25 Apr 2002 (20020425/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 25 Apr 2002 (20020425/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2002
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2002

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<

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>>> publications, starting in 2001, for the inventions covered in     <<<
>>> USPATFULL.  A USPATFULL record contains not only the original     <<<
>>> published document but also a list of any subsequent              <<<
>>> publications.  The publication number, patent kind code, and      <<<
>>> publication date for all the US publications for an invention     <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL   <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc.                                                         <<<

>>> USPATFULL and USPAT2 can be accessed and searched together        <<<
>>> through the new cluster USPATALL.  Type FILE USPATALL to          <<<
>>> enter this cluster.                                               <<<
>>>                                                                  <<<
>>> Use USPATALL when searching terms such as patent assignees,       <<<
>>> classifications, or claims, that may potentially change from      <<<
>>> the earliest to the latest publication.                           <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.


L21             STR
L23         390 SEA FILE=REGISTRY SSS FUL L21
L26           8 SEA FILE=USPATFULL ABB=ON  L23


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FILE 'CAPLUS' ENTERED AT 12:34:58 ON 30 APR 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE 'USPATFULL' ENTERED AT 12:34:58 ON 30 APR 2002
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L24
PROCESSING COMPLETED FOR L26
L28           30 DUP REM L24 L26 (2 DUPLICATES REMOVED)
                 ANSWERS '1-24' FROM FILE CAPLUS
                 ANSWERS '25-30' FROM FILE USPATFULL

=> d ibib abs hitstr l28 1-30; fil cao; d que nos l27

L28  ANSWER 1 OF 30  CAPLUS  COPYRIGHT 2002 ACS        DUPLICATE 1
ACCESSION NUMBER:         1980:586402  CAPLUS
DOCUMENT NUMBER:          93:186402
TITLE:                    1-Heterocyclic alkyl-1,2,3,4-tetrahydroquinazolinones
                          and analgesic intermediates
INVENTOR(S):              Shetty, Bola V.
PATENT ASSIGNEE(S):       Pennwalt Corp., USA
SOURCE:                   U.S., 27 pp. Cont.-in-part of U.S. Ser. No. 452,587,
                          abandoned.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   6
PATENT INFORMATION:

     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
     ---------------   ----  --------       ---------------  --------
     US 4205173         A    19800527       US 1976-716930   19760823
     US 3635976         A    19720118       US 1967-691955   19671220
PRIORITY APPLN. INFO.:                   US 1967-691955      19671220
                                         US 1971-108659      19710121
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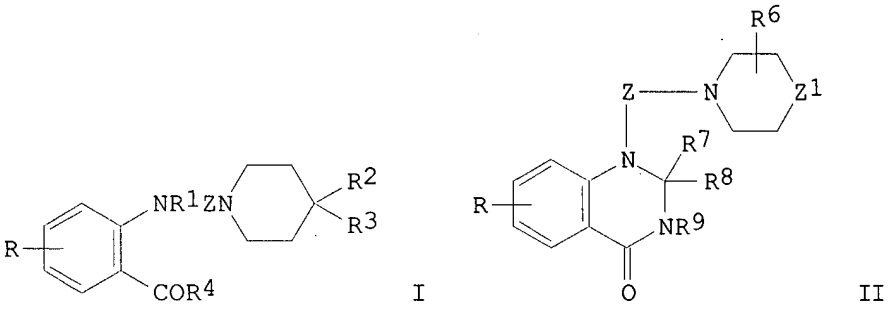
US 1974-452587 19740319

GI



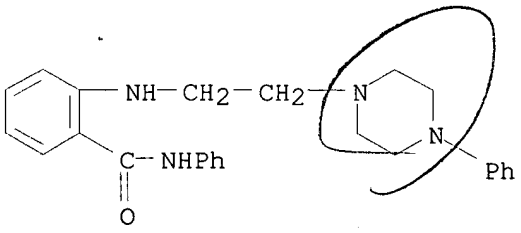
I II

AB The N-alkylation of anthranilic acid derivs. by N-(haloalkyl)piperidines gave diamines I [Z = (CH2)n (n = 1-5), banched alkylene; R = H, alkyl, OH, alkoxy, halo, NH2, NHCHO; R1 = H, alkyl, alkanoyl, PhCO, PhCH2, R5C6H4CH2 (R5 = NH2OH, OH, OMe, Cl); R2 = Ph; R3 = OH, alkanoyloxy; R4 = NH2, alkylamino, dialkylamino]; I (R4 = NH2), and N2-piperazinoalkyl, -morpholinoalkyl, and -thiomorpholinoalkyl analogs of I (R4 = NH2) reacted with carbonyl compds. to give the resp. quinazolinones II [Z1 = NH, NPh, CH2, CHPh, C(OR10)Ph (R10 = H, alkanoyl), O, S; R6 = H, alkyl; R7 and R8 each is H, alkyl, heteroaryl, (un)substituted aryl, (un)substituted aralkyl, or CR7R8 = carbocyclic or heterocyclic ring; R9 = H, alkyl, aralkyl, (un)substituted aryl], which exhibited analgesic activity, and diarrhea inhibition and tranquilizer activity were also obsd. A mixt. of 2-[2-(4-phenyl-1-piperazinyl)ethylamino]benzamide, PhCHO, piperidine, and EtOH was refluxed to give II (Z = CH2CH2, Z1 = NPh, R7 = Ph, R = R6 = R8 = R9 = H).

IT **65883-80-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and analgesic activity of)

RN 65883-80-9 CAPLUS

CN Benzamide, N-phenyl-2-[[2-(4-phenyl-1-piperazinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



L28 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2002 ACS DUPLICATE 2

ACCESSION NUMBER: 1978:136671 CAPLUS
DOCUMENT NUMBER: 88:136671
TITLE: 1-Heterocyclic alkyl-1,2,3,4-tetrahydroquinazolinones and analgesic intermediates
INVENTOR(S): Shetty, Bola Vithal
PATENT ASSIGNEE(S): Pennwalt Corp., USA
SOURCE: U.S., 27 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent

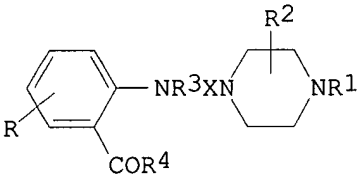
Searched by Barb O'Bryen, STIC 308-4291

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

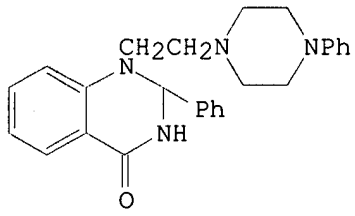
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4060526	A	19771129	US 1976-716925	19760823
US 3635976	A	19720118	US 1967-691955	19671220

PRIORITY APPLN. INFO.: US 1967-691955 19671220
US 1971-108659 19710121
US 1974-452587 19740319

GI



I



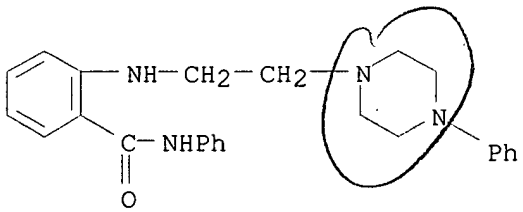
III

AB The analgesic piperazines I (R = H, C1-4 alkyl, HO, C1-4 alkoxy, halo, NH2, NHCOMe, NHCHO; R1 = C1-4 alkyl, Ph, substituted Ph, phenalkyl, R2 = H, C1-4 alkyl, R3 = H, C14 alkyl; R3 = H, C1-4 alkyl, C1-4 alkanoyl, Ph, phenalkyl, substituted Ph, substituted phenalkyl; R4 = piperidyl, pyrrolidyl, NH2, C1-4 alkylamino, C1-4 dialkylamino; X = (CH2)n n = 1-5, C3-5 branched alkylene), intermediates in the prepn. of tetrahydroquinazolinones, were prepd. Thus, treating 1-phenylpiperazine with ethylene oxide gave 1-phenyl-4-(2-hydroxyethyl)piperazine which was chlorinated and then treated with o-H2NC6H4CONH2 to give I (R = R2 = R3 = H, R1 = Ph, R4 = NH2, X = CH2CH2)(II); cyclizing II with PhCHO gave quinazolinone III. The analgesic ED50 of III (p.o.) in the hot plate test was 20 mg/kg. The narcotic antagonist, local anesthetic, tranquilizer, hypothermic, anticonvulsant and gastrointestinal motility suppression activities were also detd. for I.

IT **65883-80-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., analgesic, local anesthetic, and narcotic antagonism activity of)

RN 65883-80-9 CAPLUS

CN Benzamide, N-phenyl-2-[[2-(4-phenyl-1-piperazinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



L28 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2002:171866 CAPLUS
DOCUMENT NUMBER: 136:232313
TITLE: Preparation of pyrimidine derivatives as G protein-coupled receptor kinase (GRK) inhibitors
INVENTOR(S): Fukumoto, Shoji; Watanabe, Toshifumi; Ikeda, Shota

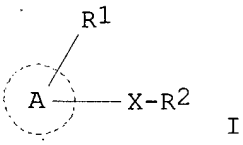
Searched by Barb O'Bryen, STIC 308-4291

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018350	A1	20020307	WO 2001-JP7397	20010829

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-264499 A 20000829
OTHER SOURCE(S): MARPAT 136:232313
GI

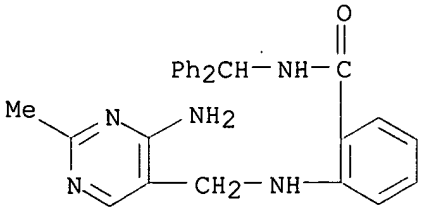


I

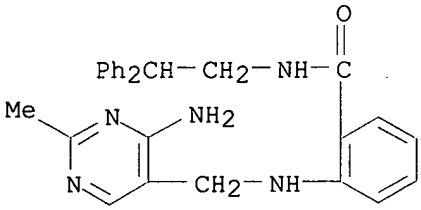
AB Disclosed are novel GRK inhibitors which contains compds. represented by the formula (I), a salt thereof, or a prodrug comprising either of these (wherein ring A represents optionally further substituted nitrogen-contg. heterocycle; R1 and R2 each represents optionally substituted amino; and X represents a spacer comprising a linear part constituted of one to four atoms, provided that R1 may be bonded to R2 or/and X to form a ring). They are useful as preventives/remedies for cardiac failure. Thus, 5.48 g K2CO3 and 7.52 g 2-aminophenyl 2-nitrophenyl sulfide were added to a suspension of 5.61 g 4-amino-5-bromomethyl-2-methylpyrimidine hydrobromide in 40 mL acetone at room temp. and stirred at 65.degree. for 64 h to give 2.36 g N-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-N-[2-[(2-nitrophenyl)thio]phenyl]amine (II). All 10 compds. tested including II at 30 .mu.M inhibited 30% human GRK2 expressed by human GRK2 gene in COS-7 cells. A capsule and a tablet formulation contg. II were also prepd.

IT **403515-67-3P 403515-68-4P 403515-69-5P 403515-71-9P 403515-72-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrimidine derivs. as G protein-coupled receptor kinase (GRK) inhibitors for prevention and/or treatment for cardiac failure)

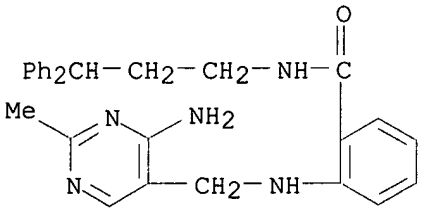
RN 403515-67-3 CAPLUS
CN Benzamide, 2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino]-N-(diphenylmethyl)- (9CI) (CA INDEX NAME)



RN 403515-68-4 CAPLUS
CN Benzamide, 2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino]-N-(2,2-diphenylethyl)- (9CI) (CA INDEX NAME)

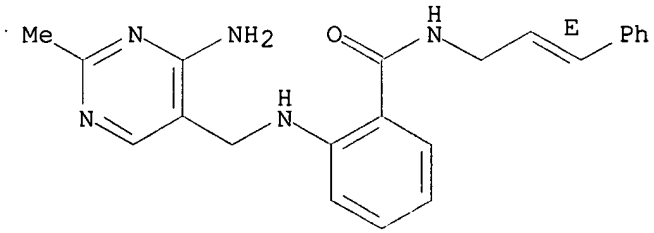


RN 403515-69-5 CAPLUS
CN Benzamide, 2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino]-N-(3,3-diphenylpropyl)- (9CI) (CA INDEX NAME)

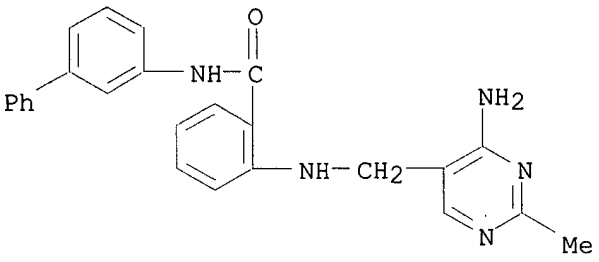


RN 403515-71-9 CAPLUS
CN Benzamide, 2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino]-N-[(2E)-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 403515-72-0 CAPLUS
CN Benzamide, 2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]amino]-N-[1,1'-biphenyl]-3-yl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~AN~~ 8 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:833307 CAPLUS
DOCUMENT NUMBER: 136:53680
TITLE: Preparation of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT.
INVENTOR(S): Krueger, Martin; Huth, Andreas; Petrov, Orlin; Seidelmann, Dieter; Thierauch, Karl-Heinz; Haberey, Martin; Menrad, Andreas; Ernst, Alexander
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

applicants.

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085719	A1	20011115	WO 2001-EP5214	20010508
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10023486	C1	20020314	DE 2000-10023486	20000509

PRIORITY APPLN. INFO.: DE 2000-10023486 A 20000509
OTHER SOURCE(S): MARPAT 136:53680
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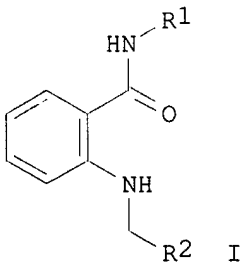

I

AB Title compds. [I; R1 = (substituted) oxobenzopyranyl, quinolinyl, Ph,

isoquinolinyl, benzimidazolyl, etc.; R2 = pyridyl, 2-oxopyridyl, 2-hydroxypyridyl; R3 = H, F], were prepd. Thus, N-(2-oxo-2H-1-benzopyran-3-yl)-2-aminobenzamide (prepn. given) was stirred with 4-pyridinecarboxaldehyde in AcOH/MeOH; NaBH3CN was added to give N-(2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridyl)methyl]aminobenzamide. The latter inhibited KDR with IC50 = 0.003 .mu.M.

IT **381694-53-7P 381694-55-9P 381694-58-2P**
381694-61-7P 381694-64-0P 381694-67-3P
381694-70-8P 381694-73-1P 381694-76-4P
381694-79-7P 381694-82-2P 381694-85-5P
381694-88-8P 381694-91-3P 381694-94-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of anthranilic acid arylamides as inhibitors of tyrosine kinase KDR and FLT)

RN 381694-53-7 CAPLUS
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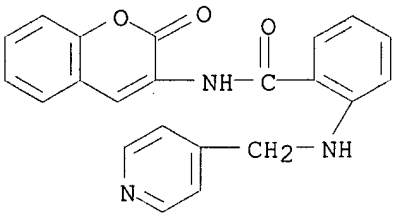

RN 381694-55-9 CAPLUS
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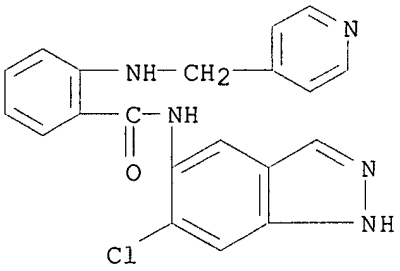

RN 381694-58-2 CAPLUS
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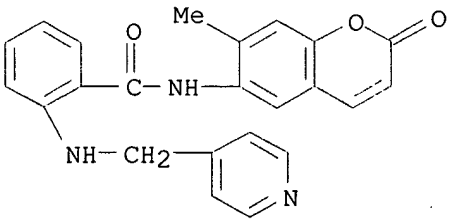
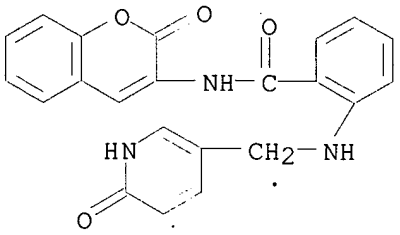
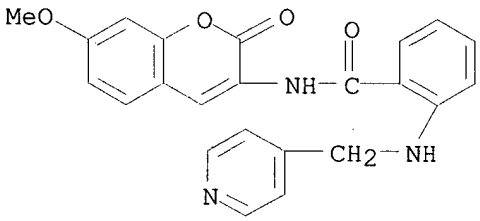


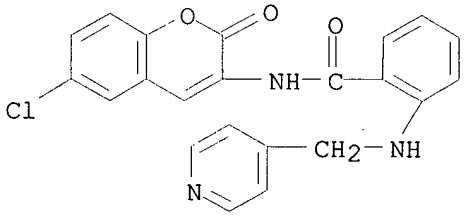
RN 381694-61-7 CAPLUS
CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-(2-oxo-2H-1-benzopyran-3-yl)- (9CI) (CA INDEX NAME)



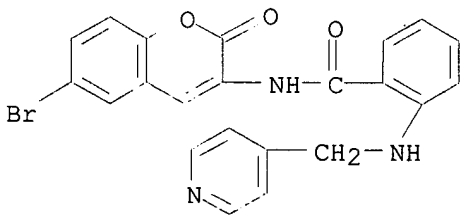
RN 381694-64-0 CAPLUS
CN Benzamide, N-(7-methoxy-2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



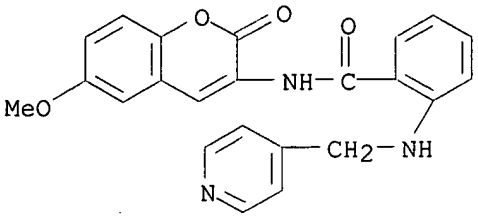
RN 381694-67-3 CAPLUS
CN Benzamide, N-(6-chloro-2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



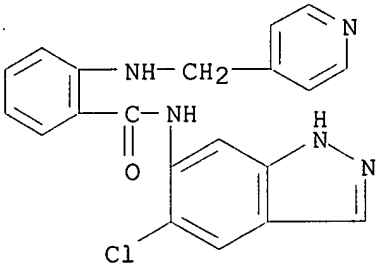
RN 381694-70-8 CAPLUS
CN Benzamide, N-(6-bromo-2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



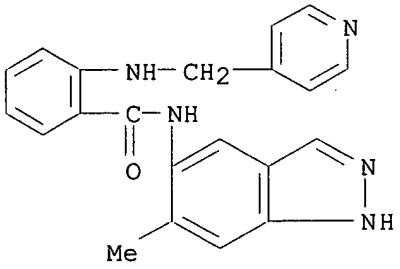
RN 381694-73-1 CAPLUS
CN Benzamide, N-(6-methoxy-2-oxo-2H-1-benzopyran-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



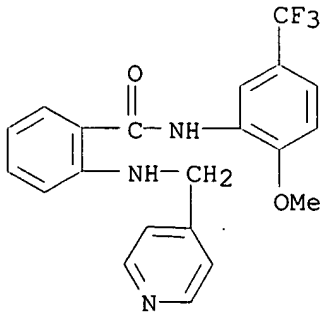
RN 381694-76-4 CAPLUS
CN Benzamide, N-(5-chloro-1H-indazol-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



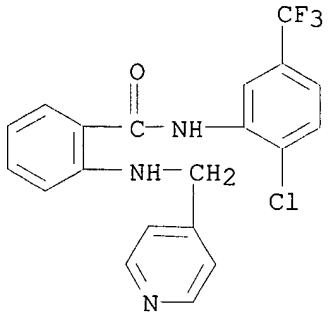
RN 381694-79-7 CAPLUS
CN Benzamide, N-(6-methyl-1H-indazol-5-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



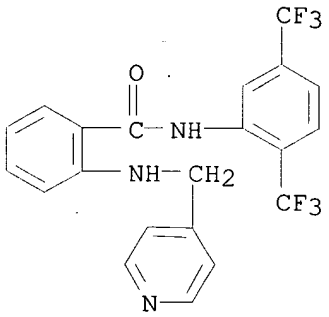
RN 381694-82-2 CAPLUS
CN Benzamide, N-[2-methoxy-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



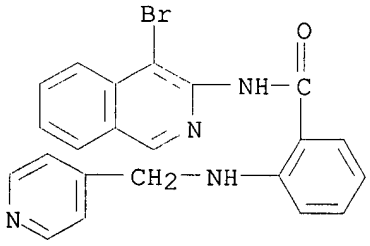
RN 381694-85-5 CAPLUS
CN Benzamide, N-[2-chloro-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 381694-88-8 CAPLUS
CN Benzamide, N-[2,5-bis(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

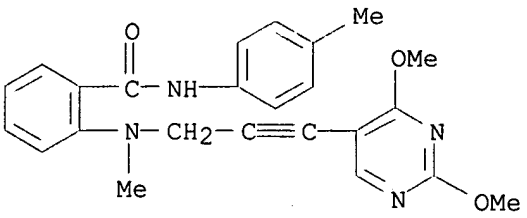


RN 381694-91-3 CAPLUS
CN Benzamide, N-(4-bromo-3-isoquinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



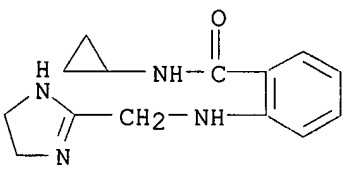
RN 381694-94-6 CAPLUS
CN Benzamide, N-(6-chloro-3-quinolinyl)-2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]- (9CI) (CA INDEX NAME)

RN 350603-03-1 CAPLUS
CN Benzamide, 2-[[3-(2,4-dimethoxy-5-pyrimidinyl)-2-propynyl]methylamino]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:746615 CAPLUS
DOCUMENT NUMBER: 136:144640
TITLE: 2-(Anilinomethyl)imidazolines as .alpha.1-adrenoceptor agonists: the identification of .alpha.1A subtype selective 2'-carboxylic acid esters and amides
AUTHOR(S): Bishop, M. J.; Berman, J.; Bigham, E. C.; Garrison, D. T.; Gobel, M. J.; Hodson, S. J.; Irving, P. E.; Liacos, J. A.; Minick, D. J.; Navas, F.; Saussy, D. L.; Speake, J. D.
CORPORATE SOURCE: GlaxoSmithKline, Research Triangle Park, NC, 27709, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(21), 2871-2874
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 2-(Anilinomethyl)imidazolines with 2'-esters or 2'-amides are potent agonists of the cloned human .alpha.1-adrenoceptors in vitro. The size and shape of the ortho substituent can have significant effects on the potency, efficacy, and subtype selectivity of these 2-(anilinomethyl)imidazolines. .alpha.1A-Subtype selective agonists have been identified.
IT **305811-55-6 393841-76-4 393841-77-5**
RL: PAC (Pharmacological activity); BIOL (Biological study)
((anilinomethyl)imidazolines as .alpha.1-adrenoceptor agonists and identification of .alpha.1A subtype selective carboxylic acid esters and amides)
RN 305811-55-6 CAPLUS
CN Benzamide, N-cyclopropyl-2-[[(4,5-dihydro-1H-imidazol-2-yl)methyl]amino]-(9CI) (CA INDEX NAME)



RN 393841-76-4 CAPLUS
CN Benzamide, N-cyclobutyl-2-[[(4,5-dihydro-1H-imidazol-2-yl)methyl]amino]-(9CI) (CA INDEX NAME)

procedure, e.g. (i) palladium-copper catalyzed C-arylation of terminal alkynes and (ii) copper-catalyzed cyclization of disubstituted alkynes, is described. 2-[Alkyl(2-propynyl)amino]-N-(4-methylphenyl)benzamides reacted with aryl iodides in the presence of (Ph3P)2PdCl2 (2.5 mol%), CuI (5 mol%), Et3N (5 equiv.) in CH3CN at rt for 16 h to yield disubstituted alkynes which could then be cyclized with CuI (20 mol%), K2CO3 (2.5 equiv.), Bu4NBr (1 equiv.) in CH3CN at 80.degree.C for 16-24 h to yield 1-methyl(benzyl)-(E)-2-(2-arylvinyl)-3-p-tolyl-1,2,3,4-tetrahydro-4-quinazolinones in good yields. Said substituted [[(aminocarbonyl)phenyl]amino]alkynes included N-(4-methylphenyl)-2-[methyl(3-aryl-2-propynyl)amino]benzamide and N-(4-methylphenyl)-2-[(phenylmethyl)(3-aryl-2-propynyl)amino]benzamide derivs. Only in a few cases, benzodiazepinones were obtained in poor yield. The synthesis of novel uracil derivs. was also described.

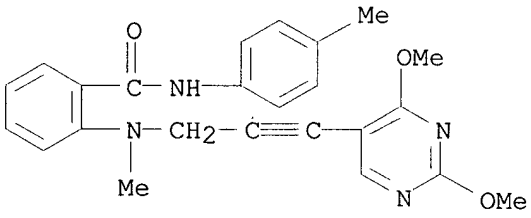
IT **350603-03-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(regioselective, stereoselective prepn. of (E)-2-(2-arylvinyl)quinazolinones via copper-catalyzed heteroannulation of [[(aryl)propynyl]amino]benzamide derivs.)

RN 350603-03-1 CAPLUS

CN Benzamide, 2-[[3-(2,4-dimethoxy-5-pyrimidinyl)-2-propynyl]methylamino]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:246264 CAPLUS

DOCUMENT NUMBER: 135:107296

TITLE: Heteroannulation through copper catalysis: a novel and highly regio- and stereoselective cyclisation of alkynes leading to (E)-2-(2-arylvinyl)quinazolinones

AUTHOR(S): Kundu, N. G.; Chaudhuri, G.

CORPORATE SOURCE: Department of Organic Chemistry, Indian Association for the Cultivation of Science, Calcutta, Jadavpur, 700 032, India

SOURCE: Tetrahedron Letters (2001), 42(15), 2883-2886
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

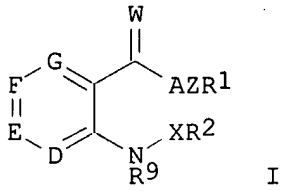
LANGUAGE: English

AB 2-(Alkylprop-2-ynylamino)benzamides reacted with aryl iodides under Pd-Cu catalysis to yield disubstituted alkynes, which underwent a novel cyclization in the presence of CuI, K2CO3, and Bu4NBr in MeCN to yield (E)-1-alkyl-3-aryl-2-(2-arylvinyl)-4-quinazolinones in excellent yields instead of the expected benzodiazepinones.

IT **350603-03-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (arylvinyl)quinazolinones by regio- and stereoselective cyclization of (alkynylamino)benzamides)



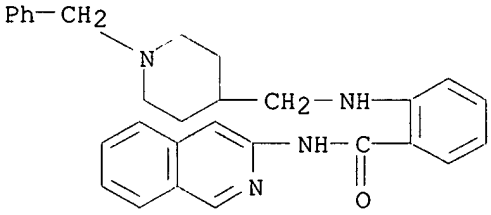
I

AB Title compds. [I; A = NR7; D = N, CR3; E = N, CR4; F = N, CR5; G = N, CR6; W = O, S, H2, NR8; Z = bond, NR10, N, alkyl, etc.; R1 = (substituted) alkyl, alkenylcycloalkyl, cycloalkenyl, aryl, heteroaryl; R2 = alicyclyl, ketoalicyclyl, heterocyclyl; R3-R6 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R7 = H, alkyl; R8, R9, R10 = H, alkyl], were prepd. Thus, 3-aminoisoquinoline in PhMe at 4.degree. was treated with Me3Al in PhMe; Me 2-(4,4-ethylenedioxycyclohexylmethyl)aminobenzoate (prepn. given) was added followed by heating at 120.degree. for 2 h to give 39.3% 2-[4,4-N-(isoquinolinolin-3-yl)-2-(4,4-ethylenedioxy)cyclohexylmethyl]amin obenzamide. This was stirred 3 h with HCl in acetone/H2O to give 2-[4,4-N-(isoquinolin-3-yl)-2-(4-oxocyclohexylmethyl)]aminobenzamide. The latter inhibited VEGFRII (KDR) with IC50 = 0.02 .mu.M.

IT **372143-21-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (heterocyclyl)anthranylamides as inhibitors of vascular endothelial growth factor receptors)

RN 372143-21-0 CAPLUS

CN Benzamide, N-3-isoquinolinyl-2-[[[1-(phenylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



L28 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:538833 CAPLUS

DOCUMENT NUMBER: 135:344437

TITLE: Copper-catalyzed heteroannulation with alkynes: a general and highly regio- and stereoselective method for the synthesis of (E)-2-(2-arylvinyl) quinazolinones

AUTHOR(S): Kundu, N. G.; Chaudhuri, G.

CORPORATE SOURCE: Department of Organic Chemistry, Indian Association for Cultivation of Science, Jadavpur, Calcutta, 700 032, India

SOURCE: Tetrahedron (2001), 57(31), 6833-6842
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

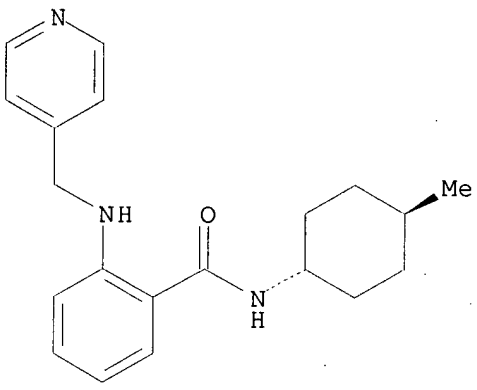
DOCUMENT TYPE: Journal

LANGUAGE: English

AB A highly regio- and stereoselective procedure for the synthesis of 2-substituted-1,2,3,4-tetrahydroquinazolinones through a two-step

(9CI) (CA INDEX NAME)

Relative stereochemistry.

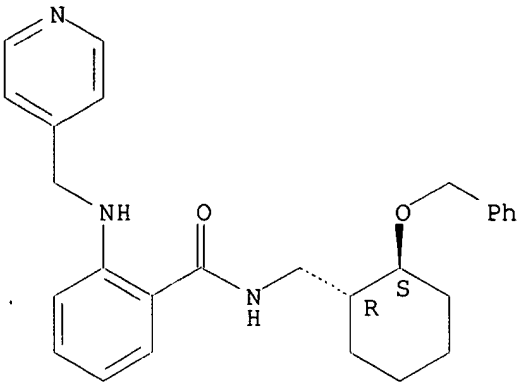


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:833262 CAPLUS
DOCUMENT NUMBER: 135:357772
TITLE: Preparation of (heterocyclyl)anthranylamides as inhibitors of vascular endothelial growth factor receptors.
INVENTOR(S): Krueger, Martin; Huth, Andreas; Petrov, Orlin; Seidelmann, Dieter; Thierauch, Karl-Heinz; Haberey, Martin; Menrad, Andreas; Ernst, Alexander
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

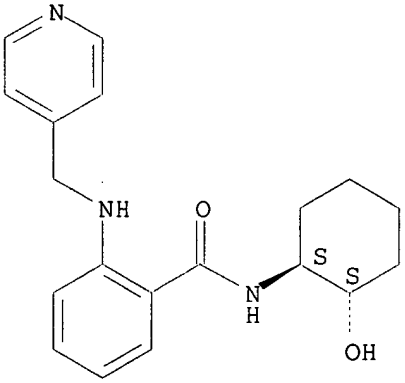
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085671	A2	20011115	WO 2001-EP5168	20010507
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10023484	A1	20011122	DE 2000-10023484	20000509

PRIORITY APPLN. INFO.: DE 2000-10023484 A 20000509
OTHER SOURCE(S): MARPAT 135:357772
GI



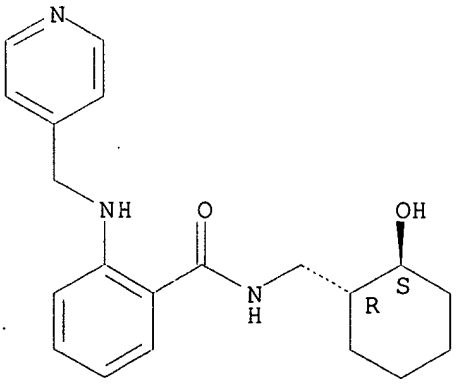
RN 373363-14-5 CAPLUS
CN Benzamide, N-[(1S,2S)-2-hydroxycyclohexyl]-2-[(4-pyridinylmethyl)amino]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



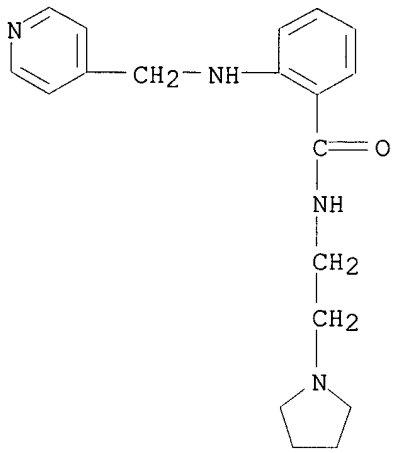
RN 373363-15-6 CAPLUS
CN Benzamide, N-[[(1R,2S)-2-hydroxycyclohexyl]methyl]-2-[(4-
pyridinylmethyl)amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



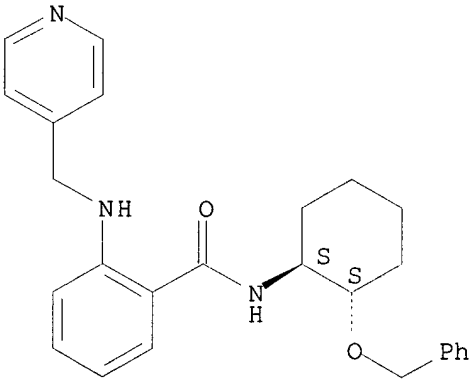
RN 373363-16-7 CAPLUS
CN Benzamide, N-(trans-4-methylcyclohexyl)-2-[(4-pyridinylmethyl)amino]-

RN 373363-11-2 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



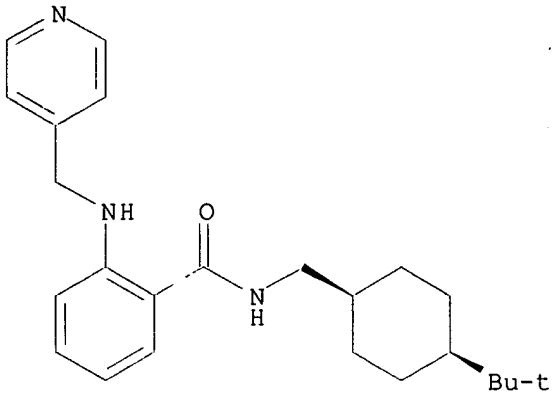
RN 373363-12-3 CAPLUS
CN Benzamide, N-[(1S,2S)-2-(phenylmethoxy)cyclohexyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

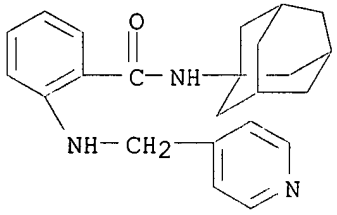


RN 373363-13-4 CAPLUS
CN Benzamide, N-[[(1R,2S)-2-(phenylmethoxy)cyclohexyl]methyl]-2-[(4-pyridinylmethyl)amino]-, rel- (9CI) (CA INDEX NAME)

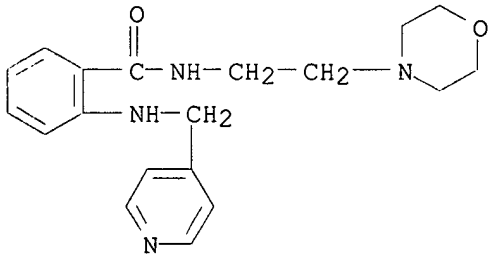
Relative stereochemistry.



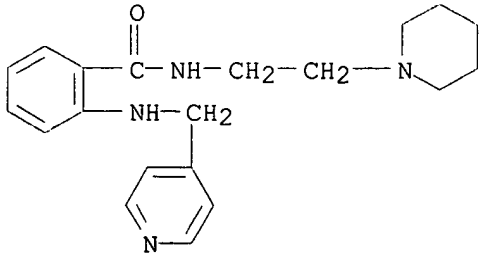
RN 373363-03-2 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)

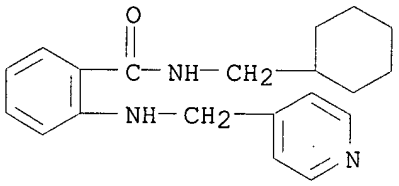


RN 373363-09-8 CAPLUS
CN Benzamide, N-[2-(4-morpholinyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

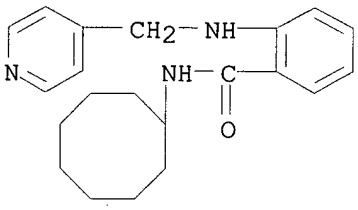


RN 373363-10-1 CAPLUS
CN Benzamide, N-[2-(1-piperidinyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

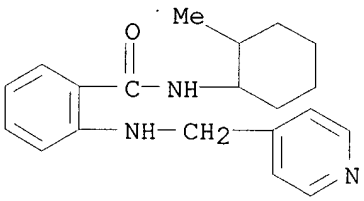




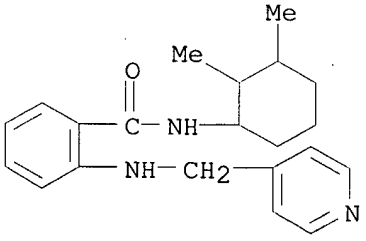
RN 373362-99-3 CAPLUS
CN Benzamide, N-cyclooctyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 373363-00-9 CAPLUS
CN Benzamide, N-(2-methylcyclohexyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 373363-01-0 CAPLUS
CN Benzamide, N-(2,3-dimethylcyclohexyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



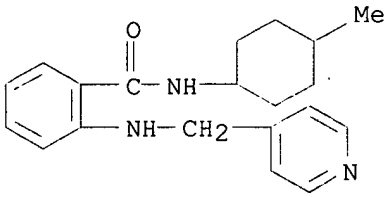
RN 373363-02-1 CAPLUS
CN Benzamide, N-[[cis-4-(1,1-dimethylethyl)cyclohexyl]methyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

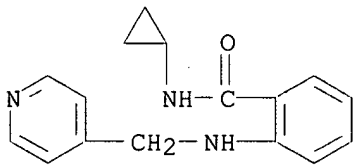
(substituted) mono- or bicyclic heteroaryl; R3-R6 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R7 = H, alkyl, cycloalkyl; R8, R9, R10 = H, alkyl], were prepd. Thus, 4-methylcyclohexylamine in PhMe was treated with Me3Al in PhMe under ice cooling; Me N-(4-pyridylmethyl)anthranilate (prepn. given) in PhMe was then added followed by warming to room temp. and then reflux for 1 h to give 90% N-(4-methylcyclohexyl)-2-(4-pyridylmethylamino)benzamide. Tested I inhibited VEGFR I (FLT) with IC50 = 100-2000 .mu.M.

IT **373362-95-9P 373362-96-0P 373362-97-1P**
373362-98-2P 373362-99-3P 373363-00-9P
373363-01-0P 373363-02-1P 373363-03-2P
373363-09-8P 373363-10-1P 373363-11-2P
373363-12-3P 373363-13-4P 373363-14-5P
373363-15-6P 373363-16-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-(4-pyridylmethylamino)benzamides as vascular endothelial growth factor receptor inhibitors)

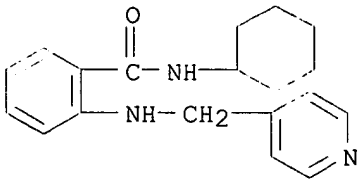
RN 373362-95-9 CAPLUS
CN Benzamide, N-(4-methylcyclohexyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



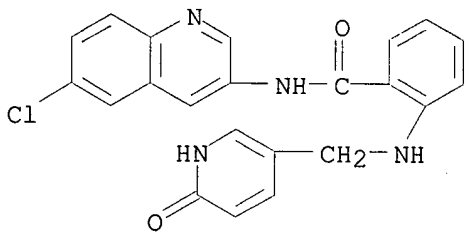
RN 373362-96-0 CAPLUS
CN Benzamide, N-cyclopropyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 373362-97-1 CAPLUS
CN Benzamide, N-cyclohexyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 373362-98-2 CAPLUS
CN Benzamide, N-(cyclohexylmethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

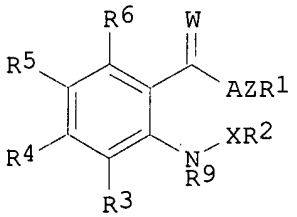


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:833281 CAPLUS
DOCUMENT NUMBER: 135:357850
TITLE: Preparation of 2-(4-pyridylmethylamino)benzamides as vascular endothelial growth factor receptor inhibitors.
INVENTOR(S): Seidelmann, Dieter; Krueger, Martin; Ottow, Eckhard; Huth, Andreas; Thierauch, Karl-Heinz; Menrad, Andreas; Haberey, Martin
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

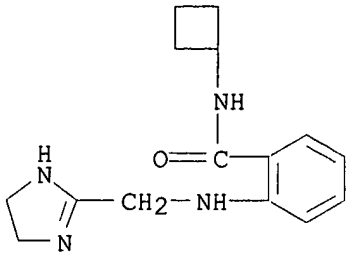
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085691	A1	20011115	WO 2001-EP5267	20010509
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10023485	A1	20011122	DE 2000-10023485	20000509

PRIORITY APPLN. INFO.: DE 2000-10023485 A 20000509
OTHER SOURCE(S): MARPAT 135:357850
GI

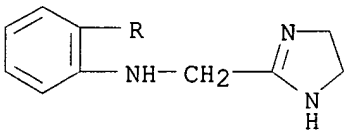


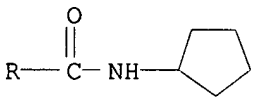
I

AB Title compds. [I; A = NR7; W = O, S, H2, NR8; Z = bond, NR10, N; R1 = (substituted) alkyl, alkenyl, cycloalkyl, cycloalkenyl; X = alkyl; R2 =



RN 393841-77-5 CAPLUS
CN Benzamide, N-cyclopentyl-2-[[(4,5-dihydro-1H-imidazol-2-yl)methyl]amino]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:790481 CAPLUS
DOCUMENT NUMBER: 133:350215
TITLE: Arylaminomethylimidazolines as .alpha.1A adrenoceptor agonists
INVENTOR(S): Bigham, Eric Cleveland; Bishop, Michael Joseph; Drewry, David Harold; Garrison, Deanna Trojan; Hodson, Stephen Joseph; Navas, Frank, III; Speake, Jason D.
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Navas Iii, Frank
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

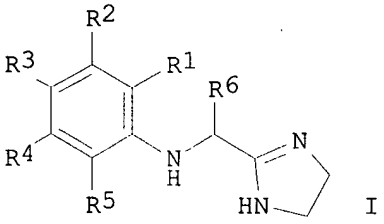
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066563	A1	20001109	WO 2000-EP3848	20000428
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1175406	A1	20020130	EP 2000-925251	20000428

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: GB 1999-10110 A 19990430
WO 2000-EP3848 W 20000428

OTHER SOURCE(S): MARPAT 133:350215

GI



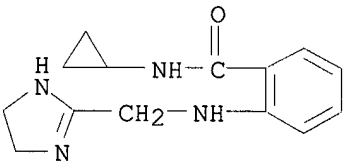
I

AB Title compds. I [R2-R5 = H, halogen, -OH, alkyl, alkoxy, alkylthio, CF3, .gtoreq. 2 of R2-R5 = H; R6 = H, Me; R1 = S(O)nR7 (n = 1, 2), SO2NHR8, COR9, NR10R11, CR12:NOR13, (un)substituted Ph, heterocyclic; R7, R8 = alkyl, fluoroalkyl; R9 = alkyl, fluoroalkyl, (un)substituted NH2, NHNH2; R10 = H, alkyl; R11 = cycloalkyl, cyclopropylmethyl, alkenyl, (un)substituted alkyl; R12 = H, alkyl; R13 = alkyl] were prepd. for use in the treatment of .alpha.1A-mediated diseases or conditions such as urinary incontinence. Thus, 2-MeSC6H4NH2 was treated with 2-chloromethyl-2-imidazoline-HCl and oxidized to give I [R1 = SO2Me, R2-R6 = H] as the fumarate, which was active as an agonist for cloned human .alpha.1A receptors.

IT **305809-84-1P 305809-92-1P 305809-96-5P 305809-97-6P 305810-05-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylaminomethylimidazolines as .alpha.1A adrenoceptor agonists)

RN 305809-84-1 CAPLUS

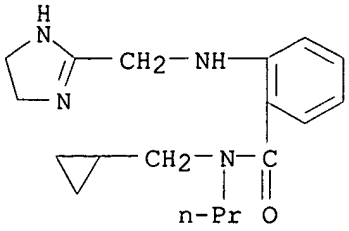
CN Benzamide, N-cyclopropyl-2-[[(4,5-dihydro-1H-imidazol-2-yl)methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



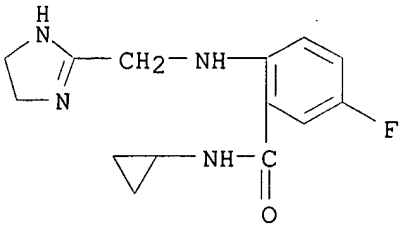
● HCl

RN 305809-92-1 CAPLUS

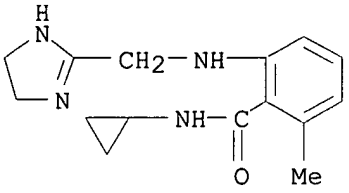
CN Benzamide, N-(cyclopropylmethyl)-2-[[(4,5-dihydro-1H-imidazol-2-yl)methyl]amino]-N-propyl- (9CI) (CA INDEX NAME)



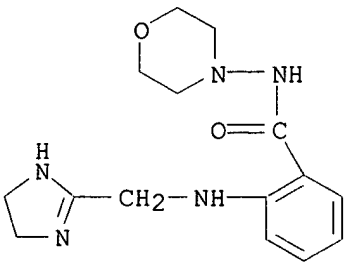
RN 305809-96-5 CAPLUS
CN Benzamide, N-cyclopropyl-2-[[(4,5-dihydro-1H-imidazol-2-yl)methyl]amino]-5-fluoro- (9CI) (CA INDEX NAME)



RN 305809-97-6 CAPLUS
CN Benzamide, N-cyclopropyl-2-[[(4,5-dihydro-1H-imidazol-2-yl)methyl]amino]-6-methyl- (9CI) (CA INDEX NAME)

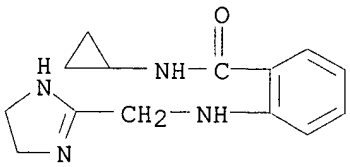


RN 305810-05-3 CAPLUS
CN Benzamide, 2-[[(4,5-dihydro-1H-imidazol-2-yl)methyl]amino]-N-4-morpholinyl- (9CI) (CA INDEX NAME)



IT **305811-55-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylaminomethylimidazolines as .alpha.1A adrenoceptor agonists)
RN 305811-55-6 CAPLUS
CN Benzamide, N-cyclopropyl-2-[[(4,5-dihydro-1H-imidazol-2-yl)methyl]amino]-

(9CI) (CA INDEX NAME)

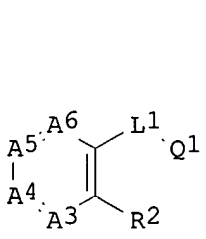


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

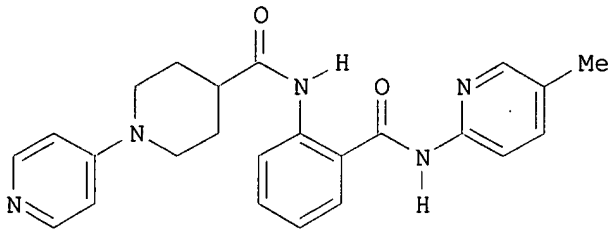
L28 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:457059 CAPLUS
DOCUMENT NUMBER: 133:89437
TITLE: Preparation of heteroaryl-substituted aromatic amides as factor Xa inhibitors
INVENTOR(S): Beight, Douglas Wade; Craft, Trelia Joyce; Denny, Carl Penman; Franciskovich, Jeffry Bernard; Goodson, Theodore Junior; Hall, Steven Edward; Herron, David Kent; Joseph, Sajan Pariyadan; Klimkowski, Valentine Joseph; Masters, John Joseph; Mendel, David; Milot, Guy; Pineiro-Nunez, Marta Maria; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikel, James Howard; Wiley, Michael Robert; Yee, Ying Kwong
PATENT ASSIGNEE(S): Eli Lilly and Co., USA; Kyle, Jeffrey, Alan; et al.
SOURCE: PCT Int. Appl., 403 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039118	A1	20000706	WO 1999-US29946	19991215
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140903	A1	20011010	EP 1999-964279	19991215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-113556P P 19981223
WO 1999-US29946 W 19991215
OTHER SOURCE(S): MARPAT 133:89437
GI



I



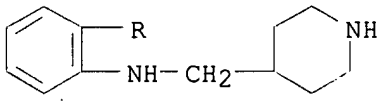
II

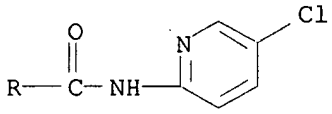
AB The title compds. [I; A3-A6, together with the two carbons to which they are attached, complete a substituted benzene in which A3 = CR3, A4 = CR4, A5 = CR5, and A6 = CR6 (wherein R3 = H, Me, MeO, etc.; one of R4 and R5 = H, alkyl, halo, etc.; the other of R4 and R5 = H; R6 = H, Me, F, etc.); L1 = CONH; Q1 = 2-pyridinyl (un)substituted at the 5-position, 3-pyridinyl (un)substituted at the 6-position, 2-pyrimidinyl (un)substituted at the 5-position, etc.; R2 = L2Q2 (L2 = NHCO, NHCH2, OCH2, etc.; Q2 = (un)substituted piperidinyl, piperazinyl, Ph, etc.)] and their pharmaceutically acceptable salts, useful as inhibitors of factor Xa (no data), were prepd. and formulated. E.g., a multi-step synthesis of II.HCl was given. In general, compds. I are effective at 0.01-1000 mg/kg/day.

IT **280769-11-1P 280769-16-6P 280769-22-4P**
280769-23-5P 280769-24-6P 280769-46-2P
280769-68-8P 280769-83-7P 280770-59-4P
280770-66-3P 280770-79-8P 280770-91-4P
280770-93-6P 280770-95-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of heteroaryl-substituted arom. amides as factor Xa inhibitors)

RN 280769-11-1 CAPLUS

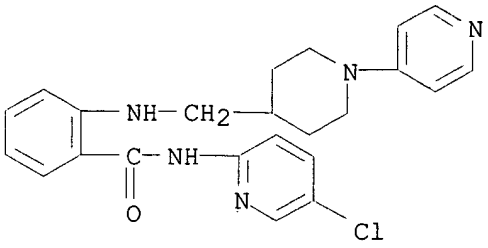
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



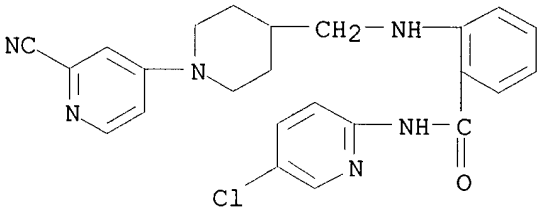


RN 280769-16-6 CAPLUS

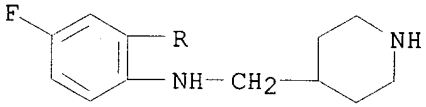
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

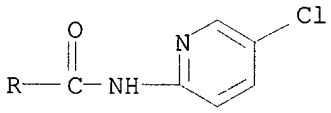


RN 280769-22-4 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2-cyano-4-pyridinyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

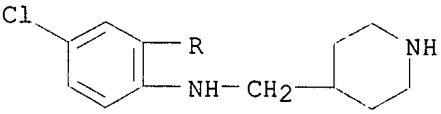


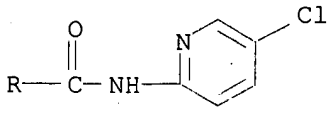
RN 280769-23-5 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



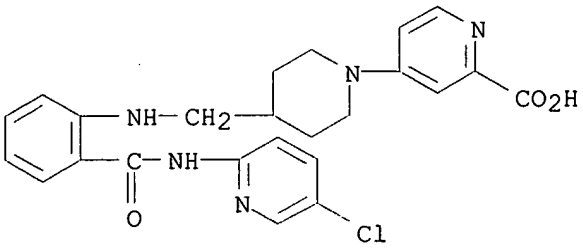


RN 280769-24-6 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

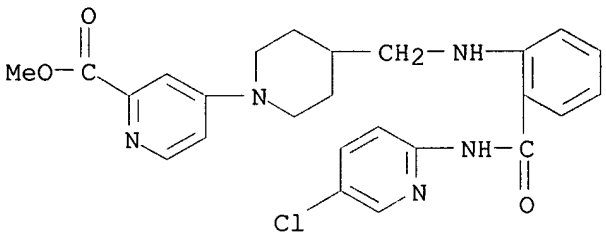




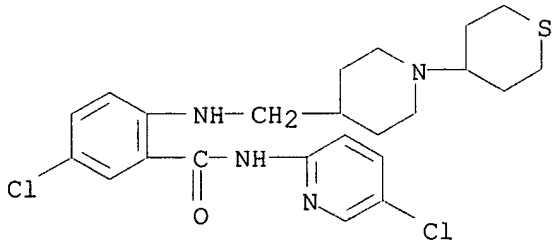
RN 280769-46-2 CAPLUS
CN 2-Pyridinecarboxylic acid, 4-[4-[[[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



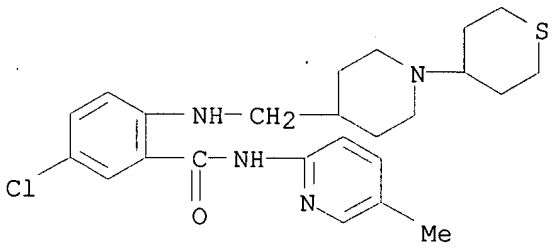
RN 280769-68-8 CAPLUS
CN 2-Pyridinecarboxylic acid, 4-[4-[[[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-1-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)



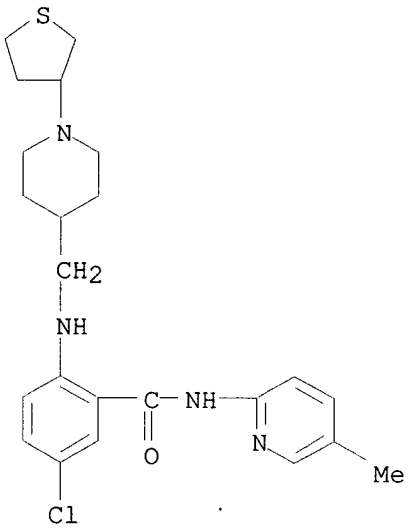
RN 280769-83-7 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



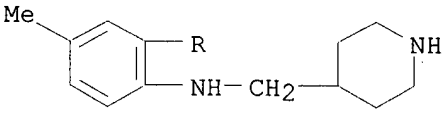
RN 280770-59-4 CAPLUS
CN Benzamide, 5-chloro-N-(5-methyl-2-pyridinyl)-2-[[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

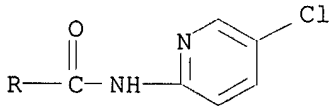


RN 280770-66-3 CAPLUS
CN Benzamide, 5-chloro-N-(5-methyl-2-pyridinyl)-2-[[[1-(tetrahydro-3-thienyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

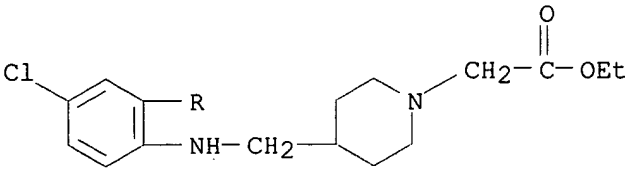


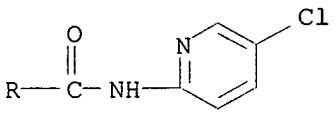
RN 280770-79-8 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-5-methyl-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



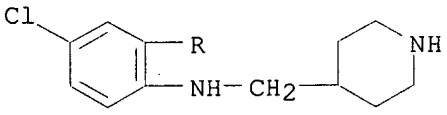


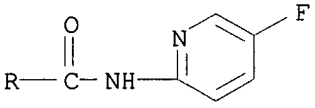
RN 280770-91-4 CAPLUS
CN 1-Piperidineacetic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



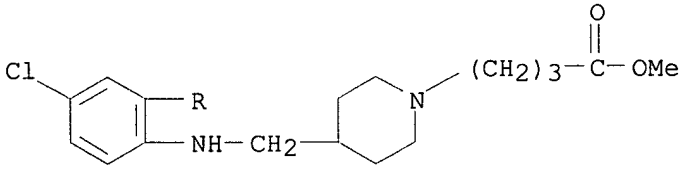


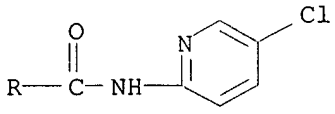
RN 280770-93-6 CAPLUS
CN Benzamide, 5-chloro-N-(5-fluoro-2-pyridinyl)-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)





RN 280770-95-8 CAPLUS
CN 1-Piperidinebutanoic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)





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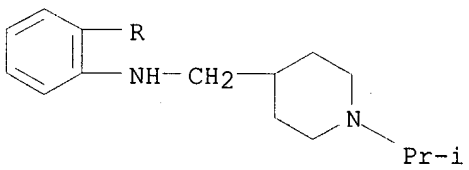
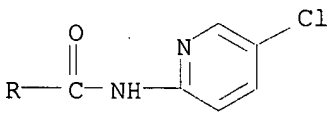
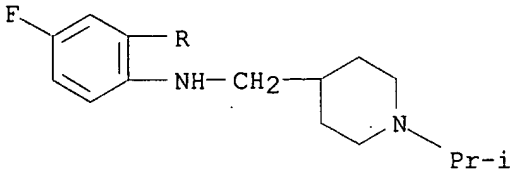
280771-00-8P 280771-01-9P 280771-03-1P
280771-04-2P 280771-42-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heteroaryl-substituted arom. amides as factor Xa inhibitors)

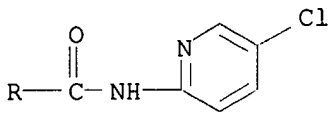
RN 280769-12-2 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



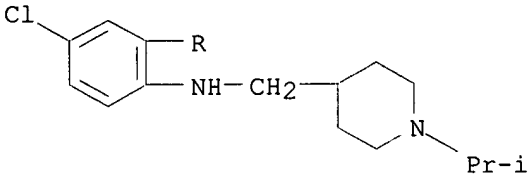


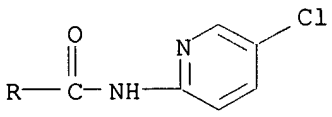
RN 280769-26-8 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)





RN 280769-27-9 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

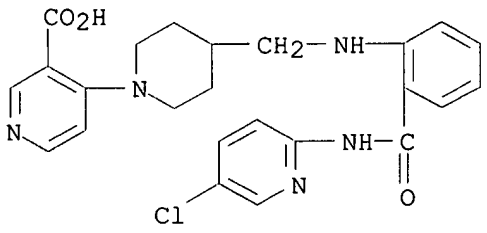




RN 280769-33-7 CAPLUS
CN 3-Pyridinecarboxylic acid, 4-[4-[[[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-1-piperidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

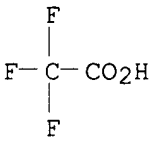
CM 1

CRN 280769-32-6
CMF C24 H24 Cl N5 O3

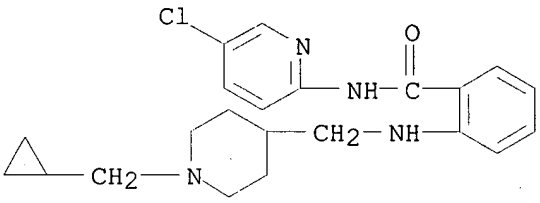


CM 2

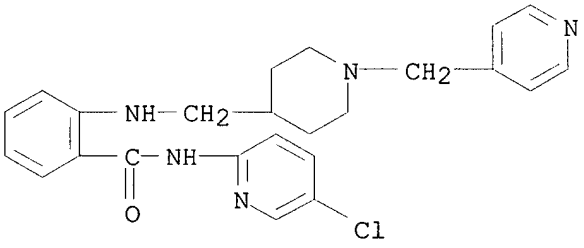
CRN 76-05-1
CMF C2 H F3 O2



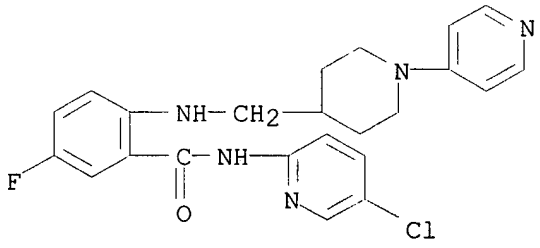
RN 280769-49-5 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(cyclopropylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



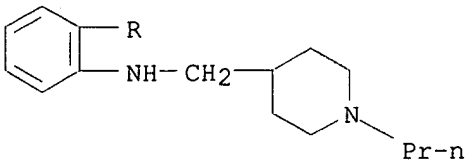
RN 280769-50-8 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(4-pyridinylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

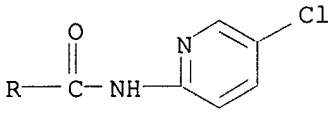


RN 280769-51-9 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-5-fluoro-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

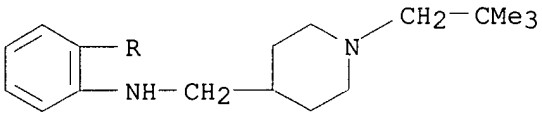


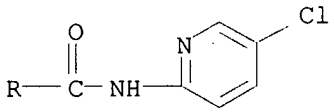
RN 280769-52-0 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-propyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME)



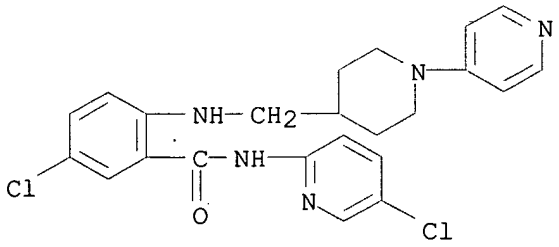


RN 280769-53-1 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2,2-dimethylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

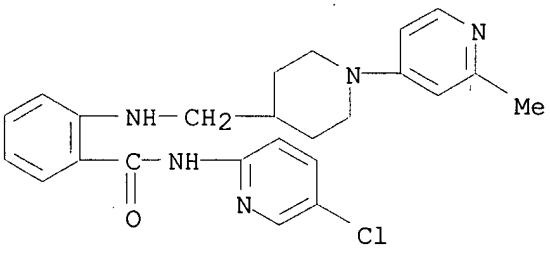




RN 280769-54-2 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

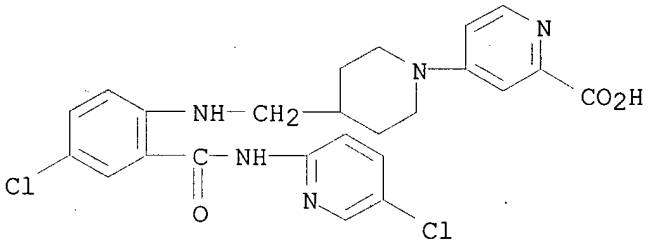


RN 280769-56-4 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2-methyl-4-pyridinyl)-4-piperidinyl]methyl]amino]-, tetrahydrochloride (9CI) (CA INDEX NAME)

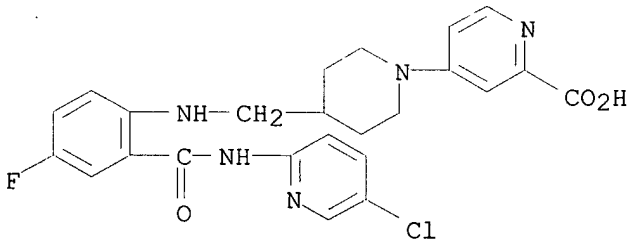


●4 HCl

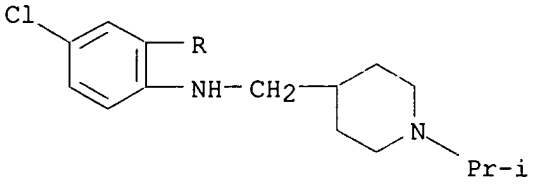
RN 280769-57-5 CAPLUS
CN 2-Pyridinecarboxylic acid, 4-[4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

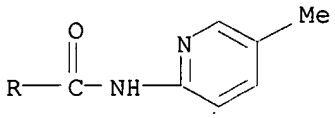


RN 280769-64-4 CAPLUS
CN 2-Pyridinecarboxylic acid, 4-[4-[[[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]amino]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

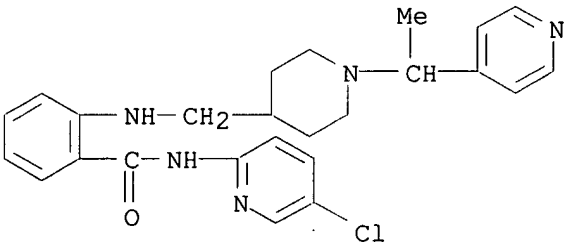


RN 280769-70-2 CAPLUS
CN Benzamide, 5-chloro-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

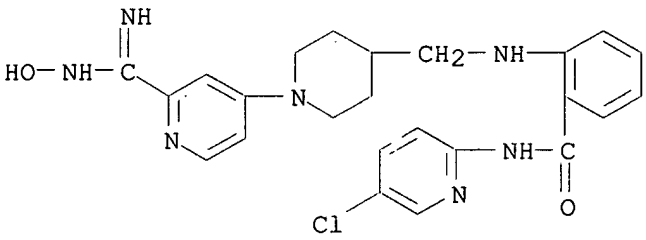




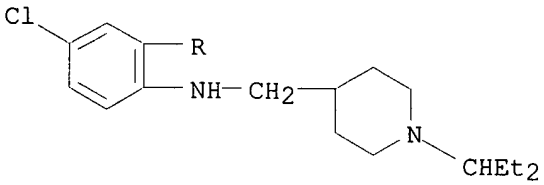
RN 280769-74-6 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(4-pyridinyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

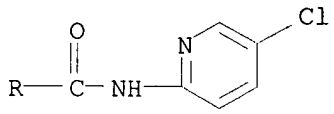


RN 280769-76-8 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[2-[(hydroxyamino)iminomethyl]-4-pyridinyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

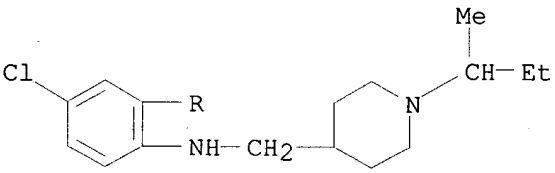


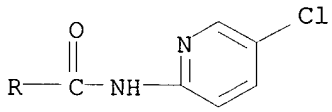
RN 280769-84-8 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(1-ethylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



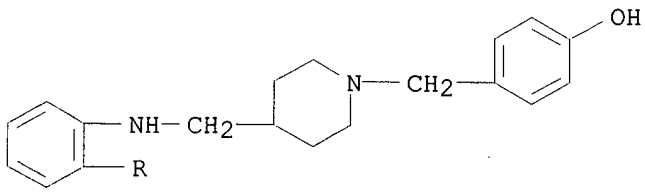


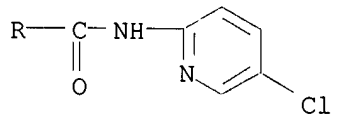
RN 280769-85-9 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(1-methylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



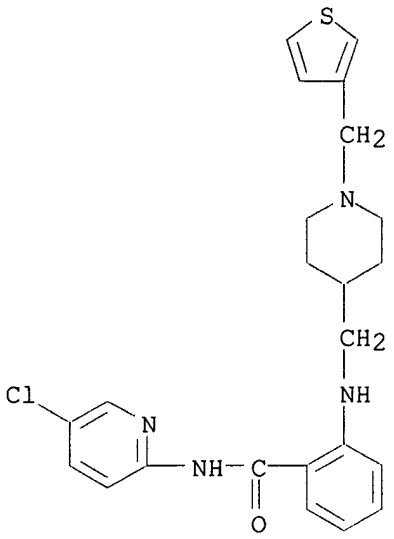


RN 280769-86-0 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(4-hydroxyphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

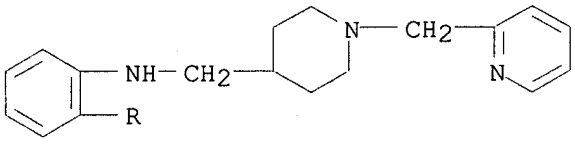


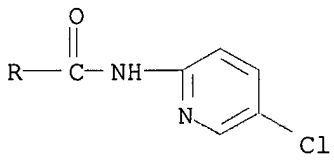


RN 280769-89-3 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(3-thienylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

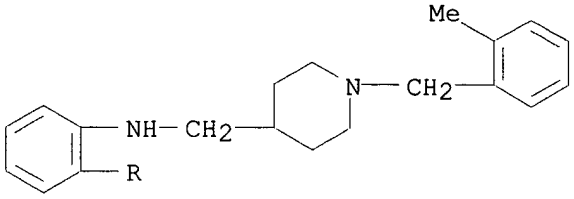


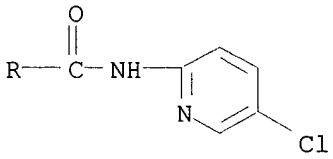
RN 280769-91-7 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2-pyridinylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



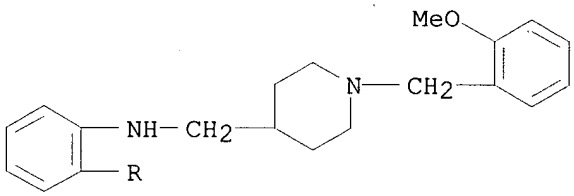


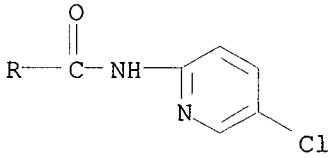
RN 280769-92-8 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(2-methylphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



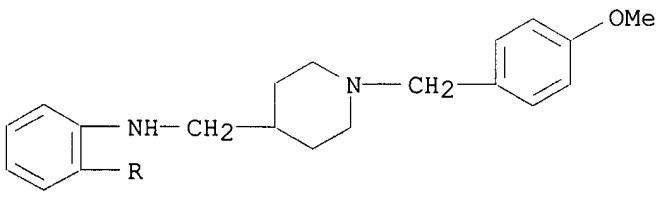


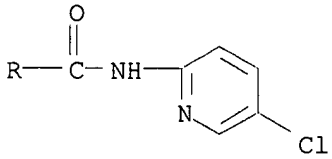
RN 280769-93-9 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(2-methoxyphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



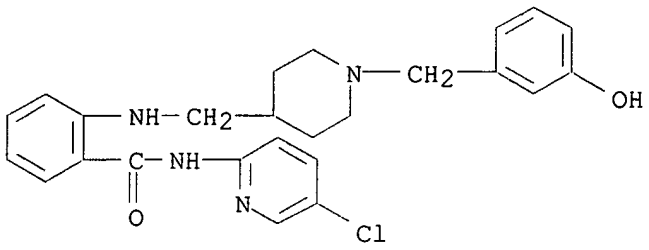


RN 280769-94-0 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(4-methoxyphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

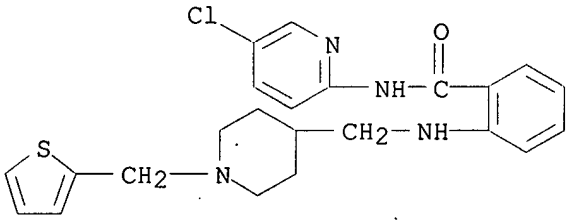




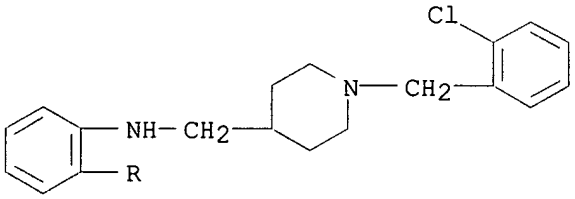
RN 280769-95-1 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(3-hydroxyphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

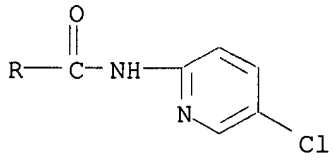


RN 280769-96-2 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2-thienylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

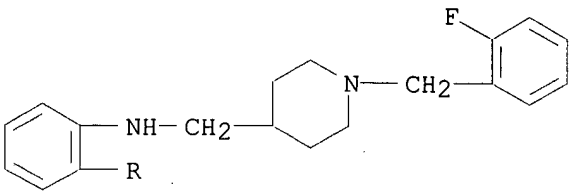


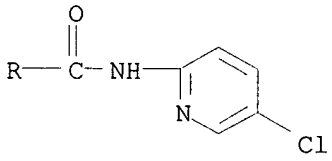
RN 280769-97-3 CAPLUS
CN Benzamide, 2-[[[1-[(2-chlorophenyl)methyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



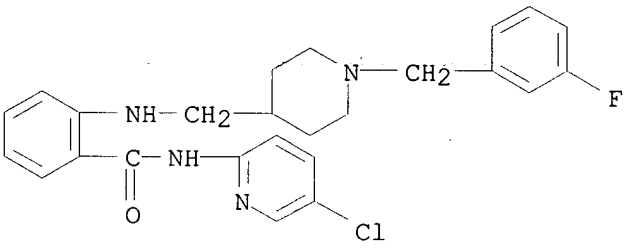


RN 280769-98-4 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(2-fluorophenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

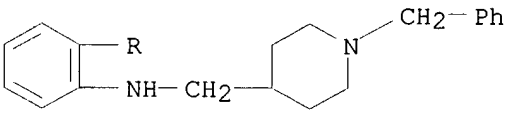


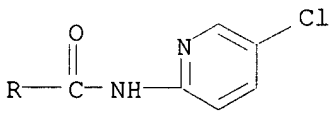


RN 280769-99-5 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(3-fluorophenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

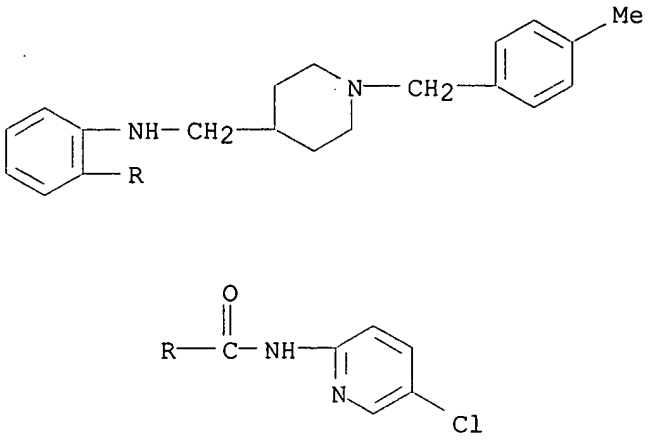


RN 280770-00-5 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(phenylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

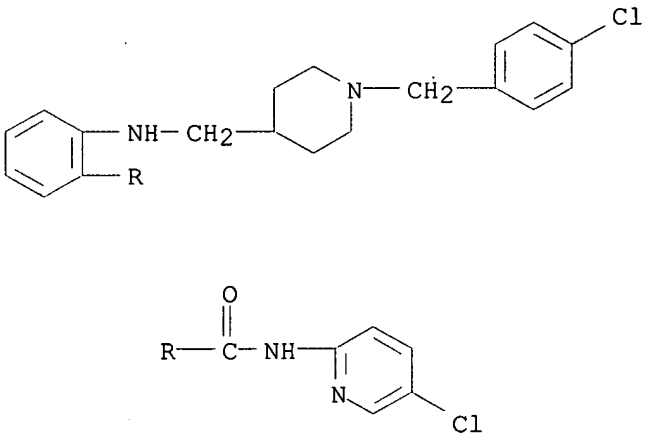




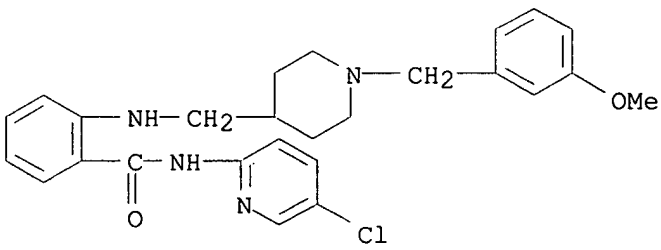
RN 280770-01-6 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(4-methylphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



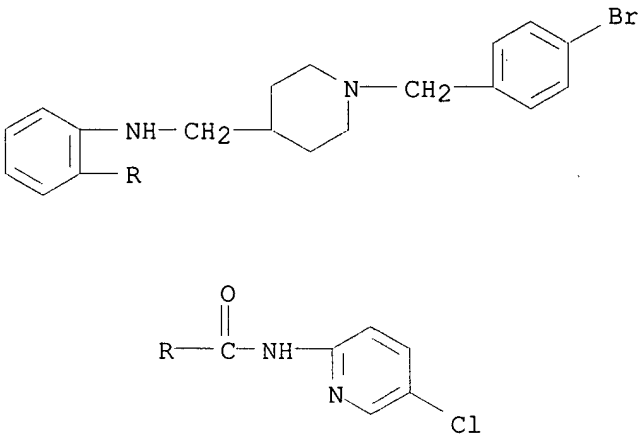
RN 280770-02-7 CAPLUS
CN Benzamide, 2-[[[1-[(4-chlorophenyl)methyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



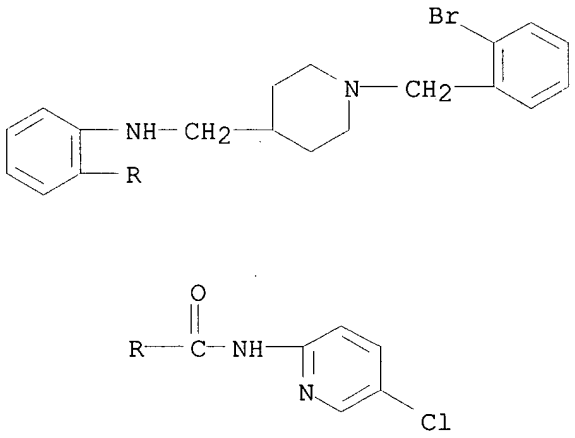
RN 280770-03-8 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(3-methoxyphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



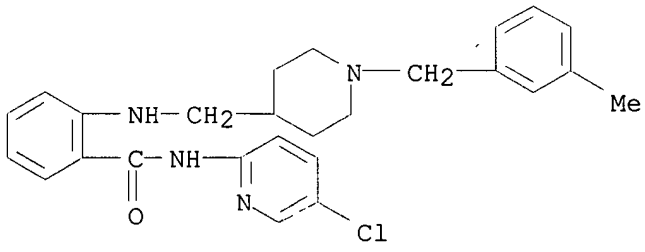
RN 280770-04-9 CAPLUS
CN Benzamide, 2-[[[1-[(4-bromophenyl)methyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



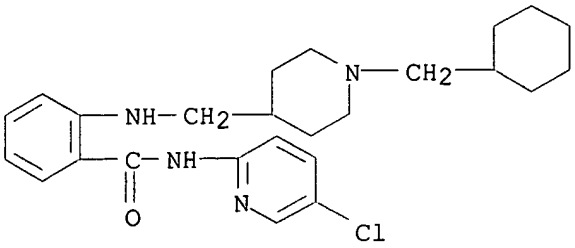
RN 280770-05-0 CAPLUS
CN Benzamide, 2-[[[1-[(2-bromophenyl)methyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



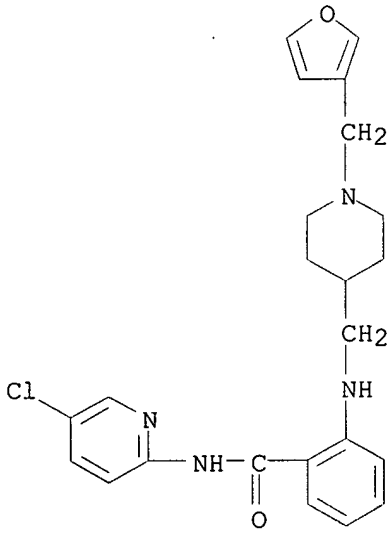
RN 280770-06-1 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[(3-methylphenyl)methyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



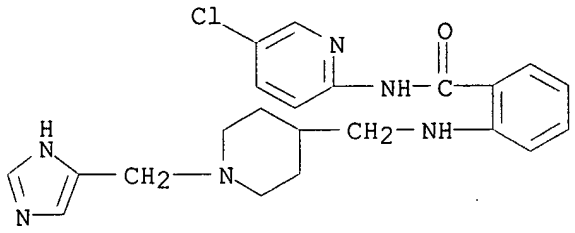
RN 280770-07-2 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



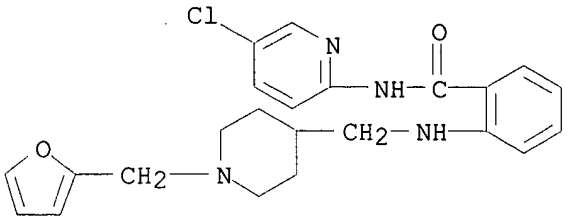
RN 280770-08-3 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(3-furanylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



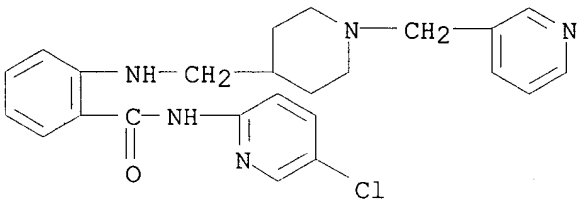
RN 280770-09-4 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1H-imidazol-4-ylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



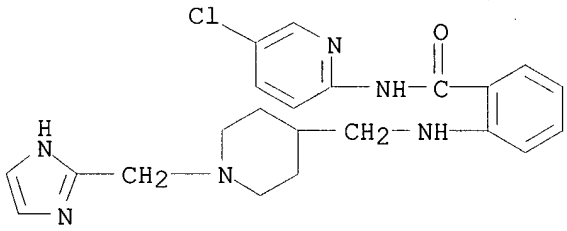
RN 280770-10-7 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2-furanylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



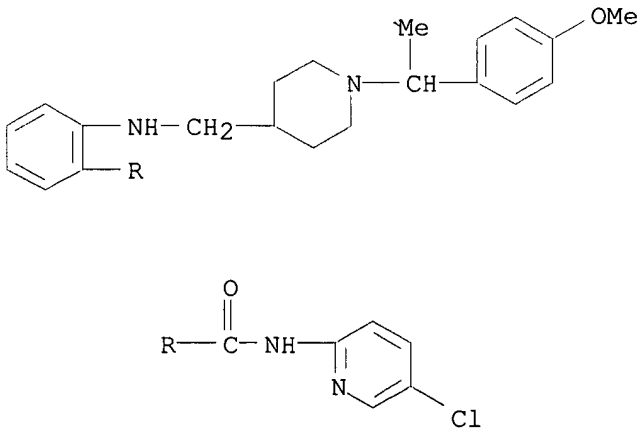
RN 280770-11-8 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(3-pyridinylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 280770-12-9 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1H-imidazol-2-ylmethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

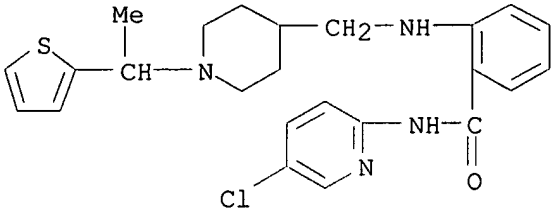


RN 280770-13-0 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(4-methoxyphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

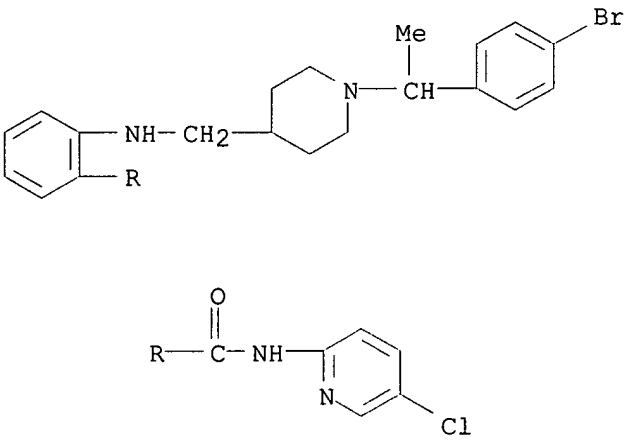


RN 280770-14-1 CAPLUS

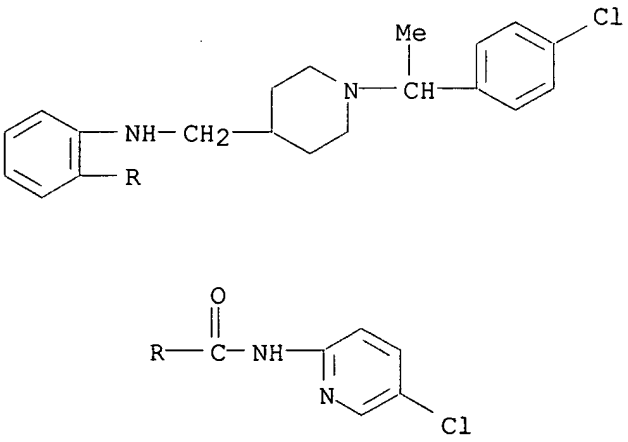
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-thienyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



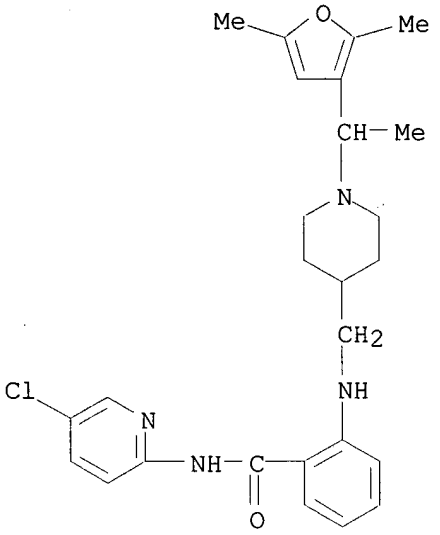
RN 280770-15-2 CAPLUS
CN Benzamide, 2-[[[1-[1-(4-bromophenyl)ethyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



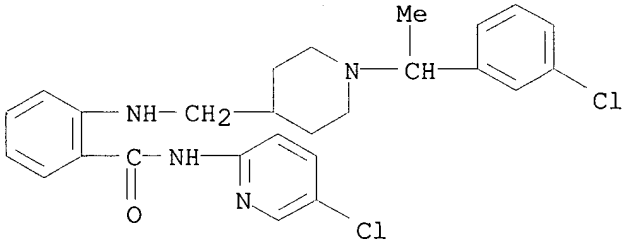
RN 280770-16-3 CAPLUS
CN Benzamide, 2-[[[1-[1-(4-chlorophenyl)ethyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



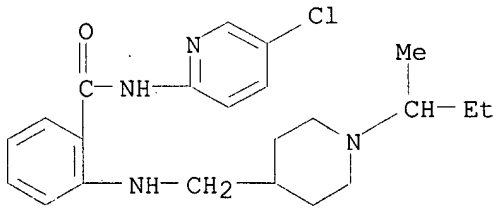
RN 280770-17-4 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2,5-dimethyl-3-furanyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



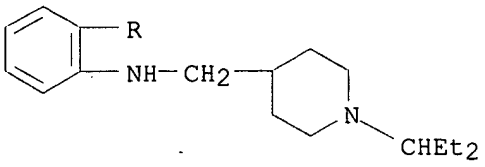
RN 280770-18-5 CAPLUS
CN Benzamide, 2-[[[1-[1-(3-chlorophenyl)ethyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

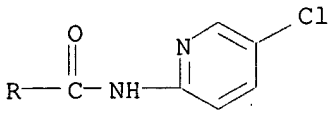


RN 280770-19-6 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-methylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

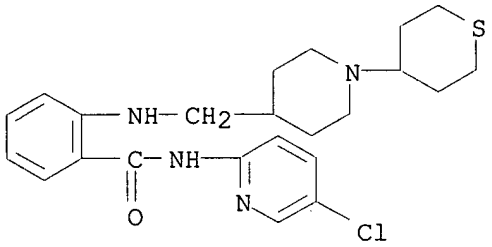


RN 280770-20-9 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-ethylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

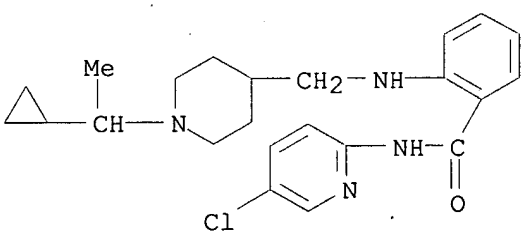




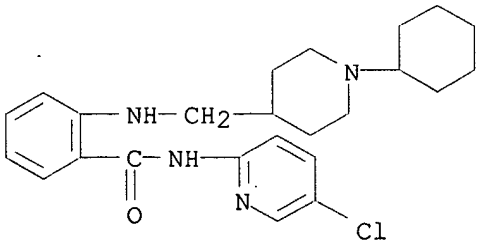
RN 280770-21-0 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 280770-22-1 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-cyclopropylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

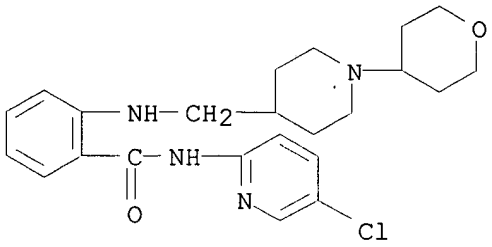


RN 280770-23-2 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-cyclohexyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME)

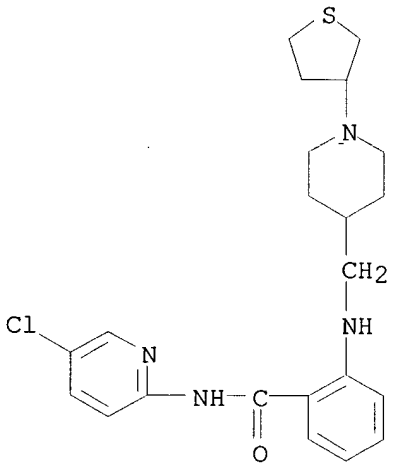


RN 280770-24-3 CAPLUS

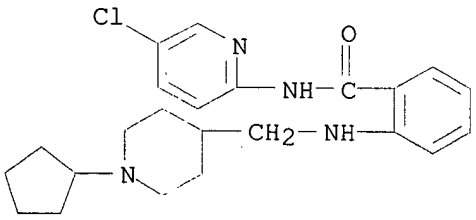
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



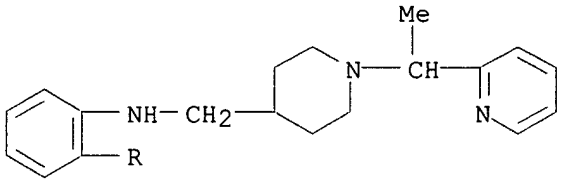
RN 280770-25-4 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-3-thienyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

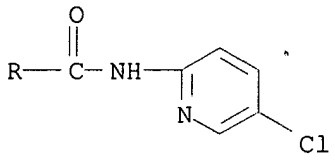


RN 280770-26-5 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME)

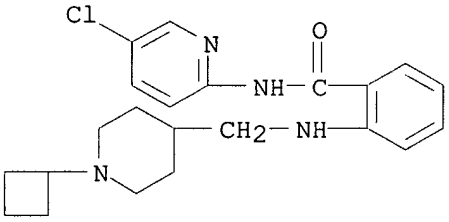


RN 280770-27-6 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-pyridinyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

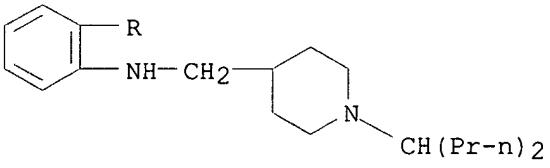


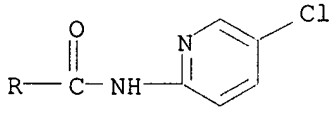


RN 280770-28-7 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-cyclobutyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME)

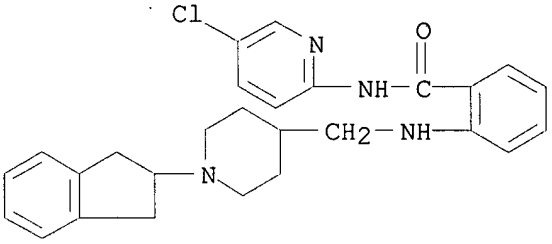


RN 280770-29-8 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-propylbutyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

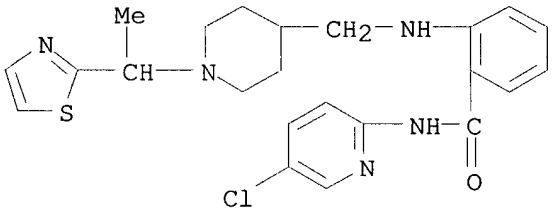




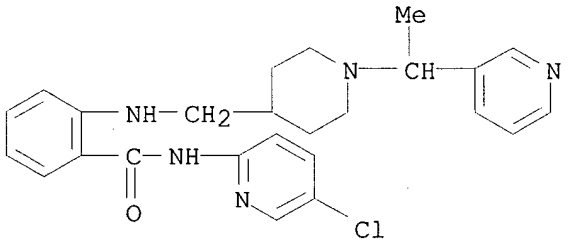
RN 280770-30-1 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



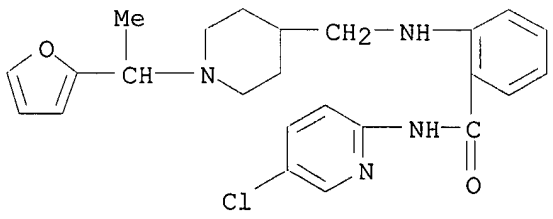
RN 280770-31-2 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-thiazolyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



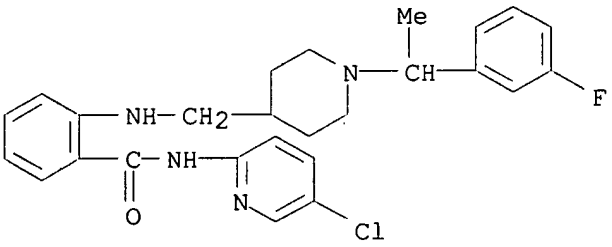
RN 280770-32-3 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(3-pyridinyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



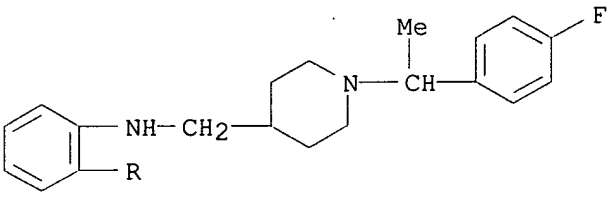
RN 280770-33-4 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-furanyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

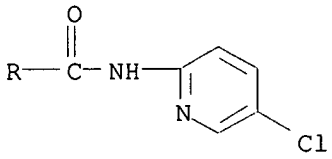


RN 280770-34-5 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(3-fluorophenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

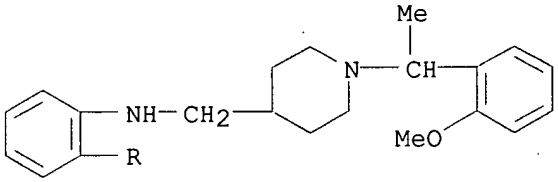


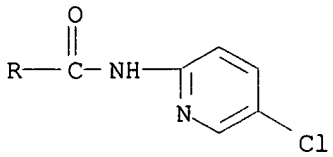
RN 280770-35-6 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(4-fluorophenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



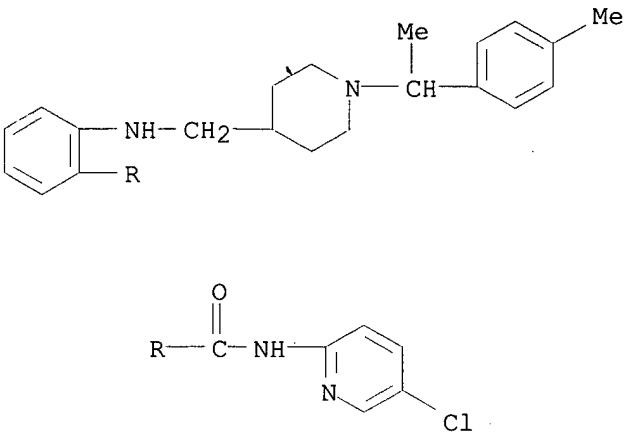


RN 280770-36-7 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-methoxyphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

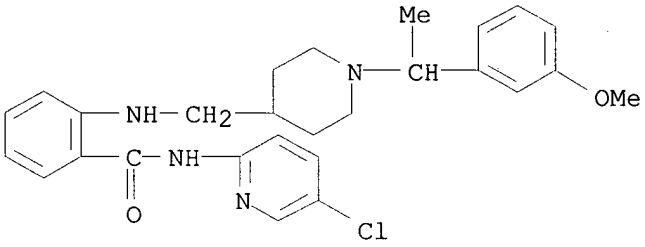




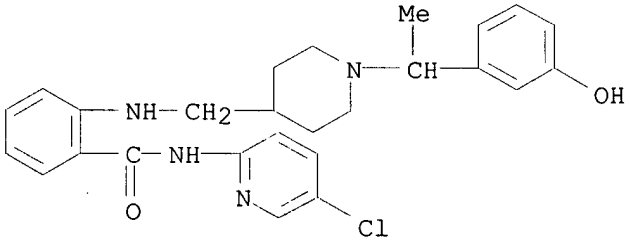
RN 280770-37-8 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(4-methylphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



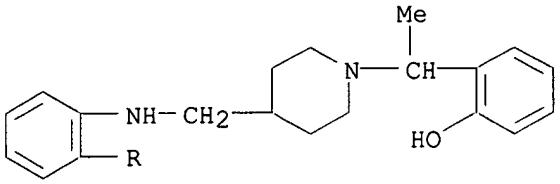
RN 280770-38-9 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(3-methoxyphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

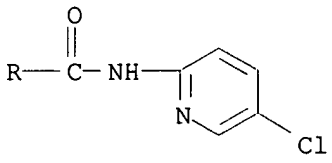


RN 280770-39-0 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(3-hydroxyphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

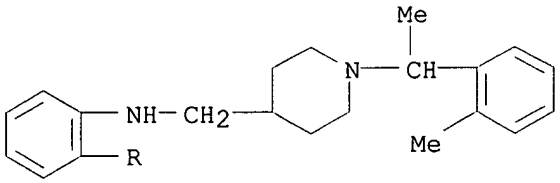


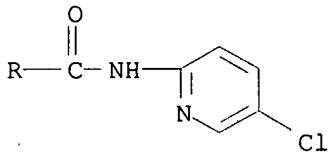
RN 280770-40-3 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-hydroxyphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



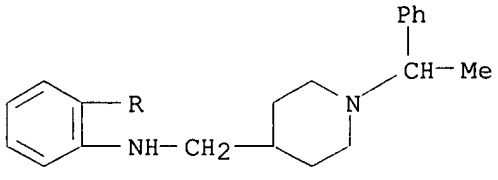


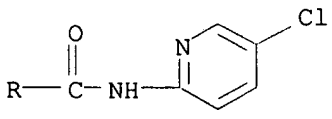
RN 280770-41-4 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-methylphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



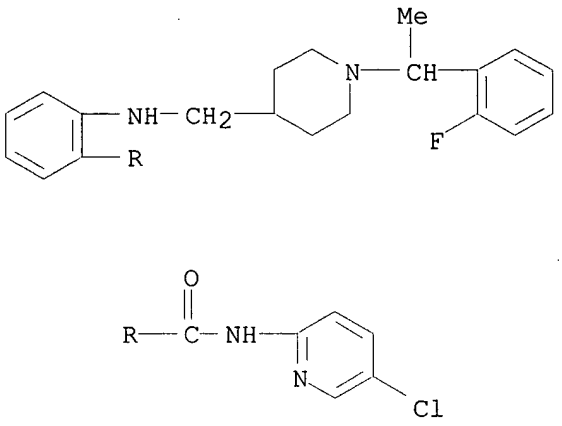


RN 280770-42-5 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-phenylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

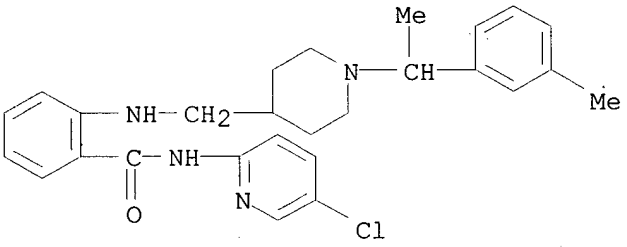




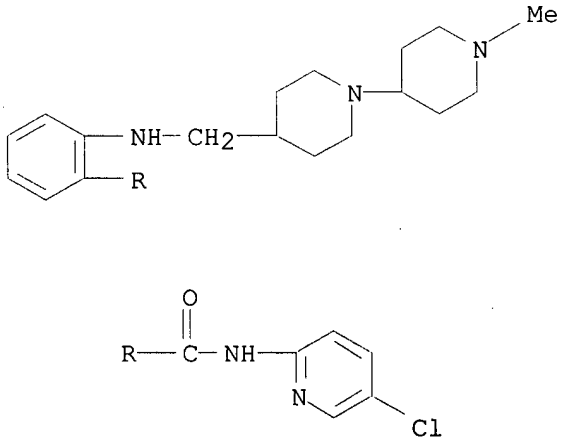
RN 280770-43-6 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(2-fluorophenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



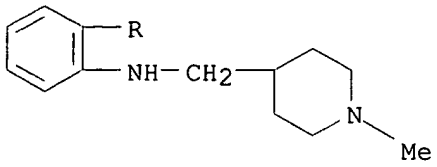
RN 280770-44-7 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[1-(3-methylphenyl)ethyl]-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

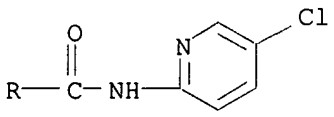


RN 280770-45-8 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1'-methyl[1,4'-bipiperidin]-4-yl)methyl]amino]- (9CI) (CA INDEX NAME)



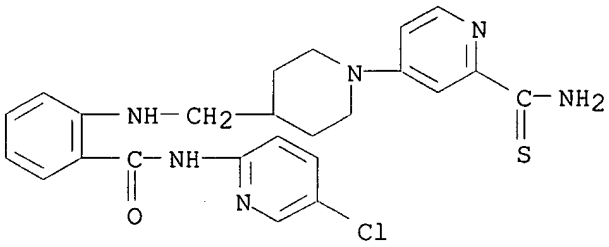
RN 280770-46-9 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-methyl-4-piperidinyl)methyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



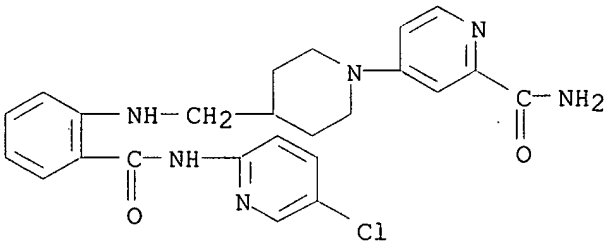


● HCl

RN 280770-55-0 CAPLUS
CN Benzamide, 2-[[[1-[2-(aminothioxomethyl)-4-pyridinyl]-4-piperidinyl]methyl]amino]-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



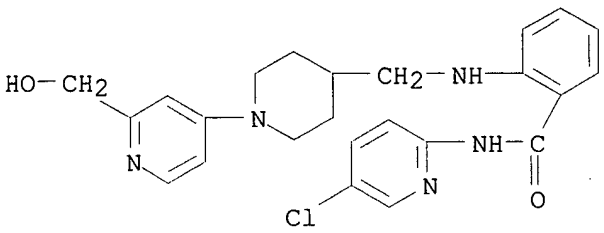
RN 280770-56-1 CAPLUS
CN 2-Pyridinecarboxamide, 4-[4-[[[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 280770-58-3 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-[2-(hydroxymethyl)-4-pyridinyl]-4-piperidinyl]methyl]amino]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

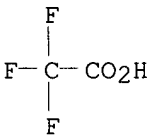
CM 1

CRN 280770-57-2
CMF C24 H26 Cl N5 O2

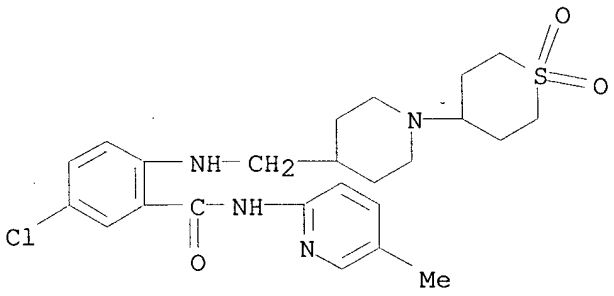


CM 2

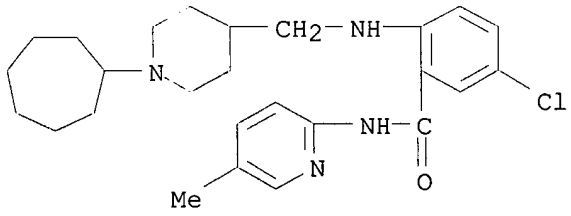
CRN 76-05-1
CMF C2 H F3 O2



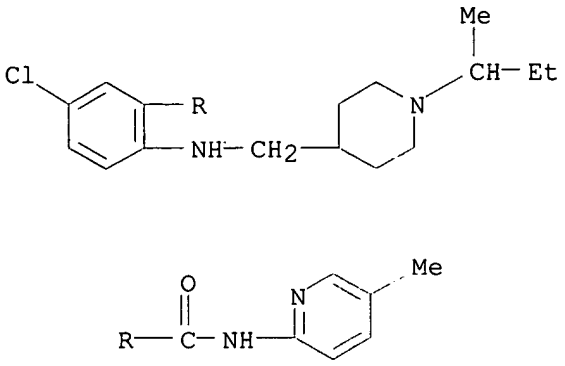
RN 280770-60-7 CAPLUS
CN Benzamide, 5-chloro-N-(5-methyl-2-pyridinyl)-2-[[[1-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



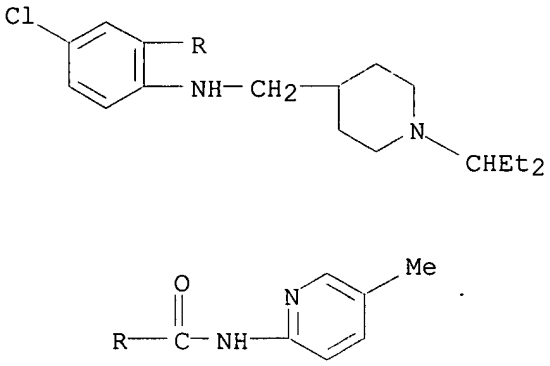
RN 280770-61-8 CAPLUS
CN Benzamide, 5-chloro-2-[[(1-cycloheptyl-4-piperidinyl)methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



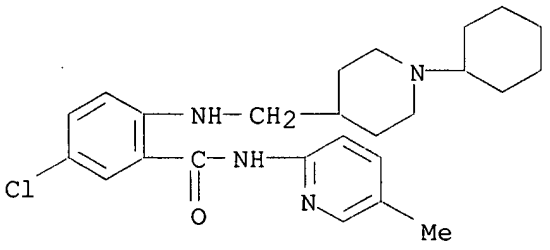
RN 280770-62-9 CAPLUS
CN Benzamide, 5-chloro-2-[[[1-(1-methylpropyl)-4-piperidinyl]methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



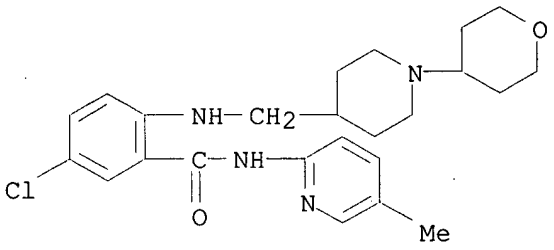
RN 280770-63-0 CAPLUS
CN Benzamide, 5-chloro-2-[[[1-(1-ethylpropyl)-4-piperidinyl]methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



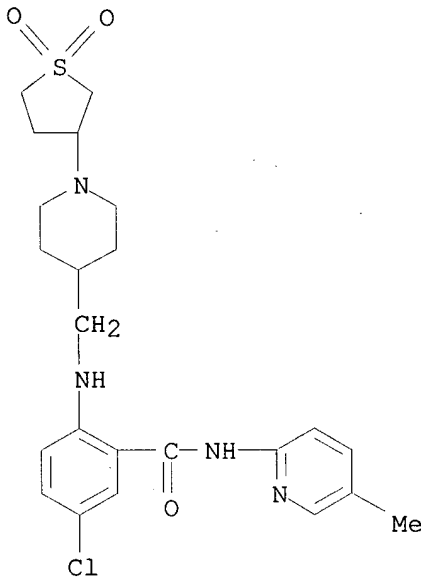
RN 280770-64-1 CAPLUS
CN Benzamide, 5-chloro-2-[[(1-cyclohexyl-4-piperidinyl)methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



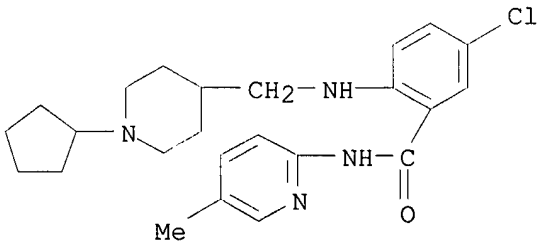
RN 280770-65-2 CAPLUS
CN Benzamide, 5-chloro-N-(5-methyl-2-pyridinyl)-2-[[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



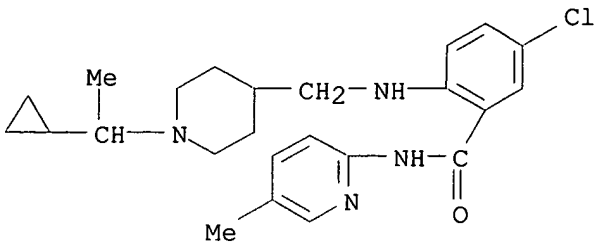
RN 280770-67-4 CAPLUS
CN Benzamide, 5-chloro-N-(5-methyl-2-pyridinyl)-2-[[[1-(tetrahydro-1,1-dioxido-3-thienyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



RN 280770-68-5 CAPLUS
CN Benzamide, 5-chloro-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

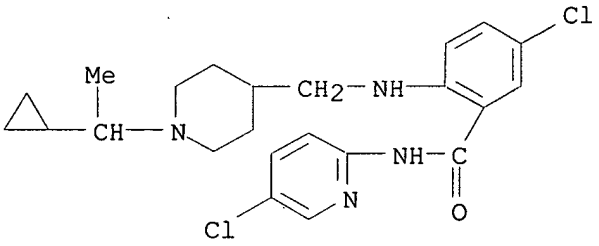


RN 280770-69-6 CAPLUS
CN Benzamide, 5-chloro-2-[[[1-(1-cyclopropylethyl)-4-piperidinyl]methyl]amino]-N-(5-methyl-2-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

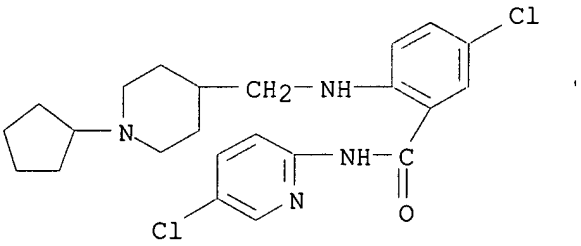


● HCl

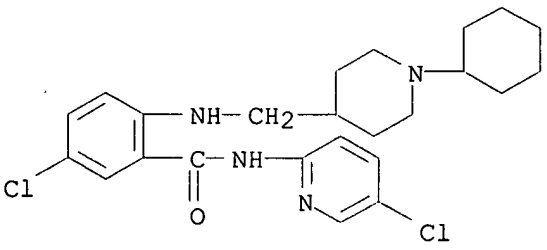
RN 280770-70-9 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(1-cyclopropylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



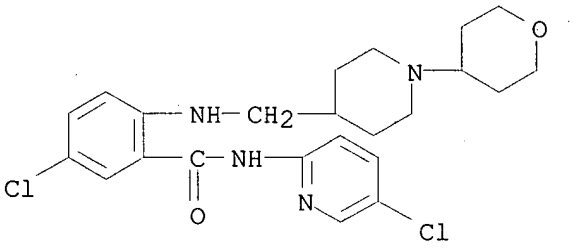
RN 280770-71-0 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME)



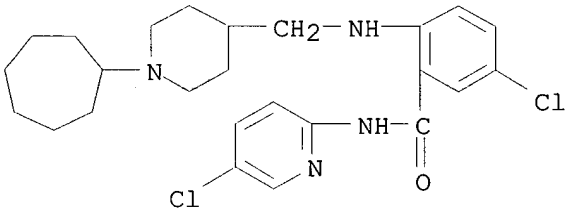
RN 280770-72-1 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[(1-cyclohexyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME)



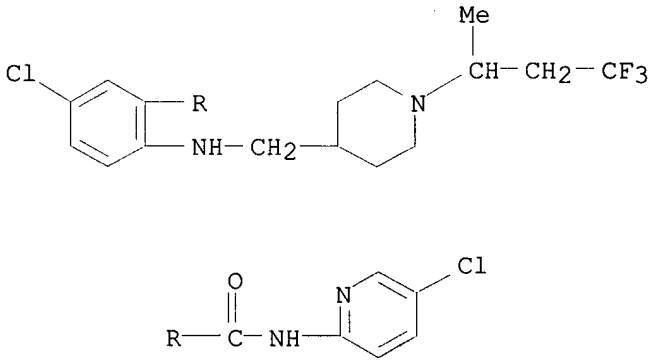
RN 280770-73-2 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



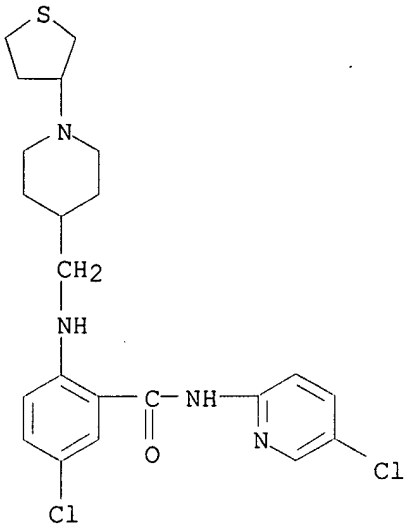
RN 280770-74-3 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[(1-cycloheptyl-4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME)



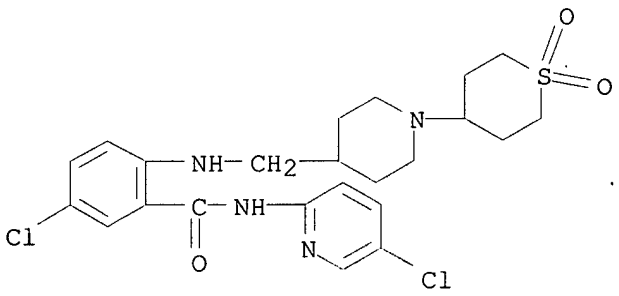
RN 280770-75-4 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(3,3,3-trifluoro-1-methylpropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



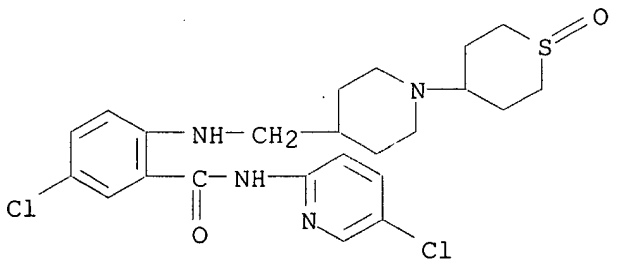
RN 280770-76-5 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-3-thienyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



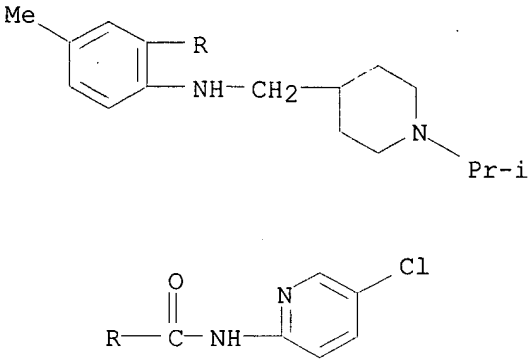
RN 280770-77-6 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



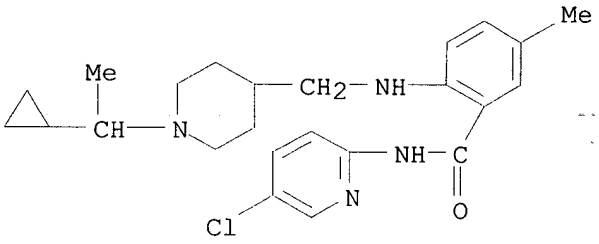
RN 280770-78-7 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(tetrahydro-1-oxido-2H-thiopyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



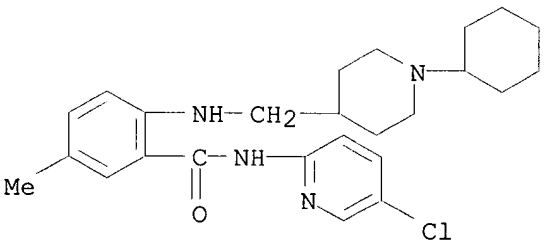
RN 280770-80-1 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-5-methyl-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



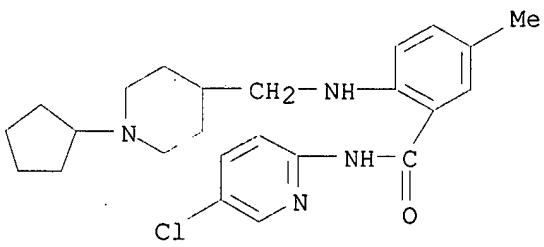
RN 280770-81-2 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[[1-(1-cyclopropylethyl)-4-piperidinyl]methyl]amino]-5-methyl- (9CI) (CA INDEX NAME)



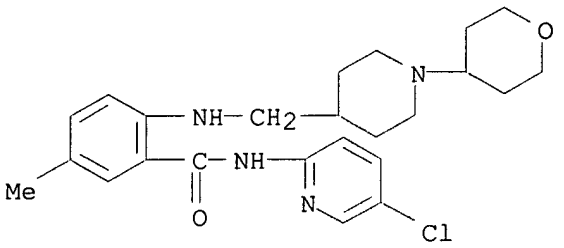
RN 280770-82-3 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-cyclohexyl-4-piperidinyl)methyl]amino]-5-methyl- (9CI) (CA INDEX NAME)



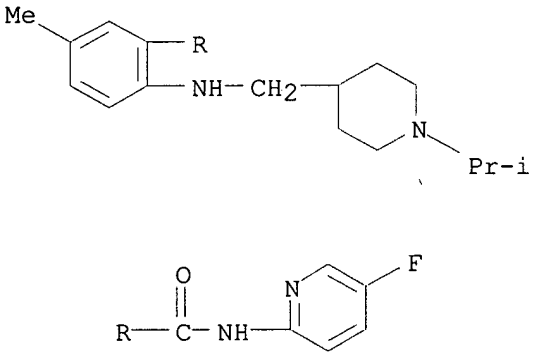
RN 280770-83-4 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[[(1-cyclopentyl-4-piperidinyl)methyl]amino]-5-methyl- (9CI) (CA INDEX NAME)



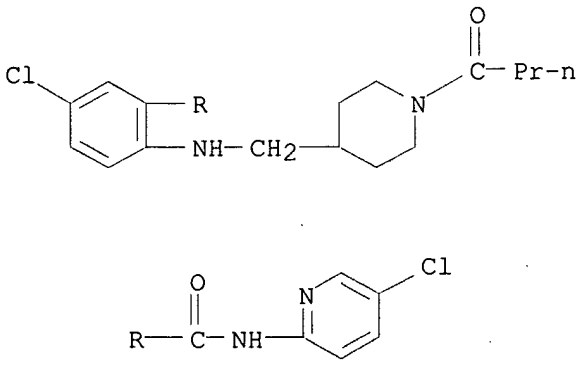
RN 280770-84-5 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-5-methyl-2-[[[1-(tetrahydro-2H-pyran-4-yl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



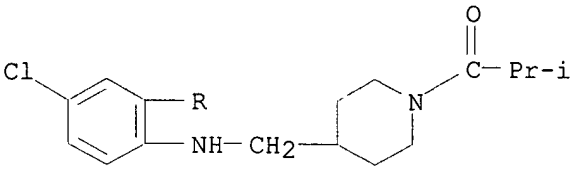
RN 280770-85-6 CAPLUS
CN Benzamide, N-(5-fluoro-2-pyridinyl)-5-methyl-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

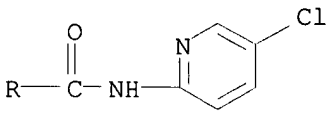


RN 280770-86-7 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(1-oxobutyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

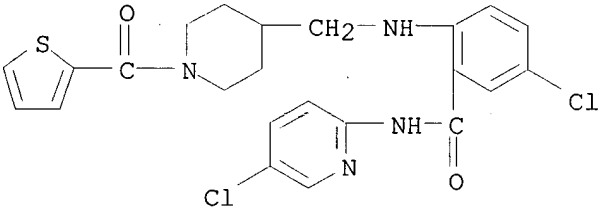


RN 280770-87-8 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(2-methyl-1-oxopropyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

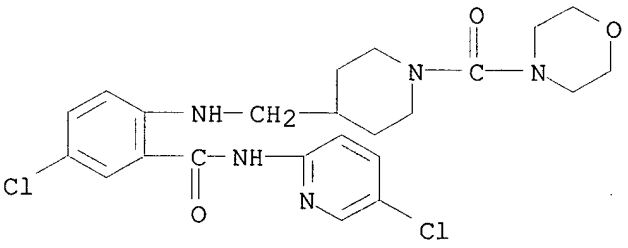




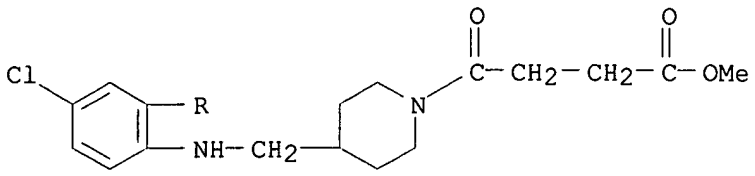
RN 280770-88-9 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(2-thienylcarbonyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

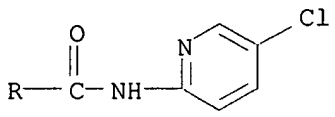


RN 280770-89-0 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(4-morpholinylcarbonyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

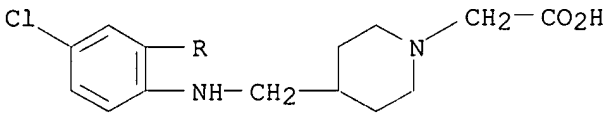


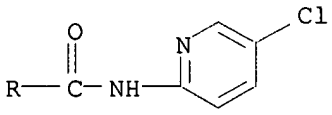
RN 280770-90-3 CAPLUS
CN 1-Piperidinebutanoic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-.gamma.-oxo-, methyl ester (9CI) (CA INDEX NAME)



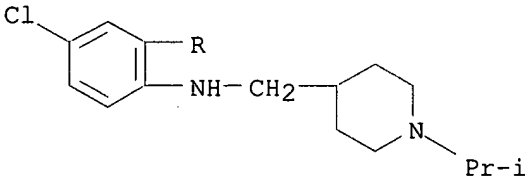


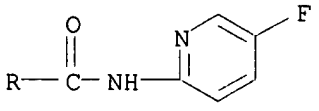
RN 280770-92-5 CAPLUS
CN 1-Piperidineacetic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)



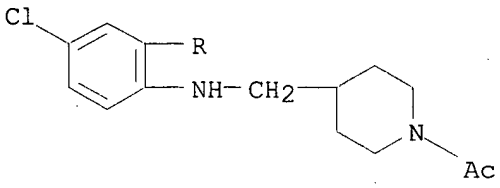


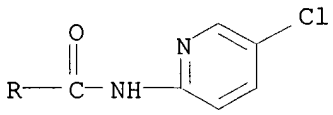
RN 280770-94-7 CAPLUS
CN Benzamide, 5-chloro-N-(5-fluoro-2-pyridinyl)-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



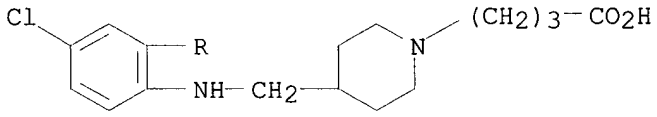


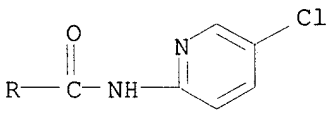
RN 280770-96-9 CAPLUS
CN Benzamide, 2-[[(1-acetyl-4-piperidinyl)methyl]amino]-5-chloro-N-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)



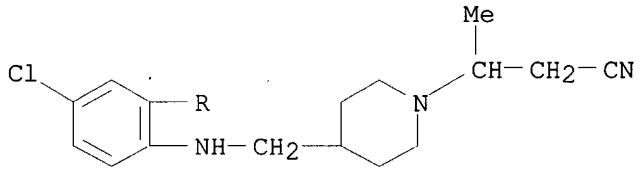


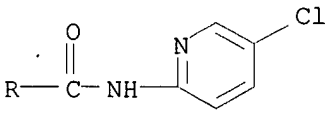
RN 280770-97-0 CAPLUS
CN 1-Piperidinebutanoic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)



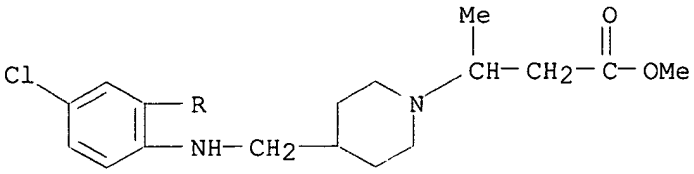


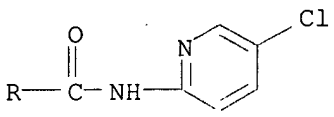
RN 280770-98-1 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(2-cyano-1-methylethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)



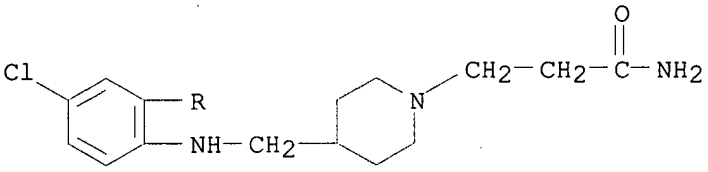


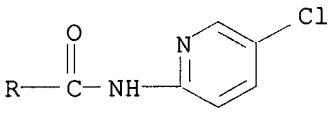
RN 280770-99-2 CAPLUS
CN 1-Piperidinepropanoic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-.beta.-methyl-, methyl ester (9CI) (CA INDEX NAME)



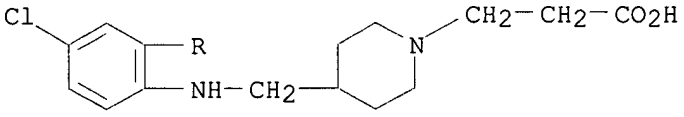


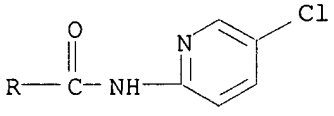
RN 280771-00-8 CAPLUS
CN 1-Piperidinepropanamide, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)



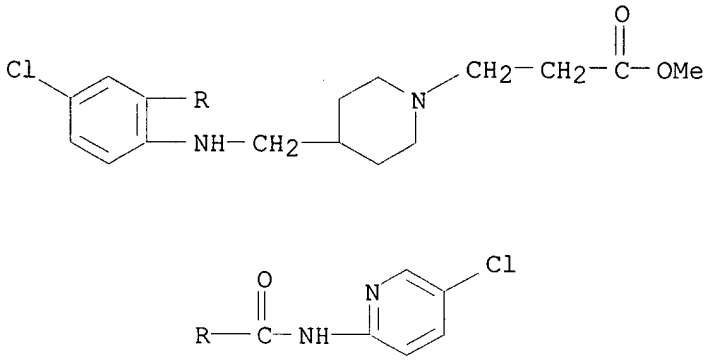


RN 280771-01-9 CAPLUS
CN 1-Piperidinepropanoic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]- (9CI) (CA INDEX NAME)

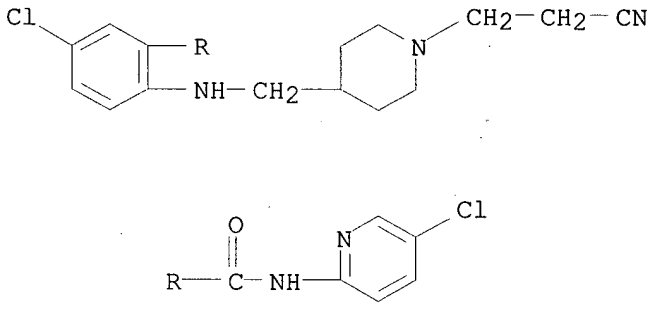




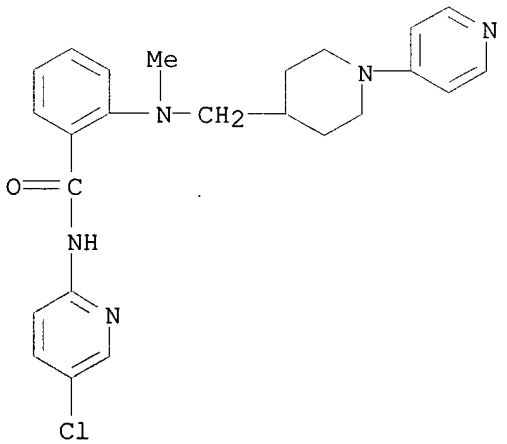
RN 280771-03-1 CAPLUS
CN 1-Piperidinepropanoic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 280771-04-2 CAPLUS
CN Benzamide, 5-chloro-N-(5-chloro-2-pyridinyl)-2-[[[1-(2-cyanoethyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

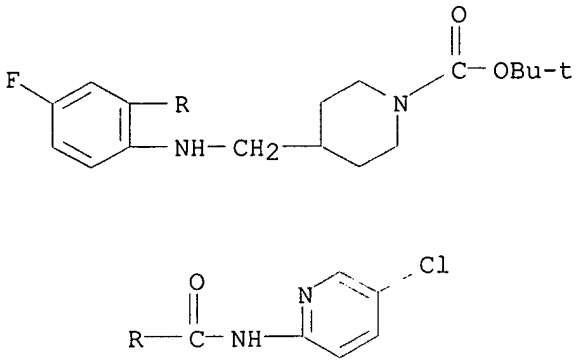


RN 280771-42-8 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[methyl[[1-(4-pyridinyl)-4-piperidinyl]methyl]amino]- (9CI) (CA INDEX NAME)

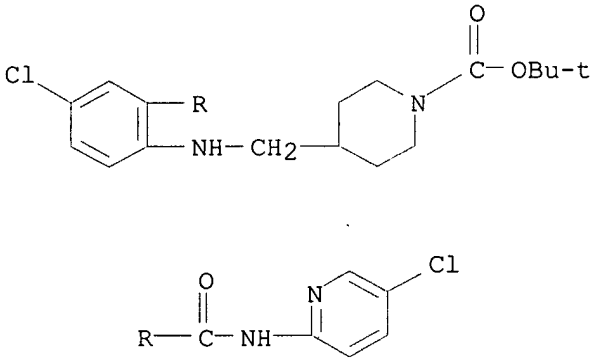


IT **280772-19-2P 280772-20-5P 280772-41-0P 280772-99-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heteroaryl-substituted arom. amides as factor Xa inhibitors)
RN 280772-19-2 CAPLUS

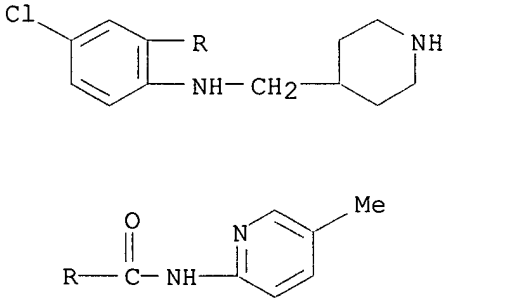
CN 1-Piperidinecarboxylic acid, 4-[[[2-[[(5-chloro-2-pyridinyl)amino]carbonyl]-4-fluorophenyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



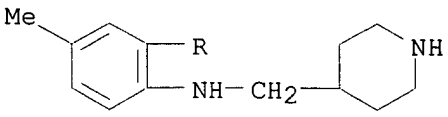
RN 280772-20-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[4-chloro-2-[[(5-chloro-2-pyridinyl)amino]carbonyl]phenyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

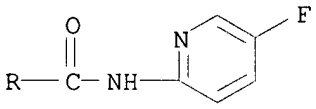


RN 280772-41-0 CAPLUS
CN Benzamide, 5-chloro-N-(5-methyl-2-pyridinyl)-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 280772-99-8 CAPLUS
CN Benzamide, N-(5-fluoro-2-pyridinyl)-5-methyl-2-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



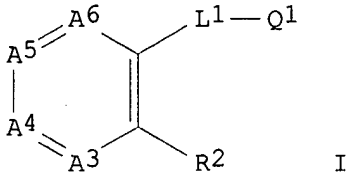


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:457052 CAPLUS
DOCUMENT NUMBER: 133:89436
TITLE: Antithrombotic aryl amides and their preparation
INVENTOR(S): Beight, Douglas Wade; Craft, Trelia Joyce; Franciskovich, Jeffry Bernard; Goodson, Theodore Junior; Hall, Steven Edward; Herron, David Kent; Joseph, Sajan; Klimkowski, Valentine Joseph; Masters, John Joseph; Mendel, David; Milot, Guy; Pineiro-Nunez, Marta Maria; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikel, James Howard; Wiley, Michael Robert; Yee, Ying Kwong
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Kyle, Jeffrey Alan
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000039111	A1	20000706	WO 1999-US29832	19991215
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140881	A1	20011010	EP 1999-964269	19991215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1998-113778P P 19981223
WO 1999-US29832 W 19991215
OTHER SOURCE(S): CASREACT 133:89436; MARPAT 133:89436
GI



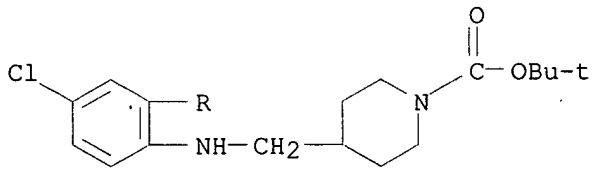
I

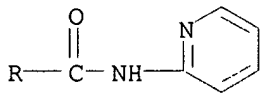
AB Title compds. I [A3-A6, together with the 2 C atoms to which they are attached, form a substituted benzene, A3 = CR3, A4 = CR4, A5 = CR5, A6 = CR6, R3 = H, R4 or R5 = H, Me, F, Cl, carboxy, alkoxycarbonyl, amino, sulfonylamido, and the other of R4 or R5 = H, R6 = H; A3-A6, together with the 2 C atoms to which they are attached, form a substituted heteroarom. ring in which either one of A3-A6 = N and the others = CR3-CR6, or 2 non-adjacent A3-A6 are each N, and each of the others is CR3-CR6, resp., where R3-R6 = H, Me, or 1 of R3-R6 attached to a C not bonded to an N is Cl and the others are H, preferably, none of A3-A6 = N and each of R3-R6 = H, or each of R3, R4 and R6 = H and R5 = Cl, or A3 = N and each of A4-A6 = CH; L1 = NHCO, CONH, CH2NH; Q1 = (un)substituted Ph, 2-furanyl, 2-thienyl, 4-thiazolyl, 2-pyridyl, 2-naphthyl, 1,2-dihydrobenzofuran-5-yl or -6-yl, 1,2-benzisoxazol-6-yl, 6-indolyl, 6-indolinyl, 6-indazolyl, 5-benzimidazolyl, 5-benzotriazolyl; R2 = NHCH2Q2, Q2 = substituted Ph or (un)substituted 4-piperidinyl, preferably, R2 = 4-(4-morpholinyl)benzylamino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, (1-isopropylpiperidin-4-ylmethyl)amino] or their pharmaceutically acceptable salts and pharmaceutical compns., useful as inhibitors of blood-coagulation factor Xa (no data), are claimed, along with a process for their prepn. and synthetic intermediates. In an example, I [A3 = N, A4-A6 = CH; L1 = NHCO; Q1 = 4-MeOC6H4; R2 = [1-(4-pyridinyl)piperidin-4-ylmethyl]amino] is prepd. in 3 steps starting from 2-chloro-3-nitropyridine and 1-(4-pyridyl)piperidine-4-methylamine (prepn. given).

IT **280556-80-1P 280556-81-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. as intermediate in synthesis of antithrombotic aryl or heteroaryl amides)

RN 280556-80-1 CAPLUS

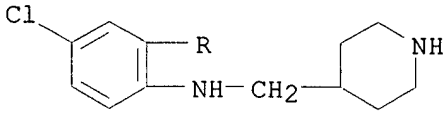
CN 1-Piperidinecarboxylic acid, 4-[[[4-chloro-2-[(2-pyridinylamino)carbonyl]phenyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

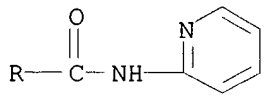




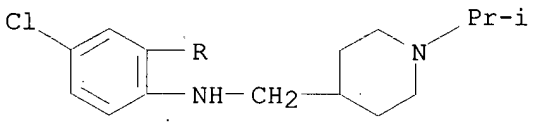
RN 280556-81-2 CAPLUS

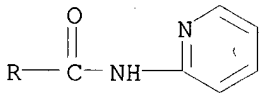
CN Benzamide, 5-chloro-2-[(4-piperidinylmethyl)amino]-N-2-pyridinyl- (9CI) (CA INDEX NAME)





IT **280556-69-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryl amides as antithrombotics)
RN 280556-69-6 CAPLUS
CN Benzamide, 5-chloro-2-[[[1-(1-methylethyl)-4-piperidinyl]methyl]amino]-N-2-pyridinyl- (9CI) (CA INDEX NAME)



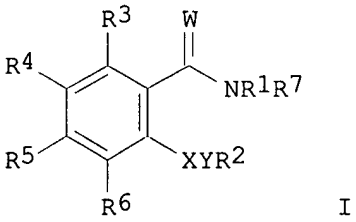


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:335388 CAPLUS
DOCUMENT NUMBER: 132:347491
TITLE: Preparation of N-aryl(thio)anthranilic acid amides as VEGF receptor tyrosine kinase inhibitors
INVENTOR(S): Altmann, Karl-Heinz; Bold, Guido; Furet, Pascal; Manley, Paul William; Wood, Jeanette Marjorie; Ferrari, Stefano; Hofmann, Francesco; Mestan, Jurgen; Huth, Andreas; Kruger, Martin; Seidelmann, Dieter; Menrad, Andreas; Haberey, Martin; Thierauch, Karl-Heinz
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.; Schering Aktiengesellschaft
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2000027820 A1 20000518 WO 1999-EP8545 19991108
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
BR 9915210 A 20010724 BR 1999-15210 19991108
EP 1129075 A1 20010905 EP 1999-971802 19991108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
NO 2001001894 A 20010704 NO 2001-1894 20010417
US 2002019414 A1 20020214 US 2001-850434 20010507
PRIORITY APPLN. INFO.: GB 1998-24579 A 19981110
WO 1999-EP8545 W 19991108
OTHER SOURCE(S): MARPAT 132:347491
GI



I

AB Use of title compds. I; W = O, S; X = NR8; Y = CR9R10(CH2)n, SO2; R9, R10 = H, alkyl; n = 0-3; R1 = aryl; R2 = mono- or bicyclic heteroaryl with the exception that R2 cannot = 2-phthalimidyl, and when Y = SO2 cannot represent 2,1,3-benzothiadiazol-4-yl; R3-R6 = H, substituent; R7, R8 = H, alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof, for the prepn. of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity is claimed. Thus, a mixt. of 4-pyridinecarboxaldehyde and 2-amino-N-(4-trifluoromethylphenyl)benzamide (prepn. given) in MeOH contg. HOAc was treated with NaBH3CN followed by 16 h stirring to give 2-[(4-pyridyl)methyl]amino-N-[4-(trifluoromethyl)phenyl]benzamide. Tested I inhibited Flt-1 VEGF receptor tyrosine kinase with IC50 = 0.18-0.56 .mu.M.

IT **269390-66-1P 269390-67-2P 269390-68-3P**
269390-69-4P 269390-70-7P 269390-71-8P
269390-72-9P 269390-73-0P 269390-74-1P
269390-75-2P 269390-76-3P 269390-77-4P
269390-78-5P 269390-79-6P 269390-80-9P
269390-81-0P 269390-82-1P 269390-83-2P
269390-84-3P 269390-85-4P 269390-86-5P
269390-87-6P 269390-88-7P 269390-89-8P
269390-90-1P 269390-91-2P 269390-92-3P
269390-93-4P 269390-94-5P 269390-95-6P
269390-96-7P 269390-97-8P 269390-98-9P
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269391-08-4P 269391-09-5P 269391-10-8P

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269391-17-5P 269391-18-6P 269391-19-7P
269391-20-0P 269391-21-1P 269391-22-2P
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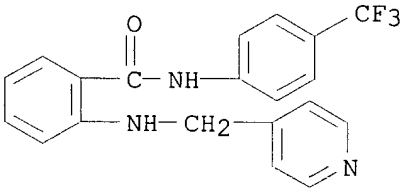
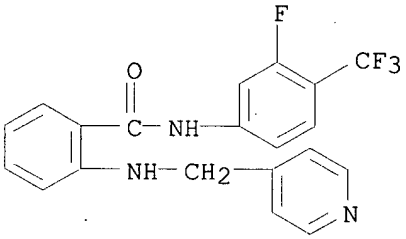
269391-55-1P 269391-56-2P 269391-57-3P
269391-58-4P 269391-59-5P 269391-60-8P
269391-61-9P 269391-62-0P 269391-63-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-aryl(thio)anthranilic acid amides as VEGF receptor tyrosine kinase inhibitors)

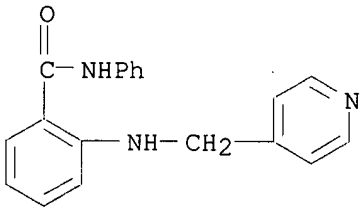
RN 269390-66-1 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



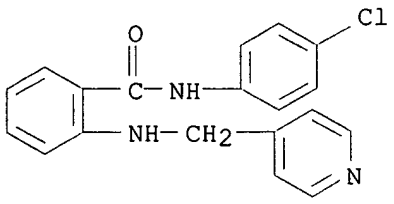
RN 269390-67-2 CAPLUS
CN Benzamide, N-[3-fluoro-4-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



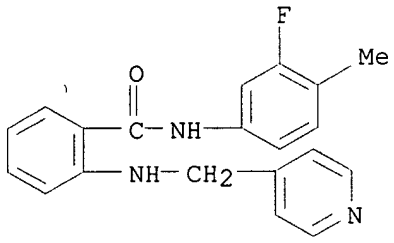
RN 269390-68-3 CAPLUS
CN Benzamide, N-phenyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 269390-69-4 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

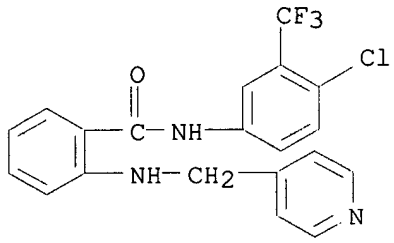


RN 269390-70-7 CAPLUS
CN Benzamide, N-(3-fluoro-4-methylphenyl)-2-[(4-pyridinylmethyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)

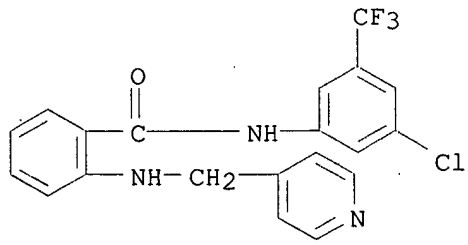


●2 HCl

RN 269390-71-8 CAPLUS
CN Benzamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

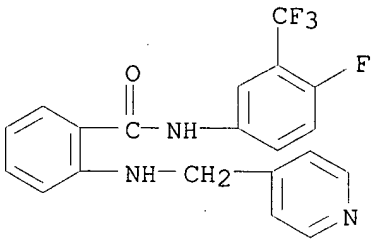


RN 269390-72-9 CAPLUS
CN Benzamide, N-[3-chloro-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

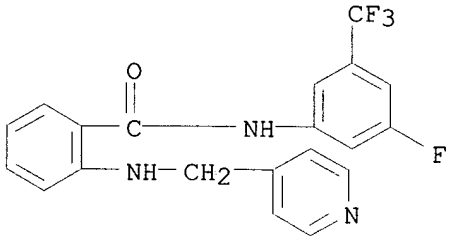


RN 269390-73-0 CAPLUS
CN Benzamide, N-[4-fluoro-3-(trifluoromethyl)phenyl]-2-[(4-

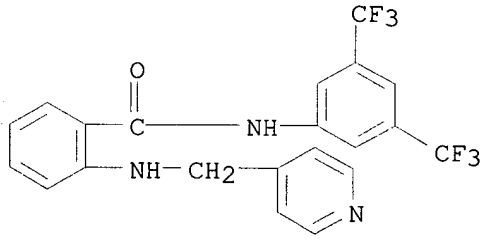
pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



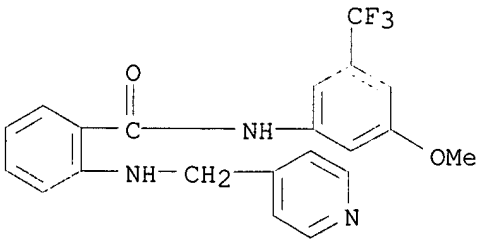
RN 269390-74-1 CAPLUS
CN Benzamide, N-[3-fluoro-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 269390-75-2 CAPLUS
CN Benzamide, N-[3,5-bis(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

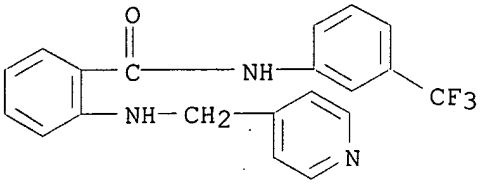


RN 269390-76-3 CAPLUS
CN Benzamide, N-[3-methoxy-5-(trifluoromethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

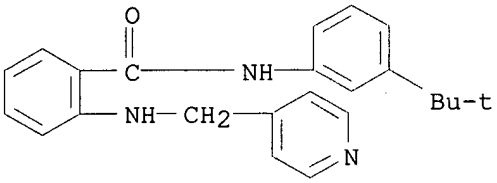


RN 269390-77-4 CAPLUS

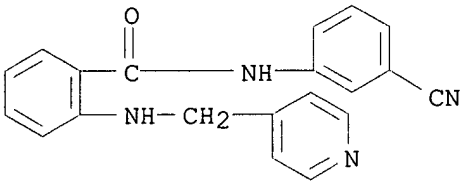
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



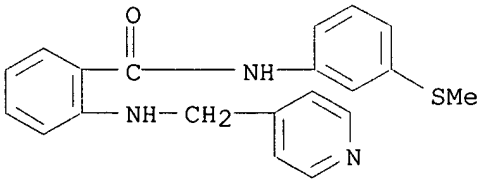
RN 269390-78-5 CAPLUS
CN Benzamide, N-[3-(1,1-dimethylethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



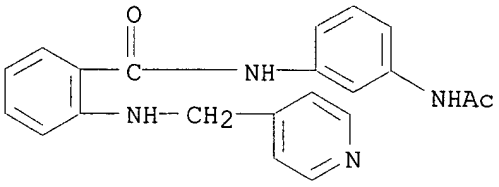
RN 269390-79-6 CAPLUS
CN Benzamide, N-(3-cyanophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



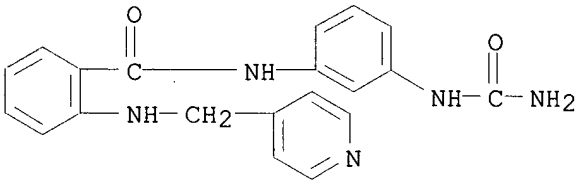
RN 269390-80-9 CAPLUS
CN Benzamide, N-[3-(methylthio)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



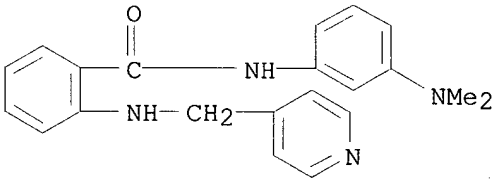
RN 269390-81-0 CAPLUS
CN Benzamide, N-[3-(acetylamino)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



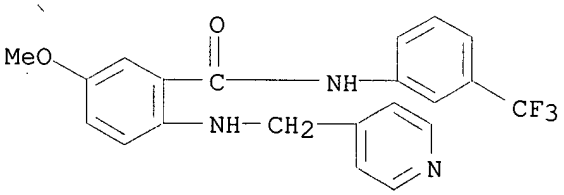
RN 269390-82-1 CAPLUS
CN Benzamide, N-[3-[(aminocarbonyl)amino]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



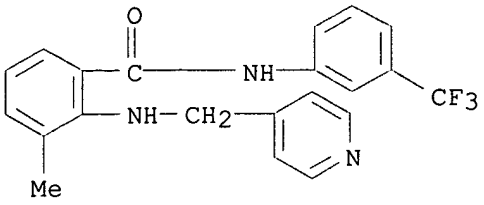
RN 269390-83-2 CAPLUS
CN Benzamide, N-[3-(dimethylamino)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 269390-84-3 CAPLUS
CN Benzamide, 5-methoxy-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

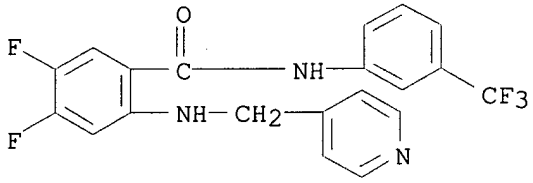


RN 269390-85-4 CAPLUS
CN Benzamide, 3-methyl-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

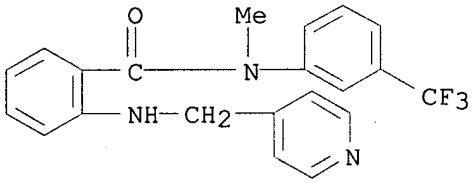


●2 HCl

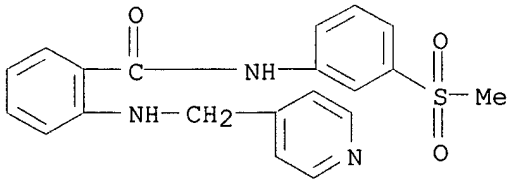
RN 269390-86-5 CAPLUS
CN Benzamide, 4,5-difluoro-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



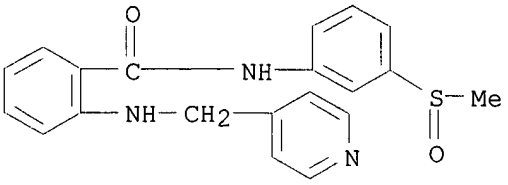
RN 269390-87-6 CAPLUS
CN Benzamide, N-methyl-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



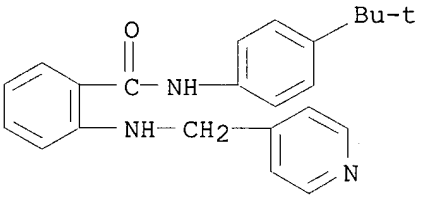
RN 269390-88-7 CAPLUS
CN Benzamide, N-[3-(methylsulfonyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



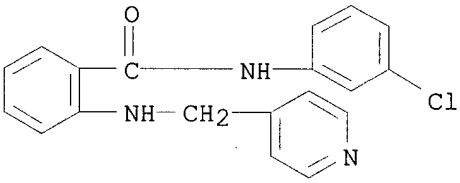
RN 269390-89-8 CAPLUS
CN Benzamide, N-[3-(methylsulfinyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



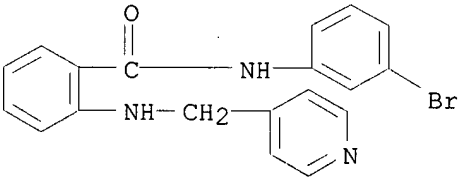
RN 269390-90-1 CAPLUS
CN Benzamide, N-[4-(1,1-dimethylethyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



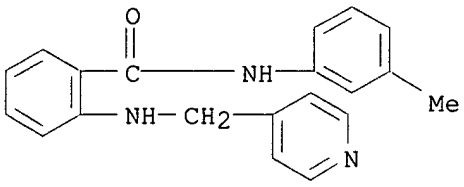
RN 269390-91-2 CAPLUS
CN Benzamide, N-(3-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



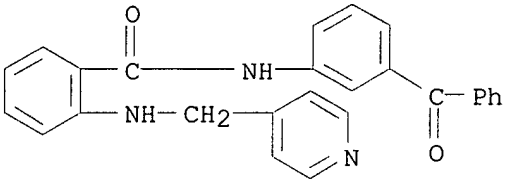
RN 269390-92-3 CAPLUS
CN Benzamide, N-(3-bromophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



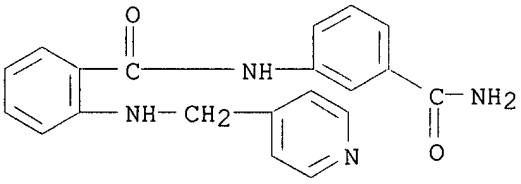
RN 269390-93-4 CAPLUS
CN Benzamide, N-(3-methylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



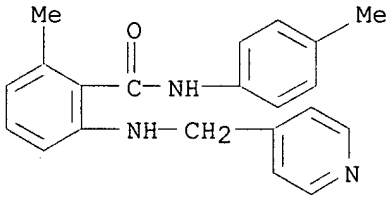
RN 269390-94-5 CAPLUS
CN Benzamide, N-(3-benzoylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



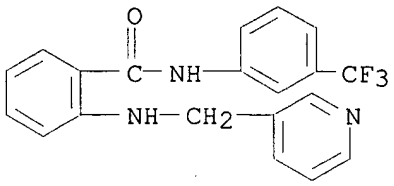
RN 269390-95-6 CAPLUS
CN Benzamide, N-[3-(aminocarbonyl)phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



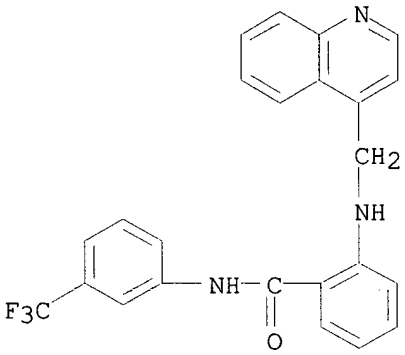
RN 269390-96-7 CAPLUS
CN Benzamide, 2-methyl-N-(4-methylphenyl)-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



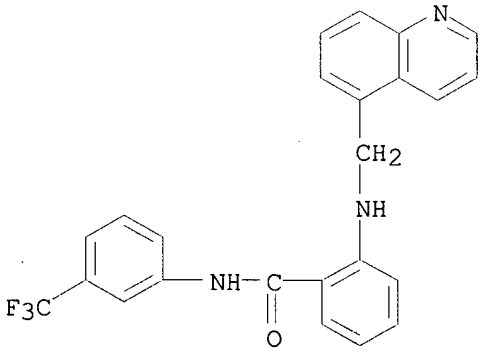
RN 269390-97-8 CAPLUS
CN Benzamide, 2-[(3-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



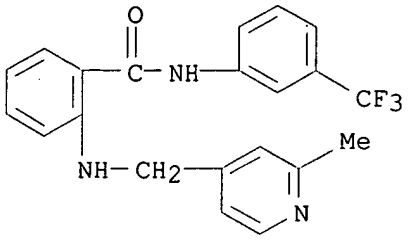
RN 269390-98-9 CAPLUS
CN Benzamide, 2-[(4-quinolinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



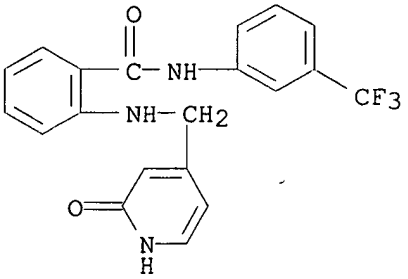
RN 269390-99-0 CAPLUS
CN Benzamide, 2-[(5-quinolinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



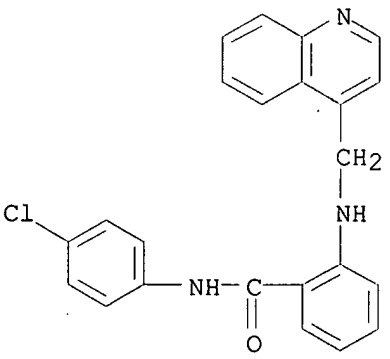
RN 269391-00-6 CAPLUS
CN Benzamide, 2-[[(2-methyl-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



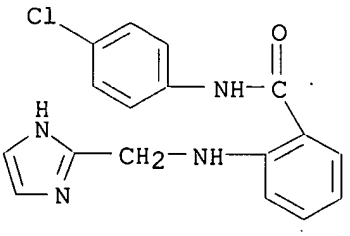
RN 269391-01-7 CAPLUS
CN Benzamide, 2-[[(1,2-dihydro-2-oxo-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



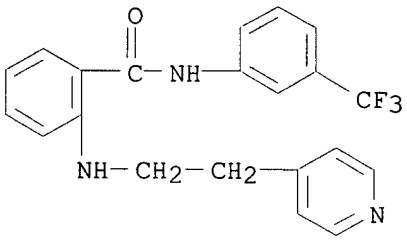
RN 269391-02-8 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-2-[(4-quinolinylmethyl)amino]- (9CI) (CA INDEX NAME)



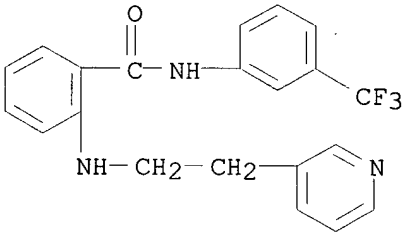
RN 269391-03-9 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-2-[(1H-imidazol-2-ylmethyl)amino]- (9CI) (CA INDEX NAME)



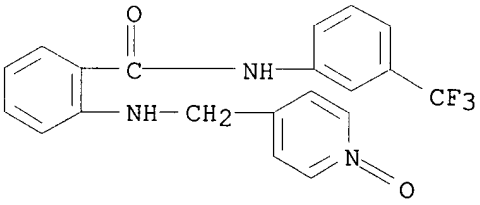
RN 269391-04-0 CAPLUS
CN Benzamide, 2-[[2-(4-pyridinyl)ethyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



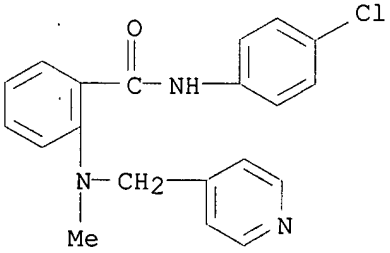
RN 269391-05-1 CAPLUS
CN Benzamide, 2-[[2-(3-pyridinyl)ethyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



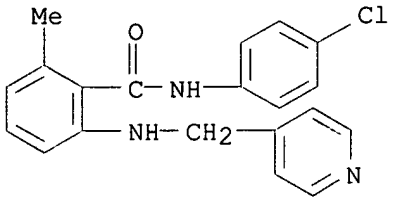
RN 269391-06-2 CAPLUS
CN Benzamide, 2-[[(1-oxido-4-pyridinyl)methyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



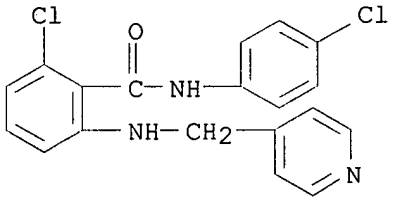
RN 269391-07-3 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-2-[methyl(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



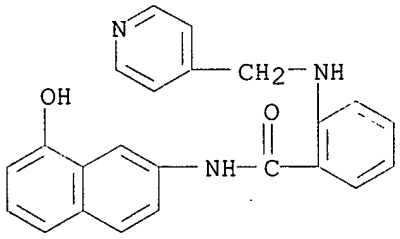
RN 269391-08-4 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-2-methyl-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



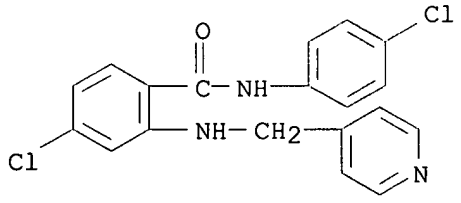
RN 269391-09-5 CAPLUS
CN Benzamide, 2-chloro-N-(4-chlorophenyl)-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



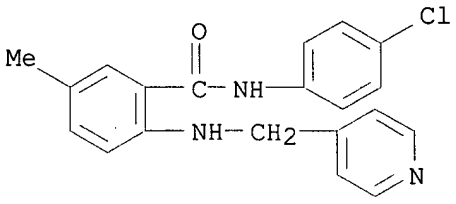
RN 269391-10-8 CAPLUS
CN Benzamide, N-(8-hydroxy-2-naphthalenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



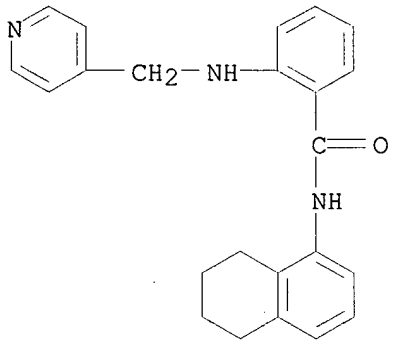
RN 269391-11-9 CAPLUS
CN Benzamide, 4-chloro-N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



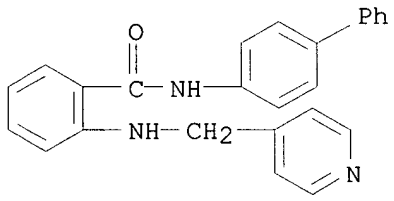
RN 269391-12-0 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-5-methyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



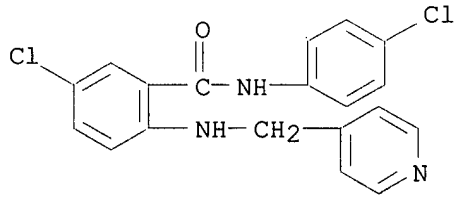
RN 269391-13-1 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(5,6,7,8-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



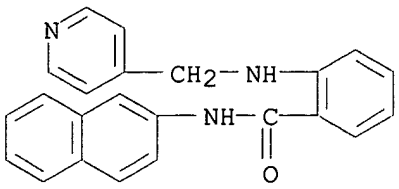
RN 269391-14-2 CAPLUS
CN Benzamide, N-[1,1'-biphenyl]-4-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



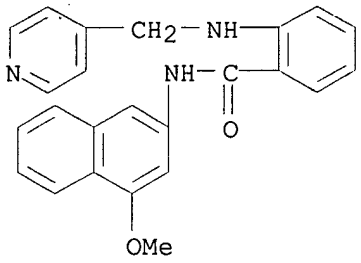
RN 269391-15-3 CAPLUS
CN Benzamide, 5-chloro-N-(4-chlorophenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



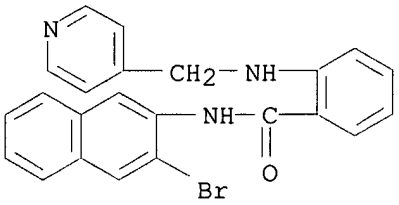
RN 269391-16-4 CAPLUS
CN Benzamide, N-2-naphthalenyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



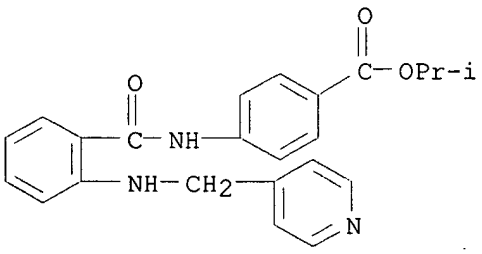
RN 269391-17-5 CAPLUS
CN Benzamide, N-(4-methoxy-2-naphthalenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



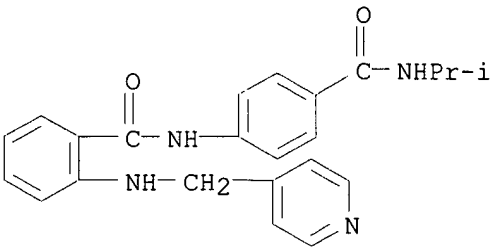
RN 269391-18-6 CAPLUS
CN Benzamide, N-(3-bromo-2-naphthalenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



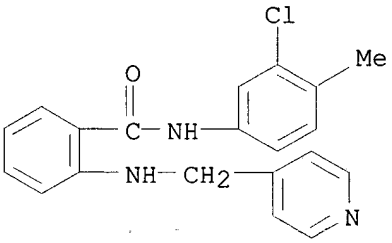
RN 269391-19-7 CAPLUS
CN Benzoic acid, 4-[[2-[(4-pyridinylmethyl)amino]benzoyl]amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



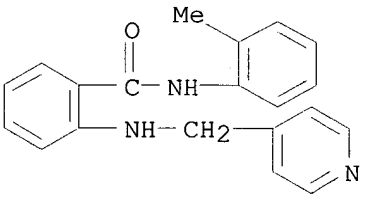
RN 269391-20-0 CAPLUS
CN Benzamide, N-[4-[[(1-methylethyl)amino]carbonyl]phenyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



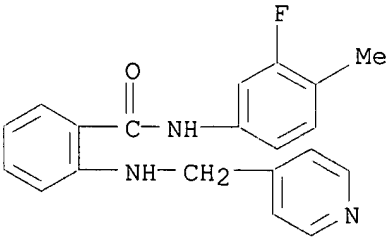
RN 269391-21-1 CAPLUS
CN Benzamide, N-(3-chloro-4-methylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



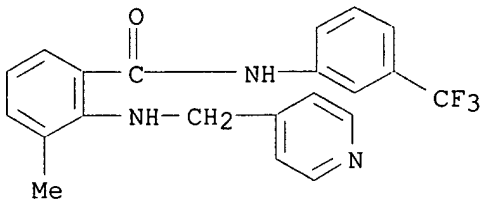
RN 269391-22-2 CAPLUS
CN Benzamide, N-(2-methylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



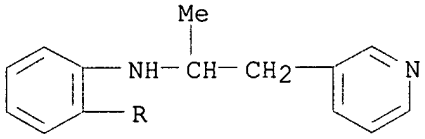
RN 269391-49-3 CAPLUS
CN Benzamide, N-(3-fluoro-4-methylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

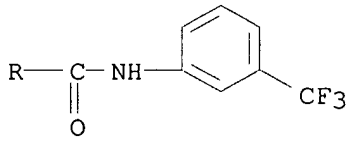


RN 269391-50-6 CAPLUS
CN Benzamide, 3-methyl-2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

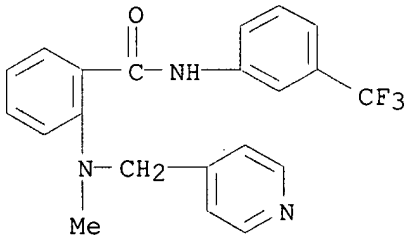


RN 269391-51-7 CAPLUS
CN Benzamide, 2-[[1-methyl-2-(3-pyridinyl)ethyl]amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

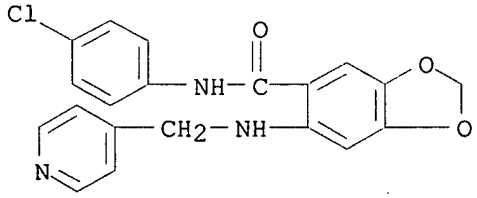




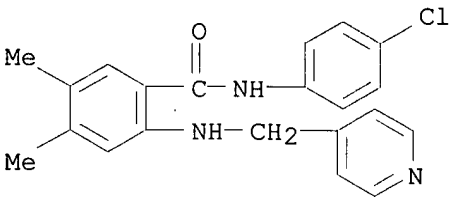
RN 269391-52-8 CAPLUS
CN Benzamide, 2-[methyl(4-pyridinylmethyl)amino]-N-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



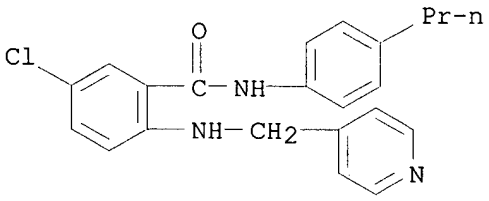
RN 269391-53-9 CAPLUS
CN 1,3-Benzodioxole-5-carboxamide, N-(4-chlorophenyl)-6-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



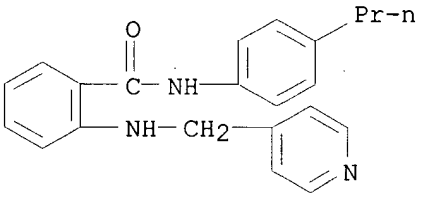
RN 269391-54-0 CAPLUS
CN Benzamide, N-(4-chlorophenyl)-4,5-dimethyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



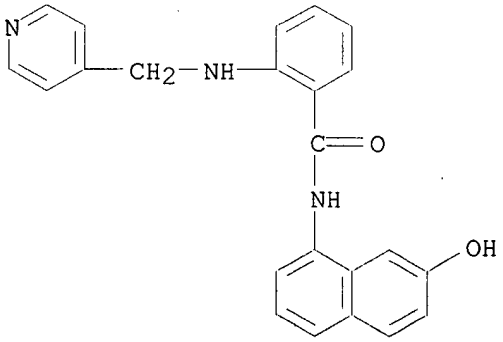
RN 269391-55-1 CAPLUS
CN Benzamide, 5-chloro-N-(4-propylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



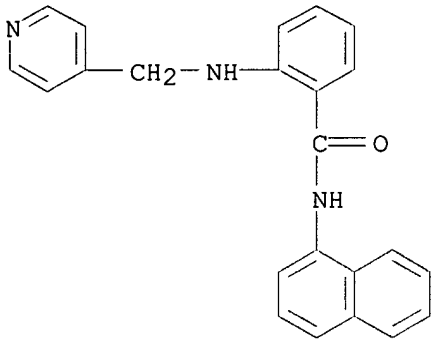
RN 269391-56-2 CAPLUS
CN Benzamide, N-(4-propylphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



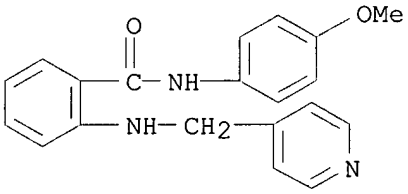
RN 269391-57-3 CAPLUS
CN Benzamide, N-(7-hydroxy-1-naphthalenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



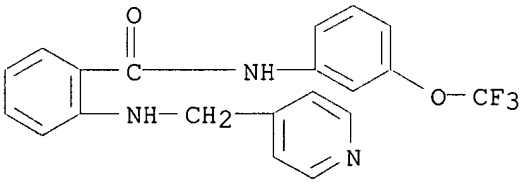
RN 269391-58-4 CAPLUS
CN Benzamide, N-1-naphthalenyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



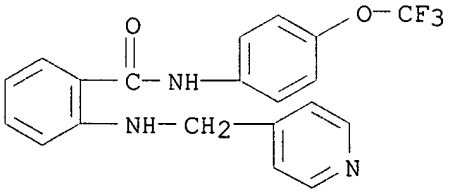
RN 269391-59-5 CAPLUS
CN Benzamide, N-(4-methoxyphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



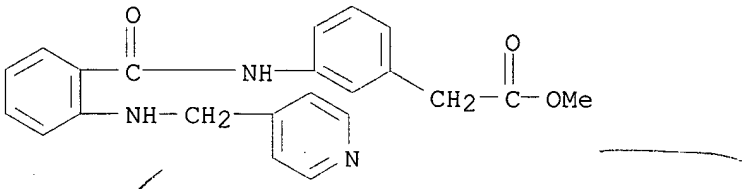
RN 269391-60-8 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



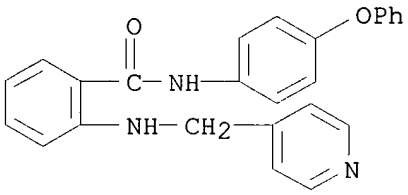
RN 269391-61-9 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 269391-62-0 CAPLUS
CN Benzeneacetic acid, 3-[[2-[(4-pyridinylmethyl)amino]benzoyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 269391-63-1 CAPLUS
CN Benzamide, N-(4-phenoxyphenyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:335387 CAPLUS
DOCUMENT NUMBER: 132:334364
TITLE: Preparation of anthranilic acid amides as vascular endothelial growth factor receptor inhibitors.
INVENTOR(S): Huth, Andreas; Seidelmann, Dieter; Thierauch, Karl-Heinz; Bold, Guido; Manley, Paul William; Furet, Pascal; Wood, Jeanette Marjorie; Mestan, Jurgen; Bruggen, Jose; Ferrari, Stefano; Kruger, Martin; Ottow, Eckhard; Menrad, Andreas; Schirner, Michael
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany; Novartis Aktiengesellschaft
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

applicant

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027819	A2	20000518	WO 1999-EP8478	19991109
WO 2000027819	A3	20000817		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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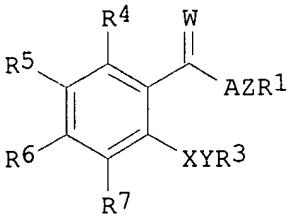
DE 19910396	C2	20011213		
BR 9915553	A	20010814	BR 1999-15553	19991109
EP 1129074	A2	20010905	EP 1999-953967	19991109

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
NO 2001002245 A 20010710 NO 2001-2245 20010507
PRIORITY APPLN. INFO.: GB 1998-24579 A 19981110
DE 1999-19910396 A 19990303
WO 1999-EP8478 W 19991109
OTHER SOURCE(S): MARPAT 132:334364
GI



I

AB Title compds. [I; A = NR2; W = O, S, H2, NR8; Z = NR10, N, NR10(CH2)q, alkyl, etc.; q = 1-6; AZR1 = tetrahydroisoquinolinyl, indazolyl, 5-chloroindolyl, etc.; R1 = (substituted) aryl, heteroaryl; R2 = H, alkyl; R3 = (substituted) mono- or bicyclic aryl, heteroaryl; R4-R7 = H, halo, (substituted) alkoxy, alkyl, carboxyalkyl; R5R6 = dioxetanyl; R8, R10 = H, alkyl]. Thus, Me N-(4-pyridylmethyl)anthranilate (prepn. given) was stirred with Ph(CH2)3NH2 and Me3Al were stirred in PhMe to give N-(3-phenylprop-1-yl)-N2-(4-pyridylmethyl)anthranilamide. The latter inhibited VEGFR I with IC50 = 0.05 .mu.M.

IT **267891-04-3P 267891-05-4P 267891-06-5P**
267891-07-6P 267891-09-8P 267891-10-1P
267891-11-2P 267891-12-3P 267891-13-4P
267891-14-5P 267891-15-6P 267891-16-7P
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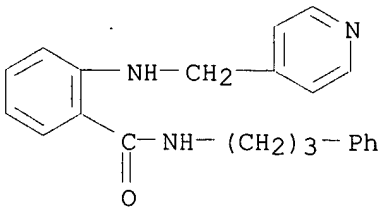
267891-79-2P 267891-80-5P 267891-81-6P
267891-82-7P 267891-83-8P 267891-84-9P
267891-85-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of anthranilic acid amides as VEGF receptor inhibitors)

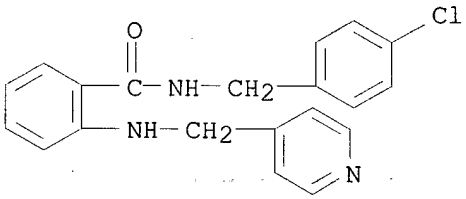
RN 267891-04-3 CAPLUS

CN Benzamide, N-(3-phenylpropyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

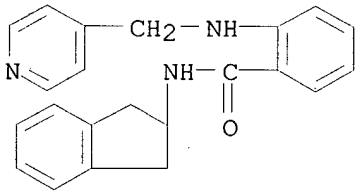


546/337
514/357

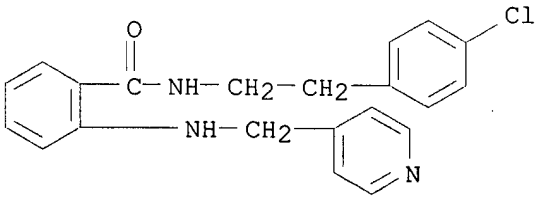
RN 267891-05-4 CAPLUS
CN Benzamide, N-[(4-chlorophenyl)methyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



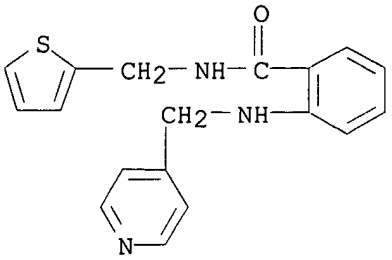
RN 267891-06-5 CAPLUS
CN Benzamide, N-(2,3-dihydro-1H-inden-2-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-07-6 CAPLUS
CN Benzamide, N-[2-(4-chlorophenyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

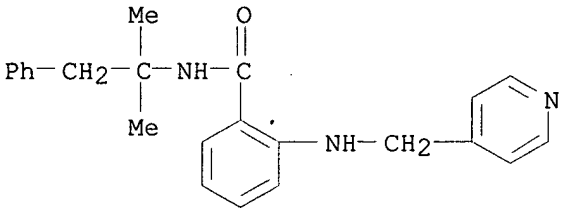


RN 267891-09-8 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

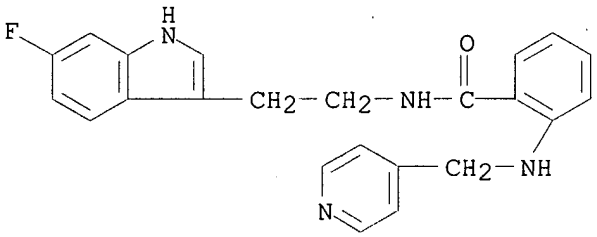


546/280.4
514/336

RN 267891-10-1 CAPLUS
CN Benzamide, N-(1,1-dimethyl-2-phenylethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

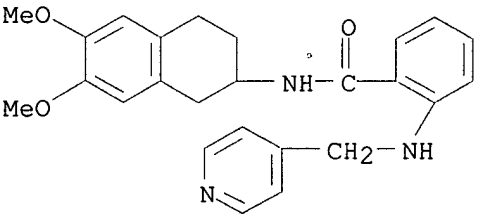


RN 267891-11-2 CAPLUS
CN Benzamide, N-[2-(6-fluoro-1H-indol-3-yl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

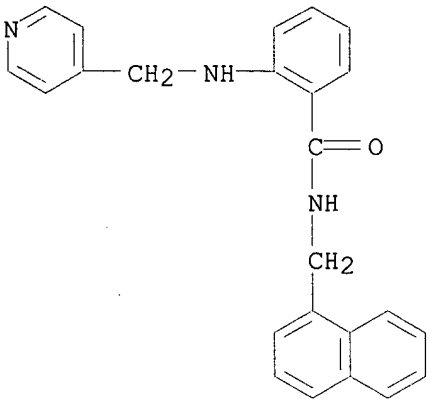


546/277.4
514/339

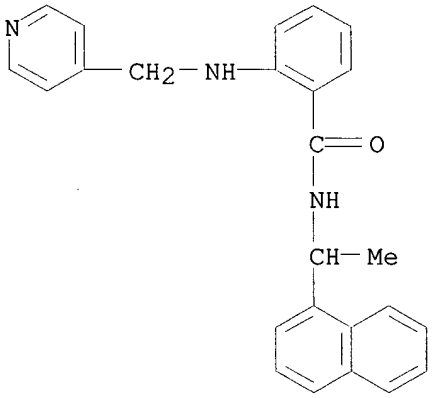
RN 267891-12-3 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



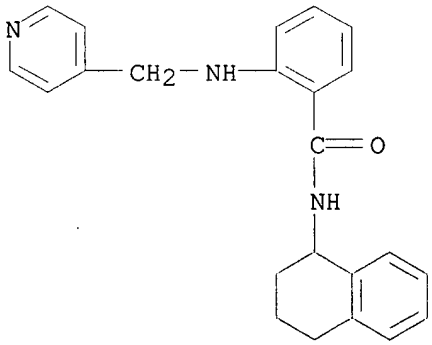
RN 267891-13-4 CAPLUS
CN Benzamide, N-(1-naphthalenylmethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



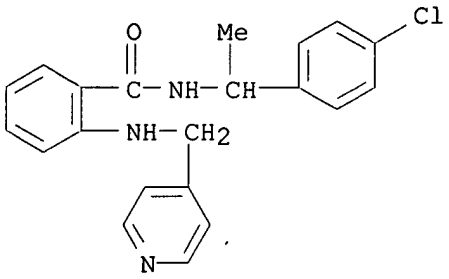
RN 267891-14-5 CAPLUS
CN Benzamide, N-[1-(1-naphthalenyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



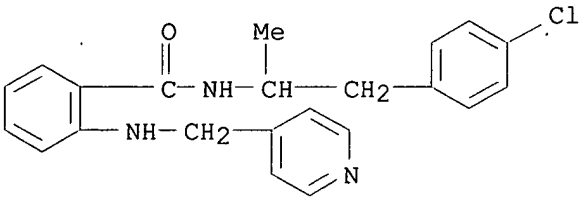
RN 267891-15-6 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



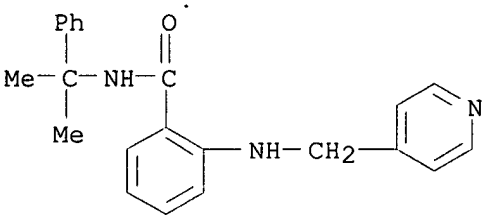
RN 267891-16-7 CAPLUS
CN Benzamide, N-[1-(4-chlorophenyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-17-8 CAPLUS
CN Benzamide, N-[2-(4-chlorophenyl)-1-methylethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

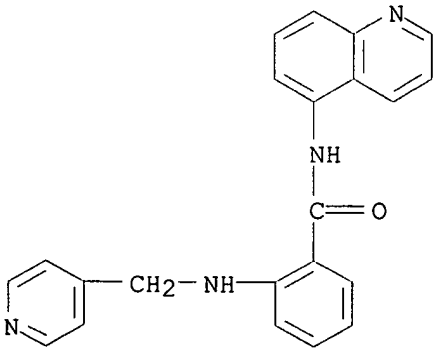


RN 267891-18-9 CAPLUS
CN Benzamide, N-(1-methyl-1-phenylethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



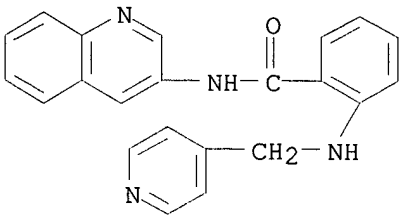
RN 267891-19-0 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-5-quinolinyl- (9CI) (CA INDEX NAME)

546/371
514/314

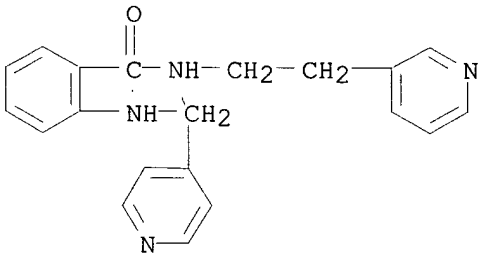


RN 267891-20-3 CAPLUS

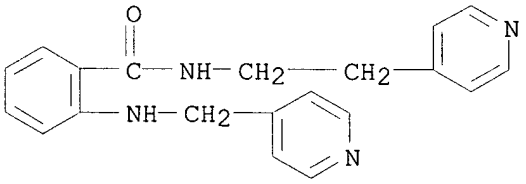
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-3-quinolinyl- (9CI) (CA INDEX NAME)



RN 267891-21-4 CAPLUS
CN Benzamide, N-[2-(3-pyridinyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

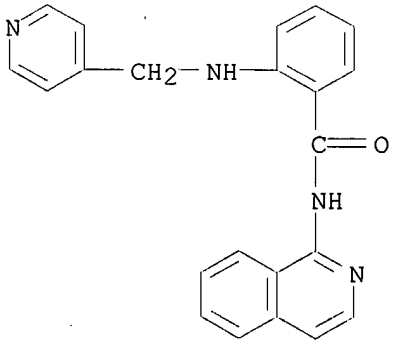


RN 267891-22-5 CAPLUS
CN Benzamide, N-[2-(4-pyridinyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



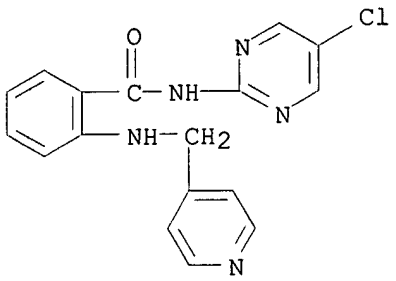
546/265
514/332

RN 267891-23-6 CAPLUS
CN Benzamide, N-1-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



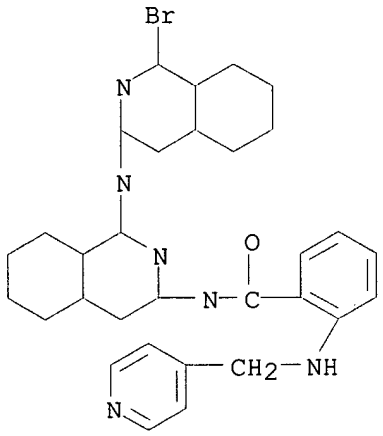
546/143
514/310

RN 267891-24-7 CAPLUS
CN Benzamide, N-(5-chloro-2-pyrimidinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

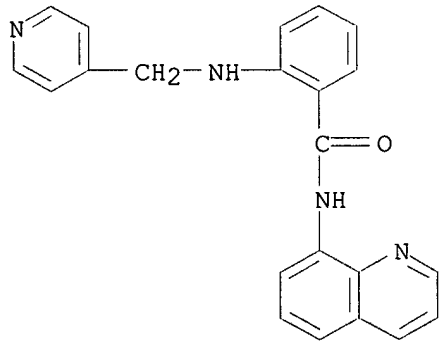


544/331
514/272

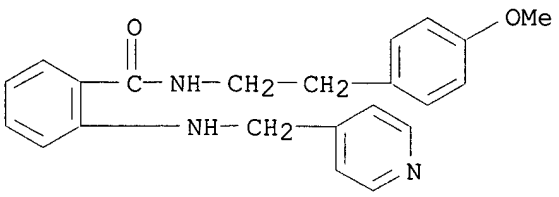
RN 267891-25-8 CAPLUS
CN Benzamide, N-[1-[(1-bromo-3-isoquinolinyl)amino]-3-isoquinolinyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



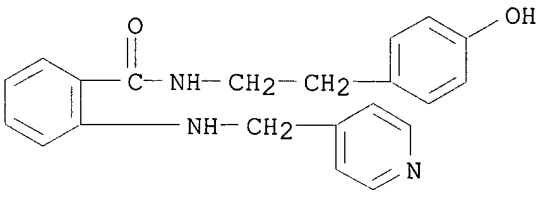
*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 267891-26-9 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-8-quinolinyl- (9CI) (CA INDEX NAME)



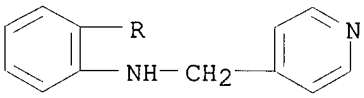
RN 267891-27-0 CAPLUS
CN Benzamide, N-[2-(4-methoxyphenyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

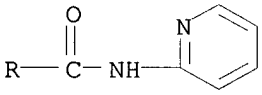


RN 267891-28-1 CAPLUS
CN Benzamide, N-[2-(4-hydroxyphenyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

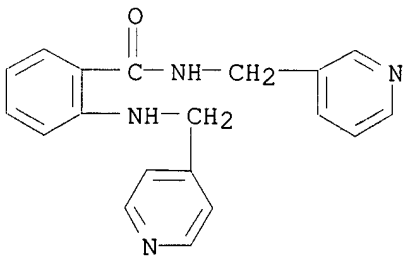


RN 267891-29-2 CAPLUS
CN Benzamide, N-2-pyridinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

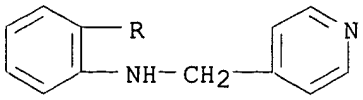


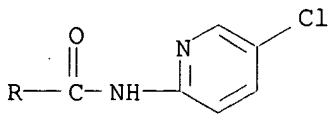


RN 267891-30-5 CAPLUS
CN Benzamide, N-(3-pyridinylmethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

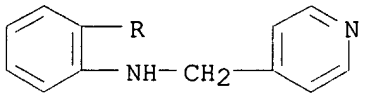


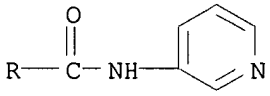
RN 267891-31-6 CAPLUS
CN Benzamide, N-(5-chloro-2-pyridinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



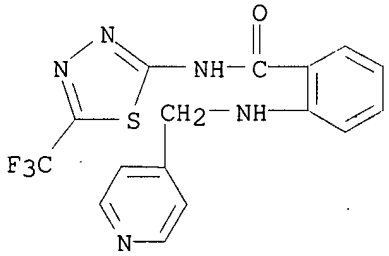


RN 267891-32-7 CAPLUS
CN Benzamide, N-3-pyridinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



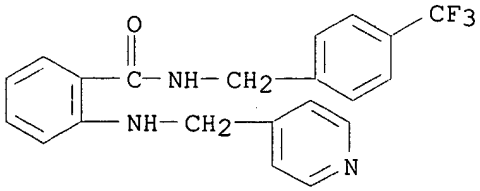


RN 267891-33-8 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]- (9CI) (CA INDEX NAME)



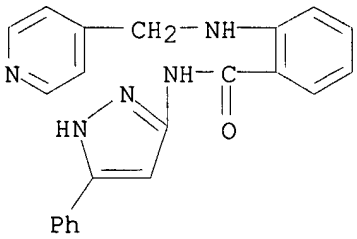
546/268.7
514/342

RN 267891-34-9 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



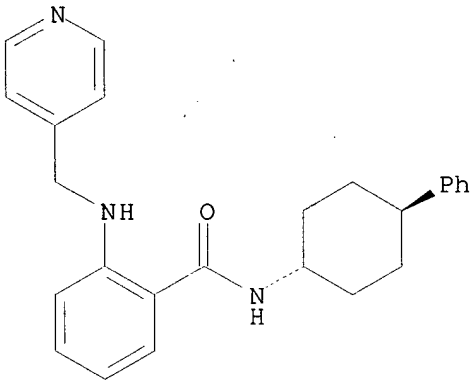
RN 267891-35-0 CAPLUS
CN Benzamide, N-(5-phenyl-1H-pyrazol-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

546 | 275.4
514 | 341

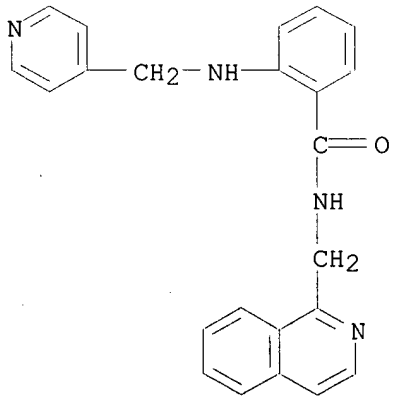


RN 267891-36-1 CAPLUS
CN Benzamide, N-(trans-4-phenylcyclohexyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

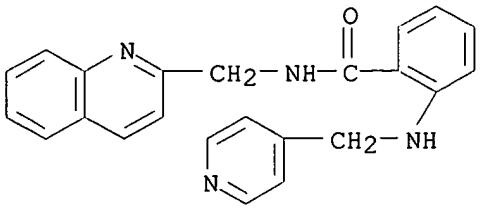
Relative stereochemistry.



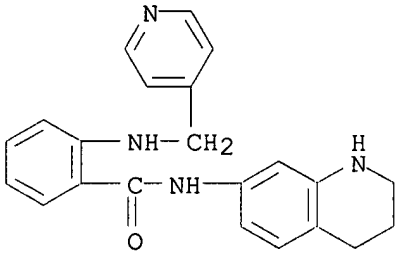
RN 267891-37-2 CAPLUS
CN Benzamide, N-(1-isoquinolinylmethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



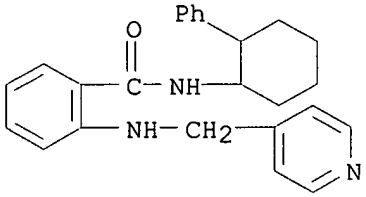
RN 267891-38-3 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



RN 267891-39-4 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(1,2,3,4-tetrahydro-7-quinolinyl)- (9CI) (CA INDEX NAME)

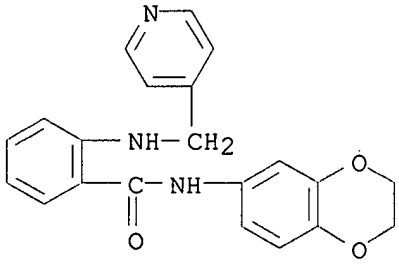


RN 267891-40-7 CAPLUS
CN Benzamide, N-(2-phenylcyclohexyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

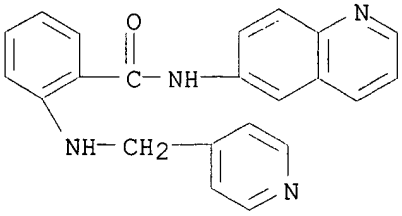


RN 267891-41-8 CAPLUS
CN Benzamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

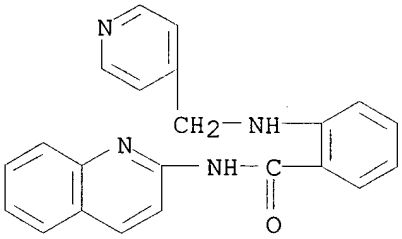
546 | 282.4
514 | 338



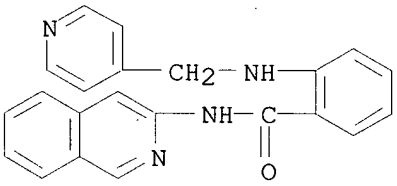
RN 267891-42-9 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-6-quinolinyl- (9CI) (CA INDEX NAME)



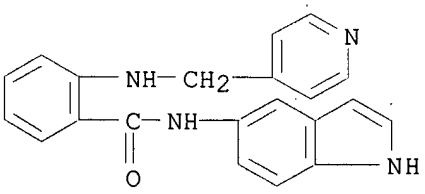
RN 267891-43-0 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)



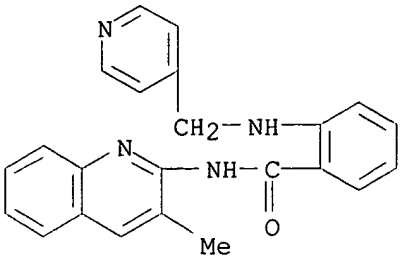
RN 267891-44-1 CAPLUS
CN Benzamide, N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



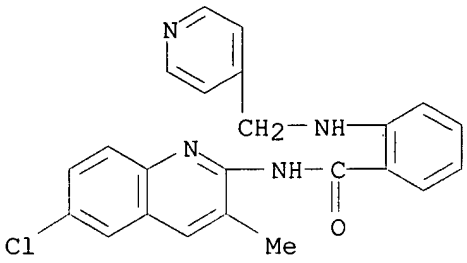
RN 267891-45-2 CAPLUS
CN Benzamide, N-1H-indol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



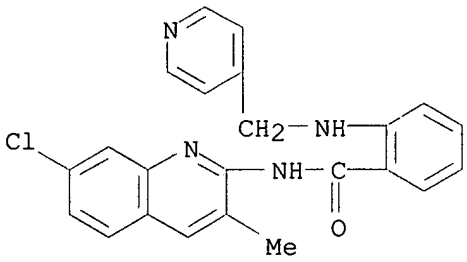
RN 267891-46-3 CAPLUS
CN Benzamide, N-(3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



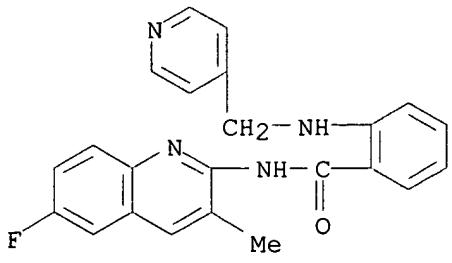
RN 267891-47-4 CAPLUS
CN Benzamide, N-(6-chloro-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



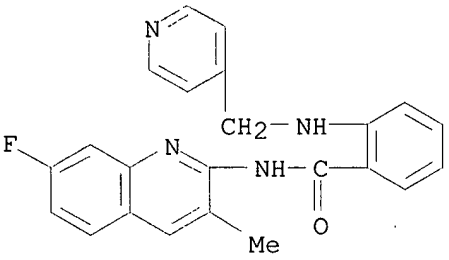
RN 267891-48-5 CAPLUS
CN Benzamide, N-(7-chloro-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



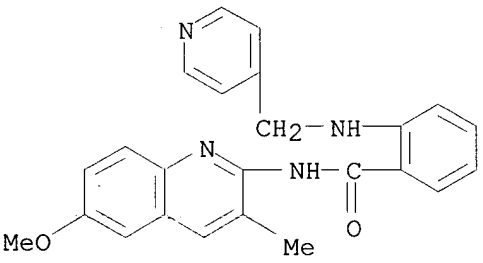
RN 267891-49-6 CAPLUS
CN Benzamide, N-(6-fluoro-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



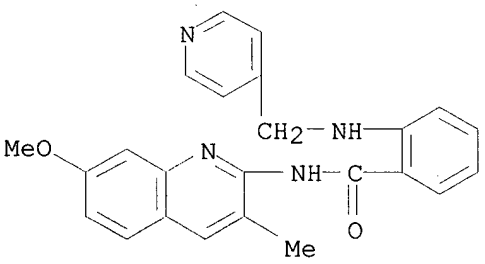
RN 267891-50-9 CAPLUS
CN Benzamide, N-(7-fluoro-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



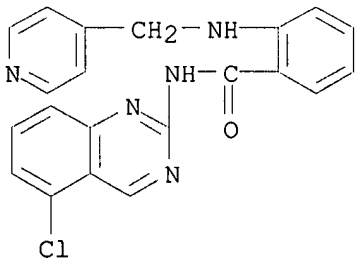
RN 267891-51-0 CAPLUS
CN Benzamide, N-(6-methoxy-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-52-1 CAPLUS
CN Benzamide, N-(7-methoxy-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

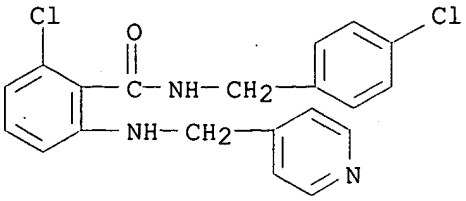


RN 267891-53-2 CAPLUS
CN Benzamide, N-(5-chloro-2-quinazolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

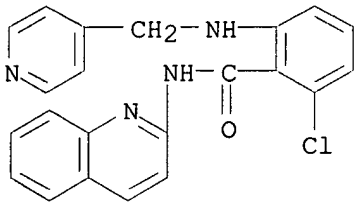


RN 267891-54-3 CAPLUS
CN Benzamide, 2-chloro-N-[(4-chlorophenyl)methyl]-6-[(4-

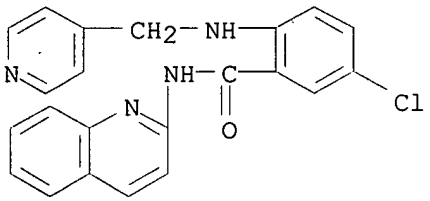
pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



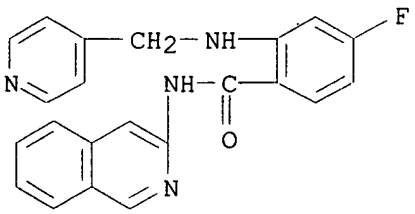
RN 267891-55-4 CAPLUS
CN Benzamide, 2-chloro-6-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)



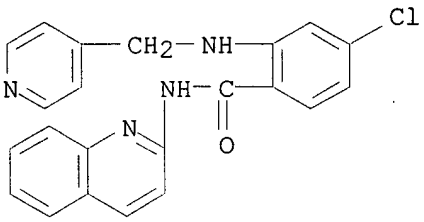
RN 267891-56-5 CAPLUS
CN Benzamide, 5-chloro-2-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)



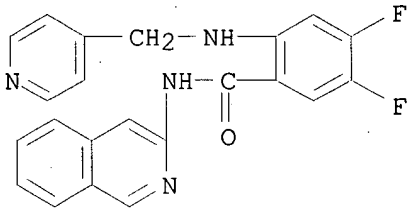
RN 267891-57-6 CAPLUS
CN Benzamide, 4-fluoro-N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



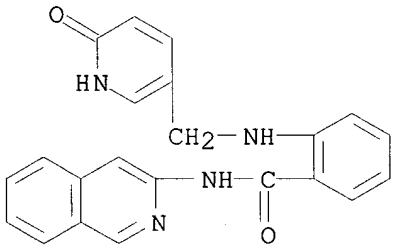
RN 267891-58-7 CAPLUS
CN Benzamide, 4-chloro-2-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)



RN 267891-59-8 CAPLUS
CN Benzamide, 4,5-difluoro-N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

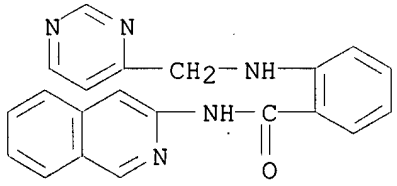


RN 267891-64-5 CAPLUS
CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

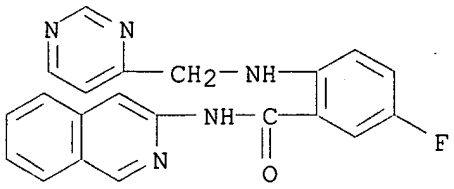


RN 267891-65-6 CAPLUS
CN Benzamide, N-3-isoquinolinyl-2-[(4-pyrimidinylmethyl)amino]- (9CI) (CA INDEX NAME)

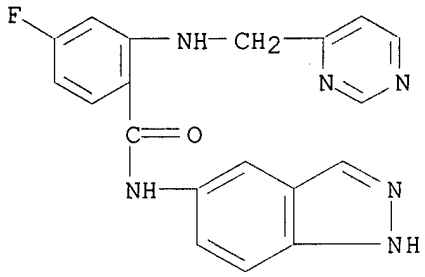
544/333



RN 267891-66-7 CAPLUS
CN Benzamide, 5-fluoro-N-3-isoquinolinyl-2-[(4-pyrimidinylmethyl)amino]- (9CI) (CA INDEX NAME)

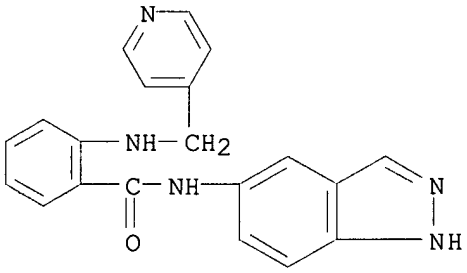


RN 267891-67-8 CAPLUS
CN Benzamide, 4-fluoro-N-1H-indazol-5-yl-2-[(4-pyrimidinylmethyl)amino]- (9CI) (CA INDEX NAME)



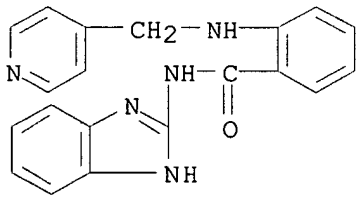
544

RN 267891-68-9 CAPLUS
CN Benzamide, N-1H-indazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



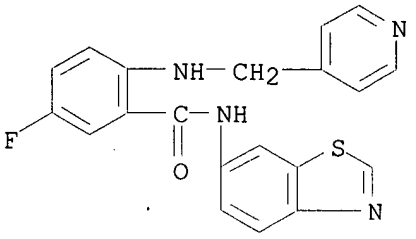
546/275.7
514/338

RN 267891-69-0 CAPLUS
CN Benzamide, N-1H-benzimidazol-2-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



546/273.4
514/338

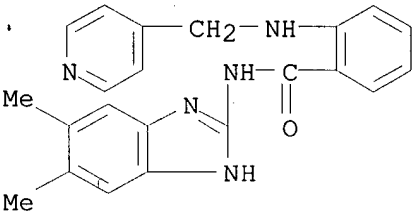
RN 267891-70-3 CAPLUS
CN Benzamide, N-6-benzothiazolyl-5-fluoro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



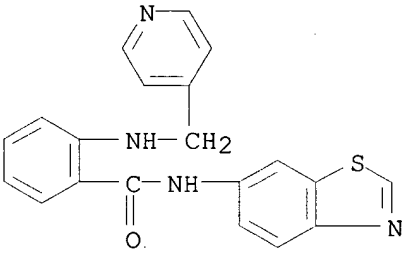
546/270.1

514/338

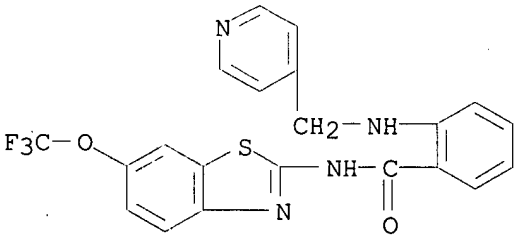
RN 267891-72-5 CAPLUS
CN Benzamide, N-(5,6-dimethyl-1H-benzimidazol-2-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



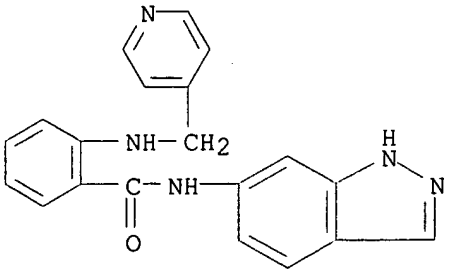
RN 267891-73-6 CAPLUS
CN Benzamide, N-6-benzothiazolyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



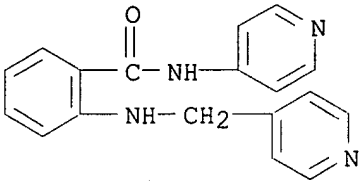
RN 267891-74-7 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[6-(trifluoromethoxy)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



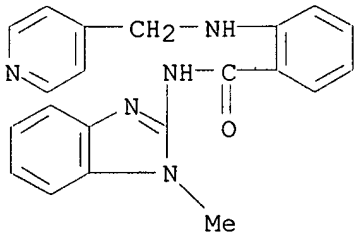
RN 267891-75-8 CAPLUS
CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



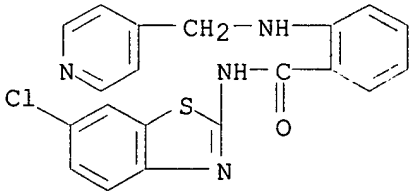
RN 267891-76-9 CAPLUS
CN Benzamide, N-4-pyridinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



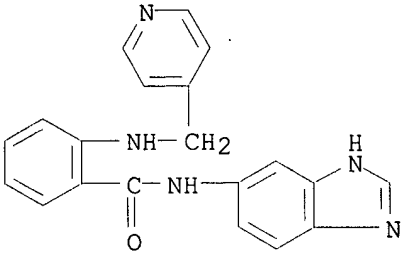
RN 267891-77-0 CAPLUS
CN Benzamide, N-(1-methyl-1H-benzimidazol-2-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



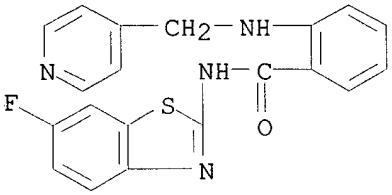
RN 267891-78-1 CAPLUS
CN Benzamide, N-(6-chloro-2-benzothiazolyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



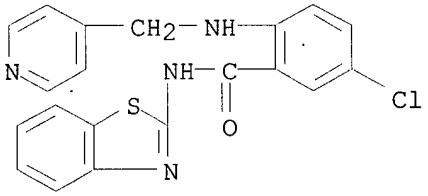
RN 267891-79-2 CAPLUS
CN Benzamide, N-1H-benzimidazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



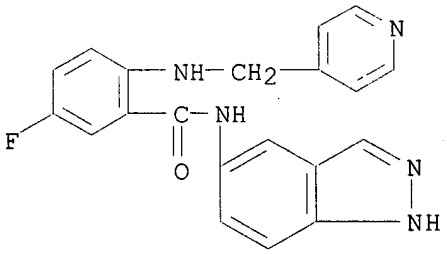
RN 267891-80-5 CAPLUS
CN Benzamide, N-(6-fluoro-2-benzothiazolyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



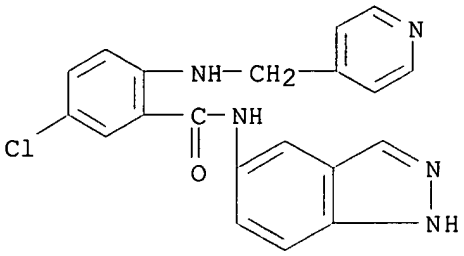
RN 267891-81-6 CAPLUS
CN Benzamide, N-2-benzothiazolyl-5-chloro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



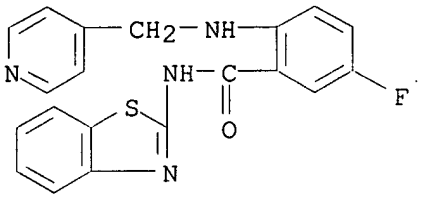
RN 267891-82-7 CAPLUS
CN Benzamide, 5-fluoro-N-1H-indazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



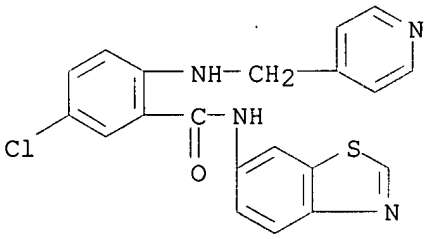
RN 267891-83-8 CAPLUS
CN Benzamide, 5-chloro-N-1H-indazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



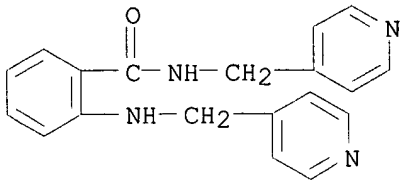
RN 267891-84-9 CAPLUS
CN Benzamide, N-2-benzothiazolyl-5-fluoro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



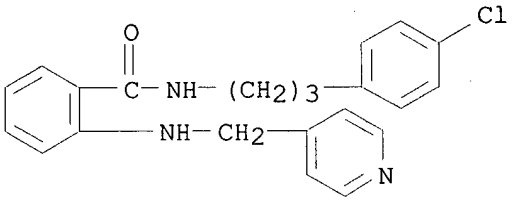
RN 267891-85-0 CAPLUS
CN Benzamide, N-6-benzothiazolyl-5-chloro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



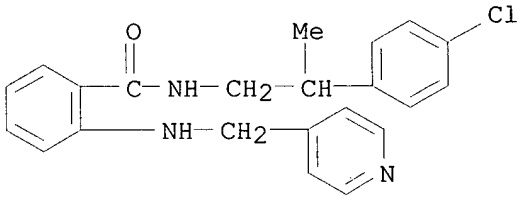
IT **267891-92-9 267891-93-0 267891-94-1**
267891-95-2 267891-96-3 267891-97-4
267891-98-5 267891-99-6 267892-01-3
267892-02-4 267892-03-5 267892-04-6
267892-05-7 267892-06-8 267892-07-9
267892-09-1 267892-11-5 267892-12-6
267892-13-7 267892-14-8 267892-15-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of anthranilic acid amides as VEGF receptor inhibitors)
RN 267891-92-9 CAPLUS
CN Benzamide, N-(4-pyridinylmethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



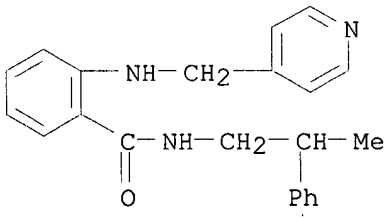
RN 267891-93-0 CAPLUS
CN Benzamide, N-[3-(4-chlorophenyl)propyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



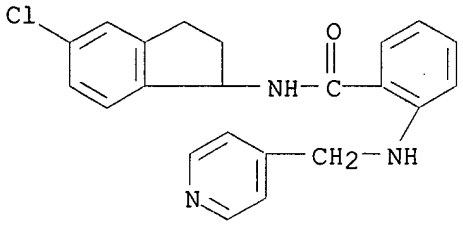
RN 267891-94-1 CAPLUS
CN Benzamide, N-[2-(4-chlorophenyl)propyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



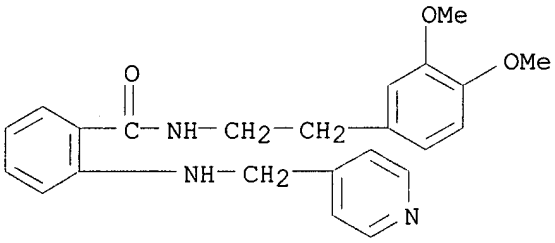
RN 267891-95-2 CAPLUS
CN Benzamide, N-(2-phenylpropyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-96-3 CAPLUS
CN Benzamide, N-(5-chloro-2,3-dihydro-1H-inden-1-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

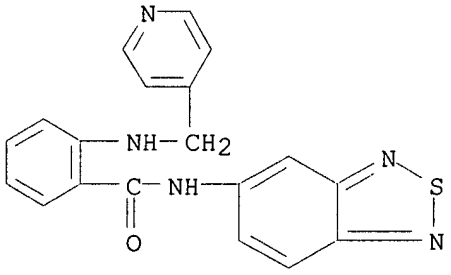


RN 267891-97-4 CAPLUS
CN Benzamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



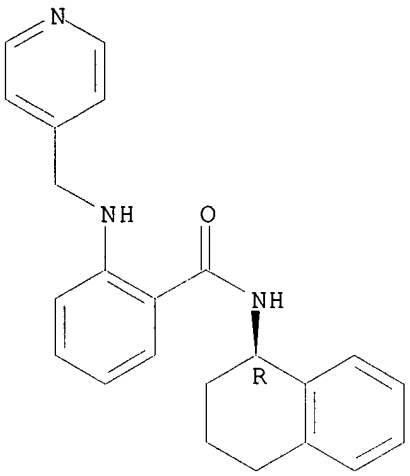
RN 267891-98-5 CAPLUS
CN Benzamide, N-2,1,3-benzothiadiazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

546/

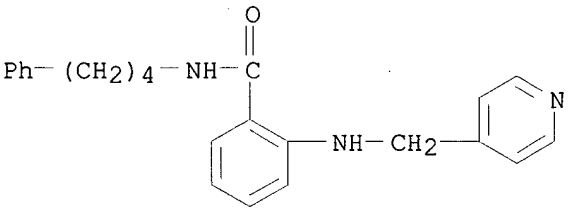


RN 267891-99-6 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

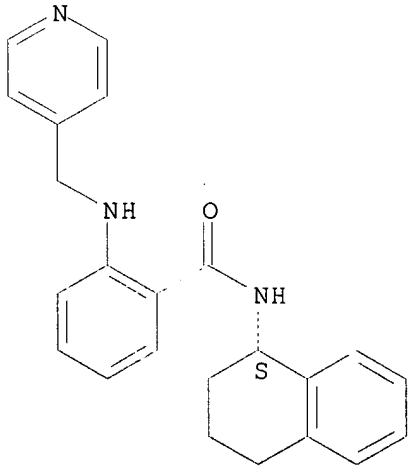


RN 267892-01-3 CAPLUS
CN Benzamide, N-(4-phenylbutyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

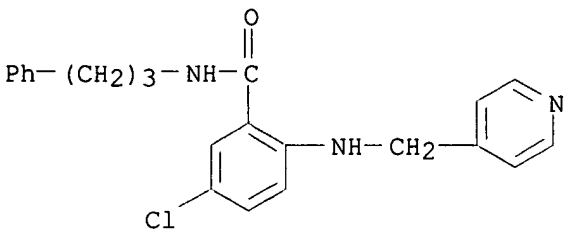


RN 267892-02-4 CAPLUS
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

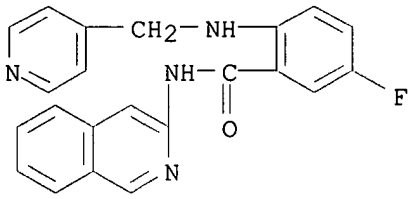
Absolute stereochemistry.



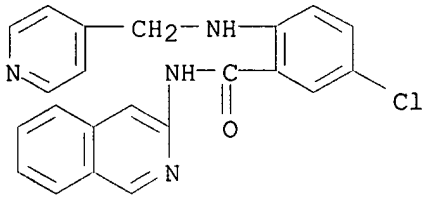
RN 267892-03-5 CAPLUS
CN Benzamide, N-(2-phenylethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267892-09-1 CAPLUS
CN Benzamide, 5-fluoro-N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

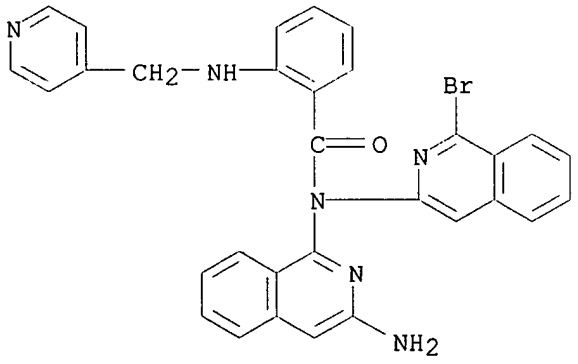


RN 267892-11-5 CAPLUS
CN Benzamide, 5-chloro-N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

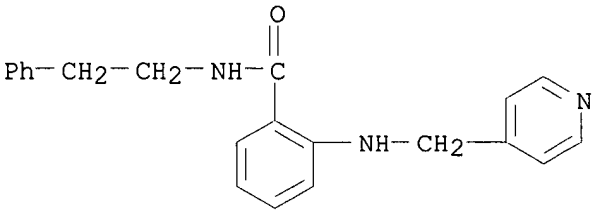


RN 267892-12-6 CAPLUS
CN Benzamide, N-(3-amino-1-isoquinolinyl)-N-(1-bromo-3-isoquinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

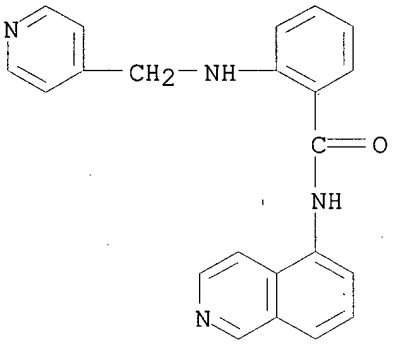
546/140
514/308



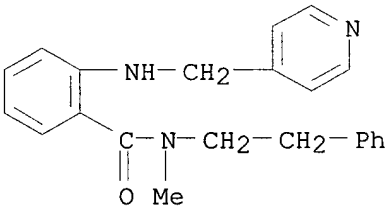
RN 267892-13-7 CAPLUS
CN Benzamide, N,N-bis[3-(4-chlorophenyl)propyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



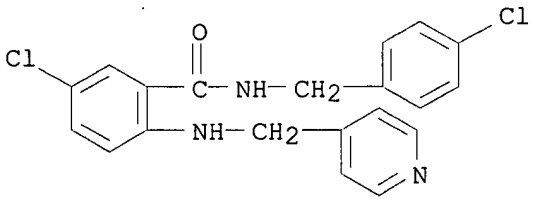
RN 267892-04-6 CAPLUS
CN Benzamide, N-5-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



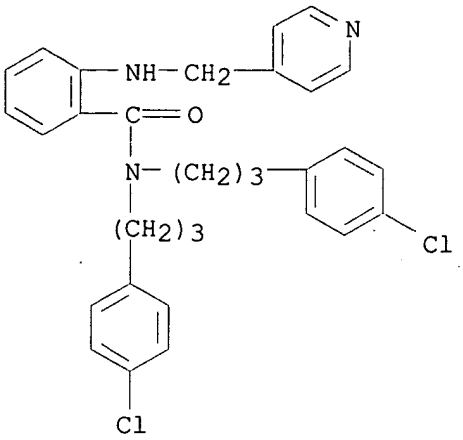
RN 267892-05-7 CAPLUS
CN Benzamide, N-methyl-N-(2-phenylethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



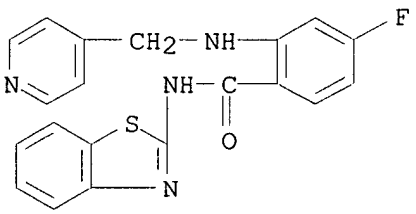
RN 267892-06-8 CAPLUS
CN Benzamide, 5-chloro-N-[(4-chlorophenyl)methyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



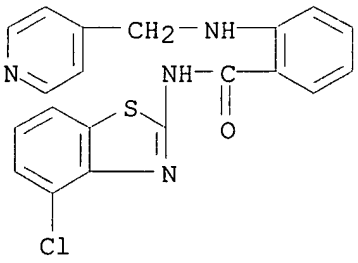
RN 267892-07-9 CAPLUS
CN Benzamide, 5-chloro-N-(3-phenylpropyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



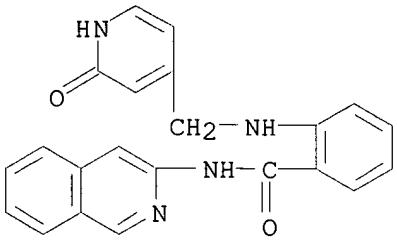
RN 267892-14-8 CAPLUS
CN Benzamide, N-2-benzothiazolyl-4-fluoro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267892-15-9 CAPLUS
CN Benzamide, N-(4-chloro-2-benzothiazolyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



IT **267891-90-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of anthranilic acid amides as VEGF receptor inhibitors)
RN 267891-90-7 CAPLUS
CN Benzamide, 2-[[(1,2-dihydro-2-oxo-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

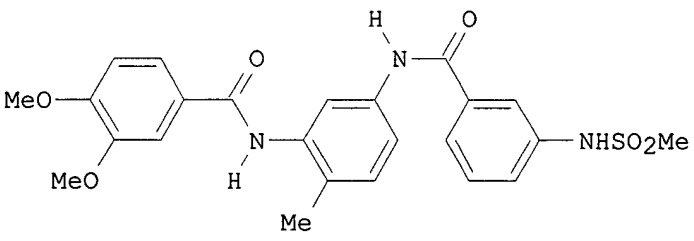


L28 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1999:753201 CAPLUS
DOCUMENT NUMBER: 131:351089
TITLE: Preparation of N-[(arylcarbonylamino)phenyl]benzamides and analogs as p38 kinase inhibitors
INVENTOR(S): Brown, Dearg Sutherland; Brown, George Robert
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959959	A1	19991125	WO 1999-GB1489	19990511
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9939399	A1	19991206	AU 1999-39399	19990511
BR 9910474	A	20010102	BR 1999-10474	19990511
EP 1077931	A1	20010228	EP 1999-922290	19990511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2000005767	A	20001114	NO 2000-5767	20001114

PRIORITY APPLN. INFO.:
GB 1998-10357 A 19980515
GB 1998-22483 A 19981016
WO 1999-GB1489 W 19990511

OTHER SOURCE(S): MARPAT 131:351089
GI



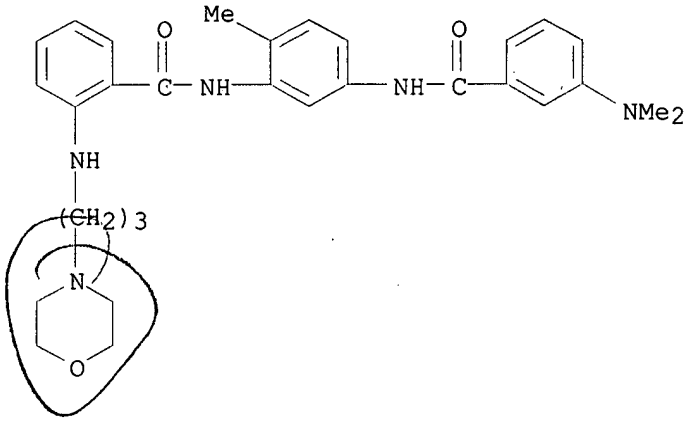
II

AB R1CONHZNHCO(CH2)qR4 [I; R1 = (un)substituted Ph; R4 = (un)substituted cycloalkyl or -aryl; Z = (un)substituted 6-alkyl-1,3-phenylene or -6-halo-1,3-phenylene; q = 0-4] were prepd. Thus, 2-methyl-5-nitroaniline was amidated by 3,4-(MeO)C6H3COCl and the reduced product amidated by 3-(O2N)C6H4COCl to give, after redn. and MeSO2Cl treatment, title compd. II. Data for biol. activity of select I were given.

IT **250681-02-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-[(arylcarbonylamino)phenyl]benzamides and analogs as p38 kinase inhibitors)

RN 250681-02-8 CAPLUS

CN Benzamide, N-[5-[[3-(dimethylamino)benzoyl]amino]-2-methylphenyl]-2-[[3-(4-morpholinyl)propyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:163595 CAPLUS
DOCUMENT NUMBER: 128:217377
TITLE: Preparation and formulation of imidazoquinazoline derivatives as cGMP-phosphodiesterase inhibitors
INVENTOR(S): Onoda, Yasuo; Nomoto, Yuji; Ohno, Tetsuji; Yamada, Koji; Ichimura, Michio
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan; Onoda, Yasuo; Nomoto, Yuji; Ohno, Tetsuji; Yamada, Koji; Ichimura, Michio
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

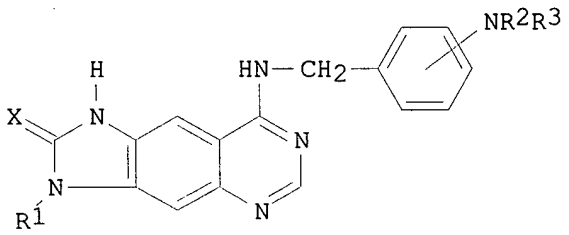
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808848	A1	19980305	WO 1997-JP3023	19970829
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2236012	AA	19980305	CA 1997-2236012	19970829
AU 9740323	A1	19980319	AU 1997-40323	19970829
AU 724809	B2	20000928		
EP 863144	A1	19980909	EP 1997-937841	19970829

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

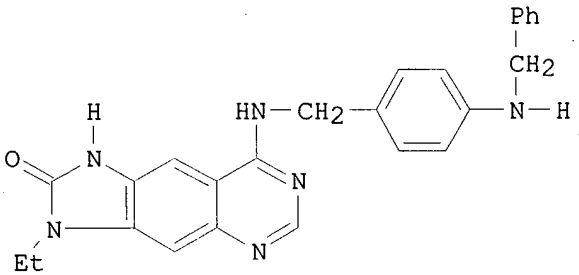
CN 1205008	A	19990113	CN 1997-191339		19970829
US 6127541	A	20001003	US 1998-65061		19980427
NO 9801946	A	19980629	NO 1998-1946		19980429
PRIORITY APPLN. INFO.:			JP 1996-230807	A	19960830
			WO 1997-JP3023	W	19970829

OTHER SOURCE(S): MARPAT 128:217377

GI



I



II

AB The title compds. I [R1 represents hydrogen, optionally substituted lower alkyl, optionally substituted cycloalkyl, optionally substituted bicycloalkyl, optionally substituted tricycloalkyl, etc.; R2 represents hydrogen, optionally substituted lower alkyl, optionally substituted cycloalkyl, optionally substituted bicyclaolkyl, optionally substituted tricycloalkyl, optionally substituted lower alkenyl, optionally substituted aralkyl, etc.; R3 represents hydrogen, optionally substituted lower alkyl, optionally substituted cycloalkyl, optionally substituted bicycloalkyl, optionally substituted tricycloalkyl, optionally substituted lower alkenyl, optionally substituted aralkyl, etc., or R2 and R3 may form together with N an optionally substituted heterocyclic group; and X represents O or S] are prepd. I have selective inhibitory effects on cGMP-specific phosphodiesterase and are useful in, for example, treating or relieving cardiovascular diseases such as thrombosis, angina pectoris, hypertension, cardiac insufficiency and arteriosclerosis, asthma, etc. and treating sexual impotence. In an in vitro test, the title compd. II at 1 nM gave 62% inhibition of cGMP-phosphodiesterase.

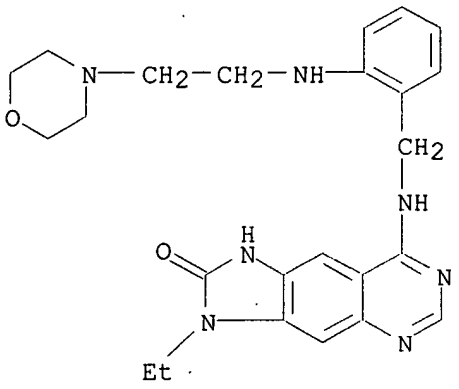
IT **204077-39-4P 204077-40-7P 204077-60-1P 204077-61-2P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazoquinazoline derivs. as cGMP-phosphodiesterase inhibitors)

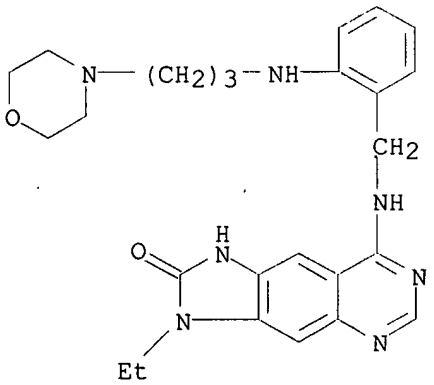
RN 204077-39-4 CAPLUS

CN 2H-Imidazo[4,5-g]quinazolin-2-one, 3-ethyl-1,3-dihydro-8-[[[2-[[2-(4-morpholinyl)ethyl]amino]phenyl]methyl]amino]-, trihydrochloride (9CI) (CA INDEX NAME)



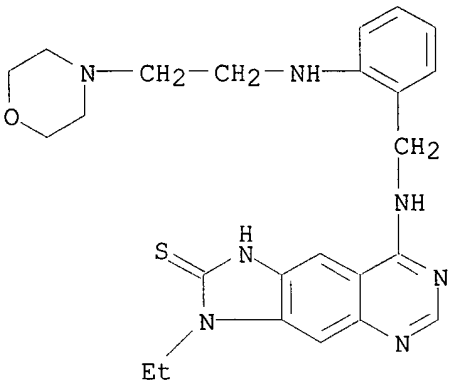
●3 HCl

RN 204077-40-7 CAPLUS
CN 2H-Imidazo[4,5-g]quinazolin-2-one, 3-ethyl-1,3-dihydro-8-[[[2-[[3-(4-morpholinyl)propyl]amino]phenyl]methyl]amino]-, trihydrochloride (9CI) (CA INDEX NAME)



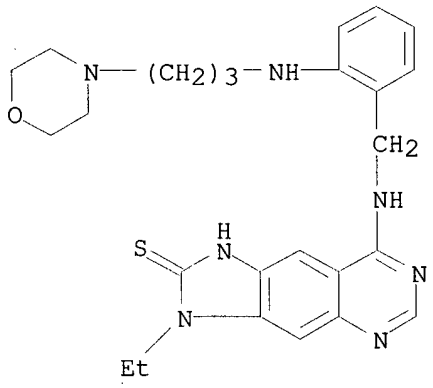
●3 HCl

RN 204077-60-1 CAPLUS
CN 2H-Imidazo[4,5-g]quinazoline-2-thione, 3-ethyl-1,3-dihydro-8-[[[2-[[2-(4-morpholinyl)ethyl]amino]phenyl]methyl]amino]-, trihydrochloride (9CI) (CA INDEX NAME)



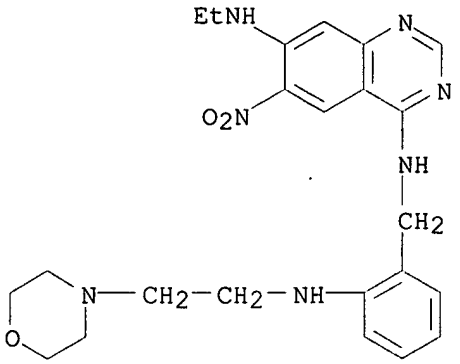
●3 HCl

RN 204077-61-2 CAPLUS
CN 2H-Imidazo[4,5-g]quinazoline-2-thione, 3-ethyl-1,3-dihydro-8-[[[2-[[3-(4-morpholinyl)propyl]amino]phenyl]methyl]amino]-, trihydrochloride (9CI) (CA INDEX NAME)

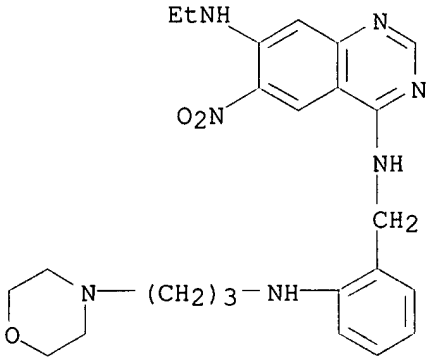


●3 HCl

IT **204078-42-2P 204078-43-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of imidazoquinazoline derivs. as cGMP-phosphodiesterase inhibitors)
RN 204078-42-2 CAPLUS
CN 4,7-Quinazolinediamine, N7-ethyl-N4-[[2-[[2-(4-morpholinyl)ethyl]amino]phenyl]methyl]-6-nitro- (9CI) (CA INDEX NAME)



RN 204078-43-3 CAPLUS
CN 4,7-Quinazolinediamine, N7-ethyl-N4-[[2-[[3-(4-morpholinyl)propyl]amino]phenyl]methyl]-6-nitro- (9CI) (CA INDEX NAME)



L28 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:579715 CAPLUS
DOCUMENT NUMBER: 127:278213
TITLE: Imidazole-containing benzodiazepines and analogs as inhibitors of farnesyl protein transferase
INVENTOR(S): Ding, Charles Z.; Hunt, John T.; Kim, Soong-hoon; Mitt, Toomis; Bhide, Rajeev; Leftheris, Katerina
PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA
SOURCE: PCT Int. Appl., 425 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

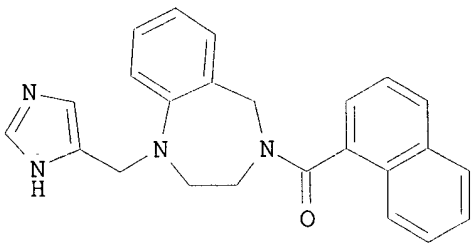
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730992	A1	19970828	WO 1997-US2920	19970224

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 6011029	A	20000104	US 1997-802329		19970220
AU 9721366	A1	19970910	AU 1997-21366		19970224
AU 718676	B2	20000420			
EP 892797	A1	19990127	EP 1997-906761		19970224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
CN 1214685	A	19990421	CN 1997-192535		19970224
BR 9707614	A	19990727	BR 1997-7614		19970224
JP 2000502356	T2	20000229	JP 1997-530395		19970224
ZA 9701621	A	19980825	ZA 1997-1621		19970225
LV 12150	B	19981220	LV 1998-129		19980604
NO 9803892	A	19980825	NO 1998-3892		19980825
LT 4552	B	19991025	LT 1998-120		19980825
PRIORITY APPLN. INFO.:			US 1996-12265P	P	19960226
			US 1996-22805P	P	19960725
			WO 1997-US2920	W	19970224

OTHER SOURCE(S): MARPAT 127:278213

GI



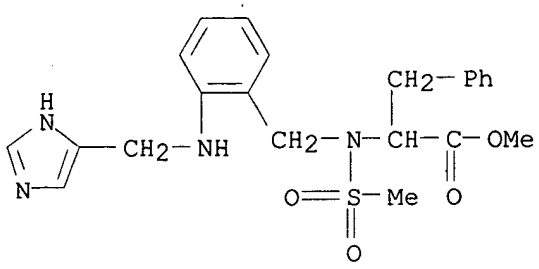
I

AB The invention relates to a series of imidazole-substituted benzodiazepines and analogs that inhibit farnesyl-protein transferase (FPT) and ras protein farnesylation, thereby being useful as anti-cancer agents. The compds. are also useful in the treatment of diseases, other than cancer, assocd. with signal transduction pathways operating through ras, and those assocd. with proteins other than ras that are also post-translationally modified by FPT. The compds. may also act as inhibitors of other prenyl transferases, and thus be effective in the treatment of diseases assocd. with other prenyl modifications of proteins. Over 430 synthetic examples are given. For instance, 2,3,4,5-tetrahydro-1H-1,4-benzodiazepine was N-acylated by 1-naphthoic acid Ph ester in the presence of DMAP, and the product was reductively alkylated by 4-formylimidazole in the presence of NaBH(OAc)3 to give title compd. I, isolated as the HCl salt. The example compds. inhibited FPT with IC50 values between 0.1 nM and 100 .mu.M.

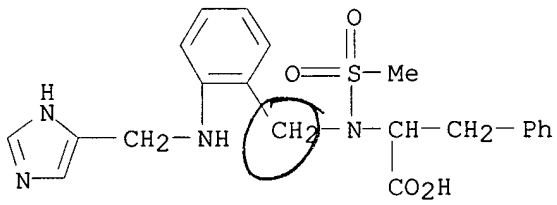
IT **195986-10-8P 195986-11-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; prepn. of imidazole-contg. benzodiazepines and analogs as inhibitors of farnesyl protein transferase)

RN 195986-10-8 CAPLUS

CN Phenylalanine, N-[[2-[(1H-imidazol-4-ylmethyl)amino]phenyl]methyl]-N-(methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



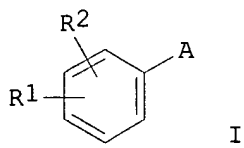
RN 195986-11-9 CAPLUS
CN Phenylalanine, N-[[2-[(1H-imidazol-4-ylmethyl)amino]phenyl]methyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)



L28 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:265454 CAPLUS
DOCUMENT NUMBER: 126:277494
TITLE: Preparation of piperazinylbenzamides, piperidylbenzamides, and analogs thereof as inflammation and allergy inhibitors
INVENTOR(S): Kawagoe, Keiichi; Shidonii, Kurifuoodo Baafuoodo; Yokohama, Shuichi; Miwa, Tamotsu; Nakajima, Hiroto; Tsukada, Wataru
PATENT ASSIGNEE(S): Daiichi Seiyaku Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 67 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09059236	A2	19970304	JP 1995-214431	19950823

OTHER SOURCE(S): MARPAT 126:277494
GI



I

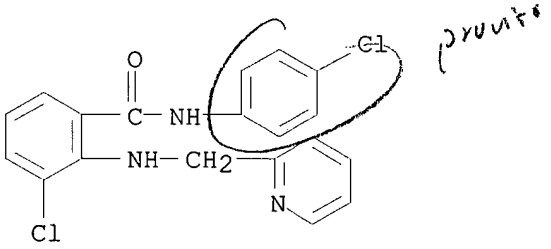
AB The title compds. I [R1 = halo, etc.; R2 = halo, nitro, etc.; A = C(:Z)NR3R4, etc.; Z = O, etc.; R3 = (un)substituted arom. hydrocarbon, etc.; R4 = H, etc.] are prepd. N-(4-Chlorophenyl)-3-(4-methyl-1-piperazinyl)-2-nitrobenzamide at 50 mg/kg orally gave 79% inhibition of

adjuvant arthritis in rats.

IT **188602-70-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazinylbenzamides, piperidylbenzamides, and analogs thereof as inflammation and allergy inhibitors)

RN 188602-70-2 CAPLUS

CN Benzamide, 3-chloro-N-(4-chlorophenyl)-2-[(2-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



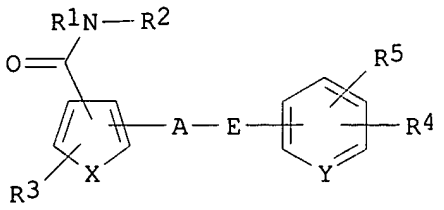
L28 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1997:148856 CAPLUS
DOCUMENT NUMBER: 126:157289
TITLE: Benzamide derivatives and their use as vasopressin antagonists
INVENTOR(S): Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Sawada, Hitoshi; Sato, Kentaro; Tanaka, Hirokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Setoi, Hiroyuki; Ohkawa, Takehiko; Zenkoh, Tatsuya; Sawada, Hitoshi; Sato, Kentaro; Tanaka, Hirokazu
SOURCE: PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9641795	A1	19961227	WO 1996-JP1533	19960606
W: AU, CA, CN, HU, IL, JP, KR, MX, NZ, SG, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2223869	AA	19961227	CA 1996-2223869	19960606
AU 9659110	A1	19970109	AU 1996-59110	19960606
EP 832061	A1	19980401	EP 1996-916324	19960606
EP 832061	B1	20010905		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1192729	A	19980909	CN 1996-196175	19960606
JP 11508244	T2	19990721	JP 1996-502896	19960606
AT 205185	E	20010915	AT 1996-916324	19960606
ES 2159738	T3	20011016	ES 1996-916324	19960606
ZA 9604895	A	19961212	ZA 1996-4895	19960607
US 6054457	A	20000425	US 1997-973103	19971209

PRIORITY APPLN. INFO.: GB 1995-11694 A 19950609
WO 1996-JP1533 W 19960606

OTHER SOURCE(S): MARPAT 126:157289
GI



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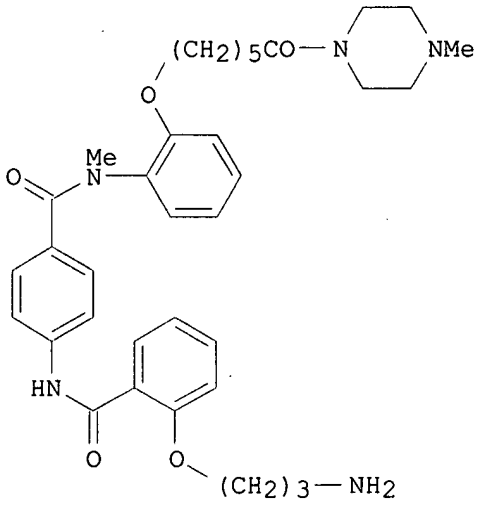


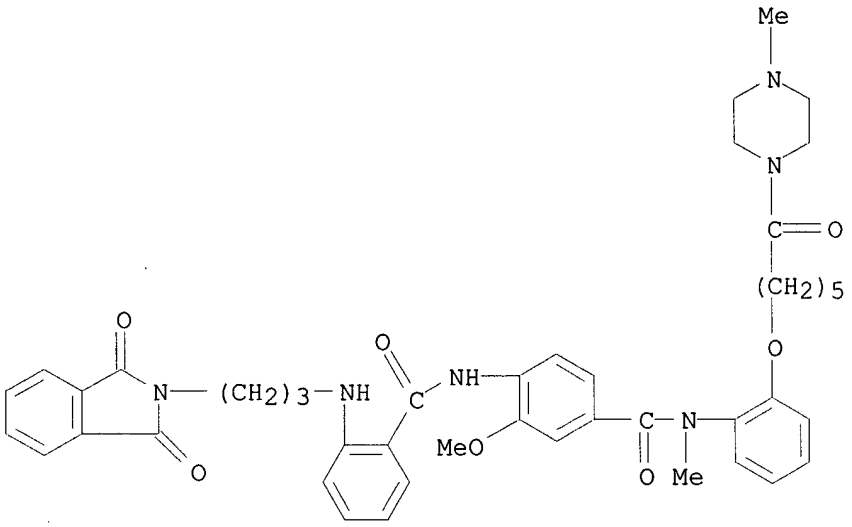
II

AB The invention relates to new benzamide derivs. having vasopressin antagonistic activity, and to pharmaceutically acceptable salts thereof, processes for their prepn., and pharmaceutical compns. The compds. are represented by formula I [R1 = (un)substituted aryl, cycloalkyl, heterocyclyl; R2 = H, (un)substituted alkyl, cycloalkyl; R3 = H, halo, OH, (un)substituted acyloxy, alkyl, (cyclo)alkoxy, NO2, amino, acyl; R4 = OH, halo, NO2, (un)substituted amino, acyloxy, alkoxy, alkylthio, alk(en/yn)yl, etc; R5 = H, alkyl, alkoxy, halo; A = bond, O, NH; E = alkylene, alkenylene, CO, SO2, etc.; X = CH:CH, CH:N, S; Y = CH, N]. Approx. 470 synthetic examples of I and over 100 intermediates are described. For instance, amidation of 2-(PhCH2O)C6H4CO2H with 4-H2NC6H4CONMeC6H4[O(CH2)5CO2Et]-2 (prepn. given), followed by sapon. of the ester, amidation with N-methylpiperazine, hydrogenolytic debenzylation, etherification with N-(3-bromopropyl)phthalimide, hydrazinolyis of the imide, and acidification, gave title compd. II as the di-HCl salt (III). In assays for binding at human vasopressin V1 receptors and cloned human V2 receptors in vitro, III had IC50 values of 14 and 1400 nM, resp.

IT **186660-28-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzamide derivs. as vasopressin antagonists)

RN 186660-28-6 CAPLUS

CN Benzamide, 4-[[2-[[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]amino]benzoyl]amino]-3-methoxy-N-methyl-N-[2-[[6-(4-methyl-1-piperazinyl)-6-oxohexyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



L28 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:858623 CAPLUS
DOCUMENT NUMBER: 123:256357
TITLE: Preparation of anthranilic acid amide derivative as cyclic guanosine monophosphate-phosphodiesterase inhibitors
INVENTOR(S): Ozaki, Fumihiro; Ishibashi, Keiji; Ikuta, Hironori; Ishihara, Hiroki; Souda, Shigeru
PATENT ASSIGNEE(S): Japan
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518097	A1	19950706	WO 1994-JP2262	19941227
W: AU, CA, CN, FI, HU, KR, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2155662	AA	19950706	CA 1994-2155662	19941227
AU 9512824	A1	19950717	AU 1995-12824	19941227
AU 694465	B2	19980723		
EP 686625	A1	19951213	EP 1995-903999	19941227
EP 686625	B1	19990526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1118595	A	19960313	CN 1994-191311	19941227
JP 08188563	A2	19960723	JP 1994-336920	19941227
HU 74450	A2	19961230	HU 1995-2512	19941227
RU 2128644	C1	19990410	RU 1995-120194	19941227
AT 180468	E	19990615	AT 1995-903999	19941227
FI 9503968	A	19951019	FI 1995-3968	19950823
NO 9503305	A	19951025	NO 1995-3305	19950823
US 5716993	A	19980210	US 1995-507476	19950914

PRIORITY APPLN. INFO.: JP 1993-347092 A 19931227
JP 1994-299110 A 19941109
WO 1994-JP2262 W 19941227
OTHER SOURCE(S): MARPAT 123:256357
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

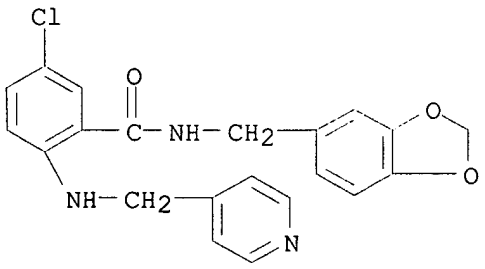
AB Anthranilamide derivs. [I; R1, R2, R3, R4 = H, halo, OH, (halo)alkyl, (halo)alkoxy, nitro, hydroxyalkyl, cyano, (CH2)pNR9R10, S(O)qR13, (un)protected CO2H, (un)substituted tetrazolyl, CONH2, pyrazolyl, or imidazolyl; or adjacent two substituents selected from R1 - R4 together with the C atoms bonded to them forms a ring; wherein R9, R10 = H, (halo)alkyl, arylalkyl, heteroarylalkyl, acyl, (un)protected CO2H; or NR9R10 forms a ring; p = 0, 1-6; R13 = H, (halo)alkyl; q = 0, 1-2; R5, R6 = H, halo, OH, cyano, (halo)alkyl, (halo)alkoxy; or R5 and R6 together with the C atoms bonded to them form cycloalkane, oxolane, 1,3-dioxolane, or 1,4-dioxane ring; W = N, CH; R7, R8 = H, (halo)alkyl; or R1 and R7 together with the C atoms bonded to them form a ring optionally contg. other N, O, or S atom; A = H, (halo)alkyl, X(CH2)mZ; wherein X = CO, CS, CH2, SO2; Z = OH, (halo)alkoxy, cyano, halo, etc.; Y = O, S; n = 0, 1-6] or pharmacol. acceptable salts thereof are prepd. These compds. are useful for the treatment of ischemic heart disease, angina pectoris, hypertension, pulmonary hypertension, heart failure, and asthma. Thus, 2-nitro-5-chlorobenzoic acid was refluxed with SOCl2 in benzene for 4 h and concd. to give 2-nitro-5-chlorobenzoyl chloride which was amidated with piperonylamine in the presence of Et3N in THF to give a benzamide (II; R = NO2). This compd. was reduced by Fe powder in a mixt. of AcOH, H2O, and MeOH under gentle refluxing to give, after concn. and treatment with concd. HCl in EtOH, N-piperonylanthranilamide deriv. II. HCl (R = NH2). An anthranilamide deriv. (III) showed IC50 of 0.4 nM against cyclic guanosine monophosphate-phosphodiesterase prepn. from pig aorta.

IT **169043-60-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of anthranilamide derivs. as cyclic guanosine monophosphate-phosphodiesterase inhibitors)

RN 169043-60-1 CAPLUS

CN Benzamide, N-(1,3-benzodioxol-5-ylmethyl)-5-chloro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

no substitution



L28 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2002 ACS

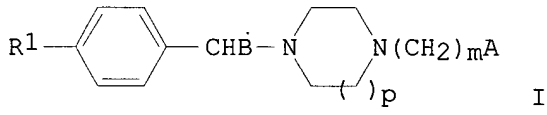
ACCESSION NUMBER: 1993:580818 CAPLUS
DOCUMENT NUMBER: 119:180818
TITLE: Preparation of piperazine derivatives as drugs
INVENTOR(S): Kumagai, Kazuhiro; Nagasawa, Masaaki; Takahashi, Hidenori; Abe, Tooru; Omata, Takeshi; Segawa, Yoshihide
PATENT ASSIGNEE(S): Zeria Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 56 pp.

Searched by Barb O'Bryen, STIC 308-4291

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9302062	A1	19930204	WO 1992-JP833	19920702
W: AU, CA, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2113449	AA	19930204	CA 1992-2113449	19920702
AU 9222316	A1	19930223	AU 1992-22316	19920702
AU 658656	B2	19950427		
EP 598123	A1	19940525	EP 1992-914249	19920702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 2767321	B2	19980618	JP 1992-502728	19920702
US 5432179	A	19950711	US 1993-170198	19931230

PRIORITY APPLN. INFO.: JP 1991-203755 A 19910719
WO 1992-JP833 A 19920702
OTHER SOURCE(S): MARPAT 119:180818
GI



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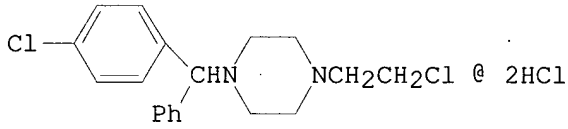
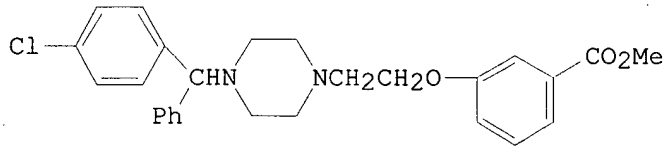


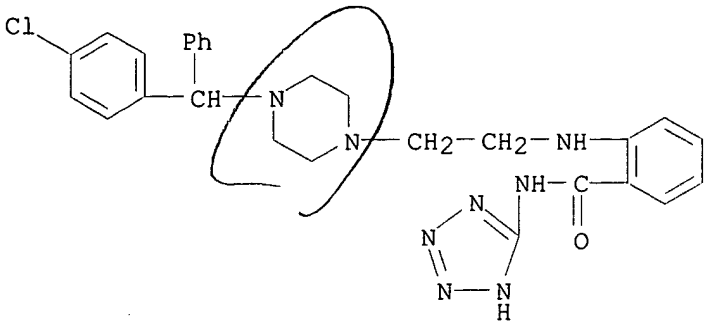
II



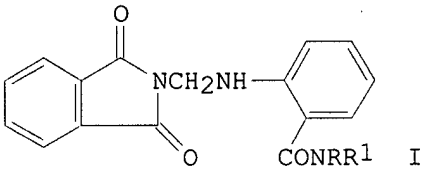
III

AB Piperazine derivs. [I; A = (substituted) phenoxy, pyridyloxy, quinolinyloxy, indolinyloxy, etc.; B = Ph, pyridyl; R1 = H, halo; m = 2, 3' p = 1,2], useful as antiallergic, antihistaminic, and antiasthmatic agents, are prepd. and formulated. 3-HOC6H4CO2Me was added to a suspension of piperazine salt II and K2CO3 in Me2CO and then refluxed to give 68% III. I showed 52.3-86.4% allergy inhibition at 10 mg/kg orally in rats. I also showed IC50 of 0.14-1.59 .mu.M in vitro against histamine in guinea pigs. Granular, tablet, and injection formulations are given.

IT **150184-61-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)
RN 150184-61-5 CAPLUS
CN Benzamide, 2-[[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethyl]amino]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



L28 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1982:582149 CAPLUS
DOCUMENT NUMBER: 97:182149
TITLE: Possible antifertility compounds. Part IV. Syntheses of 2-(phthalimidomethylamino)-substituted benzanilides
AUTHOR(S): Tiwari, S. S.; Upreti, Amrapali; Satsangi, R. K.
CORPORATE SOURCE: Dep. Chem., Lucknow Univ., Lucknow, India
SOURCE: J. Chem. Soc. Pak. (1982), 4(2), 115-17
CODEN: JCSPDF
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



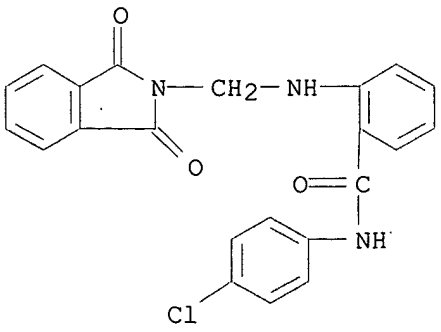
I

AB (Phthalimidomethylamino)benzanilides I [R = H, R1 = Ph, MeC6H4, cyclohexyl, 4-BrC6H4, EtOC6H4, 4-ClC6H4, MeOC6H4; RR1N = morpholino, piperidino, Et2N] were prepd. by amidation of 2-[(phthalimidomethyl)amino]benzoyl chloride by amines. I did not possess contraceptive activity in tests in rats.

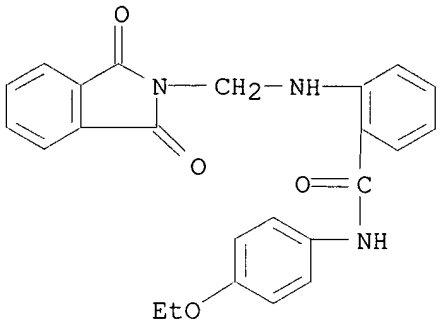
IT **83532-26-7P 83532-28-9P 83532-33-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and contraceptive inactivity of)

RN 83532-26-7 CAPLUS

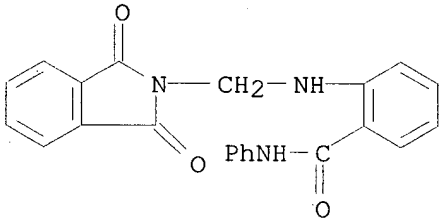
CN Benzamide, N-(4-chlorophenyl)-2-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]amino]- (9CI) (CA INDEX NAME)



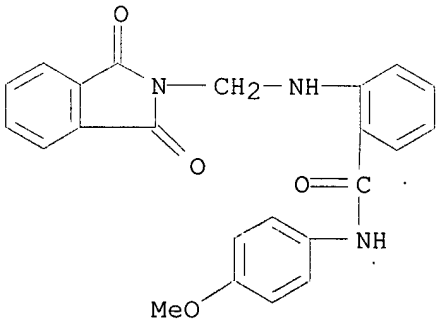
RN 83532-28-9 CAPLUS
CN Benzamide, 2-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]amino]-N-(4-ethoxyphenyl)- (9CI) (CA INDEX NAME)



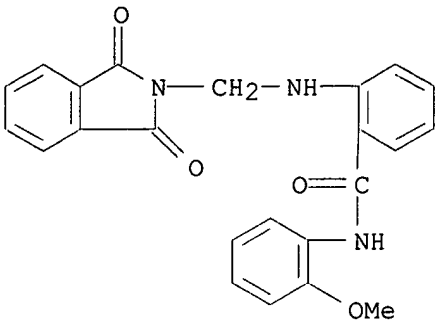
RN 83532-33-6 CAPLUS
CN Benzamide, 2-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



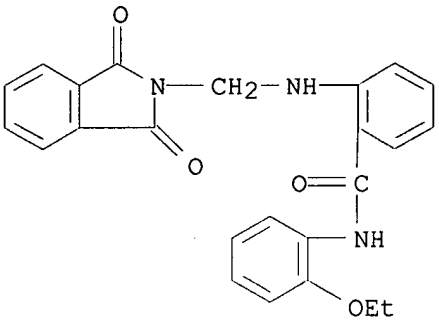
IT **83532-24-5P 83532-25-6P 83532-27-8P**
83532-29-0P 83532-30-3P 83532-31-4P
83532-32-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83532-24-5 CAPLUS
CN Benzamide, 2-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]amino]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



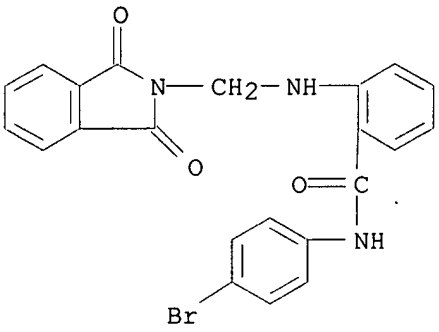
RN 83532-25-6 CAPLUS
CN Benzamide, 2-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]amino]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



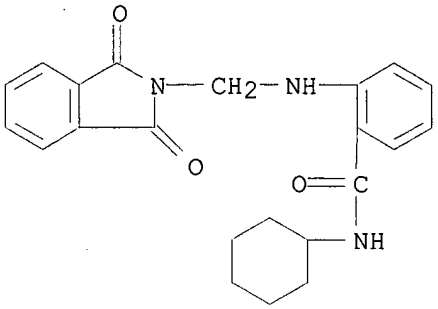
RN 83532-27-8 CAPLUS
CN Benzamide, 2-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]amino]-N-(2-ethoxyphenyl)- (9CI) (CA INDEX NAME)



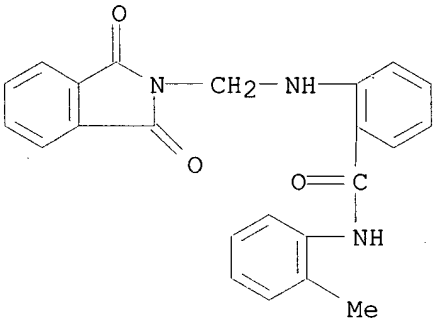
RN 83532-29-0 CAPLUS
CN Benzamide, N-(4-bromophenyl)-2-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]amino]- (9CI) (CA INDEX NAME)



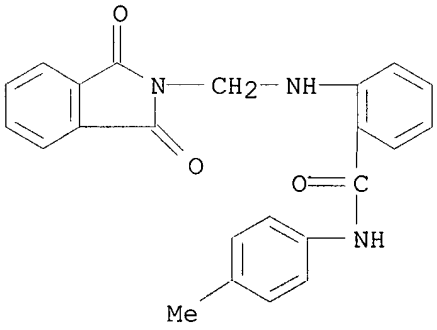
RN 83532-30-3 CAPLUS
CN Benzamide, N-cyclohexyl-2-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 83532-31-4 CAPLUS
CN Benzamide, 2-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]amino]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 83532-32-5 CAPLUS
CN Benzamide, 2-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]amino]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



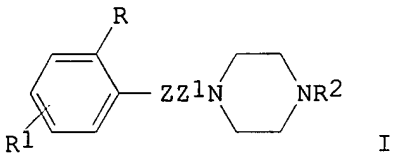
L28 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1981:550706 CAPLUS
DOCUMENT NUMBER: 95:150706
TITLE: Piperazine derivative, processes for the preparation therof, and pharmaceutical composition comprising the same
INVENTOR(S): Teraji, Tsutomo; Oku, Teruo; Namiki, Takayuki
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Brit. UK Pat. Appl., 14 pp.
CODEN: BAXXDU

Searched by Barb O'Bryen, STIC 308-4291

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2056968	A	19810325	GB 1979-29092	19790821
JP 56032474	A2	19810401	JP 1980-115296	19800820

PRIORITY APPLN. INFO.: GB 1979-29092 19790821
GI

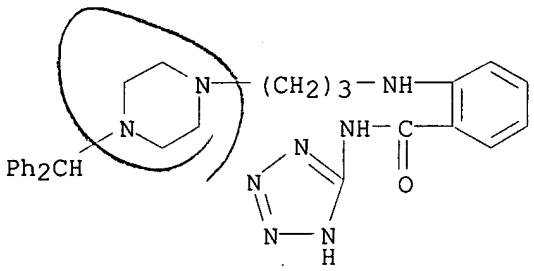


I

AB Piperazines I [R = CO2H, CO2H deriv., acylamino; R1 = H, halo, alkyl, alkoxy, aryl, acylamino; R2 = aralkyl; Z = NR3, O, S, NHCO (R3 = H, acyl); Z1 = alkylene], and their pharmaceutically acceptable salts, having antiallergic activity, were prepd. E. g., a soln. of 1-[3-(4-benzhydryl-1-piperazinyl)propyl]isatin in N aq. NaOH and THF was treated by dropwise addn. of 15% aq. H2O2 at room temp. and the mixt. was stirred 5 h at 70.degree., cooled to room temp., treated with Na2SO3 (pH 1, 10% HCl), dild. with EtOAc, adjusted to pH 9 (aq. NaHCO3), and stirred 0.5 h to give I [R = CO2H, R1 = H, R2 = CHPh2, Z = NH, Z1 = (CH2)3] (II). A 10 mg/kg p.o. dose of II produced complete inhibition of anaphylactic asthma in guinea pigs.

IT **79310-92-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as allergy inhibitor)

RN 79310-92-2 CAPLUS
CN Benzamide, 2-[[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]amino]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



L28 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:443067 CAPLUS
DOCUMENT NUMBER: 77:43067
TITLE: 4-Oxo-1,2,3,4-tetrahydroquinazolines. 3. Synthesis and choleretic activity of quinazoline derivatives
AUTHOR(S): Okumura, Kentaro; Yamada, Yoshihisa; Oine, Toyonari; Tani, Junichi; Ochiai, Takashi; Inoue, Ichizo
CORPORATE SOURCE: Chem. Res. Lab., Tanabe Seiyaku Co., Ltd., Osaka, Japan

Searched by Barb O'Bryen, STIC 308-4291

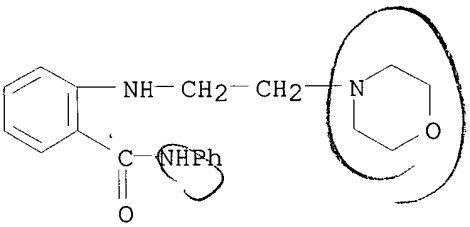
SOURCE: J. Med. Chem. (1972), 15(5), 518-23
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Of a series of 1-tert-aminoacetyl-2-alkyl-3-phenyl-4-oxo-1,2,3,4-tetrahydroquinazolines and their analogs synthesized, the previously reported 1-morpholinoacetyl-2-methyl-3-phenyl-4-oxo-1,2,3,4-tetrahydroquinazoline (I) [19395-74-5] had the greatest choleretic activity. A dose of 2.8 mg I/kg i.v. increased the bile flow by 50% in rats. The max. tolerated dose of I was .geq.300 mg/kg i.p. Substituted quinazolines were reduced with NaBH4 to the hydroquinolines, acylated with ClCH2COCl, and condensed with amines to give the compds. tested. The morpholinoethyl analog of I and certain other compds. contg. the morpholino-CH2C(:O)N(alkyl)Ph moiety also showed choleretic activity.

IT **38520-89-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 38520-89-7 CAPLUS

CN Benzamide, 2-[[2-(4-morpholinyl)ethyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



L28 ANSWER 25 OF 30 USPATFULL

ACCESSION NUMBER: 2002:32592 USPATFULL

TITLE: N-aryl(thio)anthranilic acid amide derivatives, their preparation and their use as VEGF receptor tyrosine kinase inhibitors

INVENTOR(S): Altmann, Karl-Heinz, Reinach, SWITZERLAND
Bold, Guido, Gipf-Oberfrick, SWITZERLAND
Furet, Pascal, Thann, FRANCE
Manley, Paul William, Arlesheim, SWITZERLAND
Wood, Jeanette Marjorie, Biel-Benken, SWITZERLAND
Ferrari, Stefano, Muttenz, SWITZERLAND
Hofmann, Francesco, Bottmingen, SWITZERLAND
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Huth, Andreas, Berlin, GERMANY, FEDERAL REPUBLIC OF
Kruger, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Seidelmann, Dieter, Berlin, GERMANY, FEDERAL REPUBLIC OF
Menrad, Andreas, Oranienburg, GERMANY, FEDERAL REPUBLIC OF
Haberey, Martin, Berlin, GERMANY, FEDERAL REPUBLIC OF
Thierauch, Karl-Heinz, Berlin, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002019414	A1	20020214
APPLICATION INFO.:	US 2001-850434	A1	20010507 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 1999-EP8545, filed on 8 Nov 1999, UNKNOWN

	NUMBER	DATE

PRIORITY INFORMATION:	GB 1998-24579	19981110
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ, 079011027	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2620	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB ##STR1##

Described are compounds of formula (I), wherein W is O or S; X is NR.sub.8; Y is CR.sub.9R.sub.10-(CH.sub.2)n wherein R.sub.9 and R.sub.10 are independently of each other hydrogen or lower alkyl, and n is an integer of from and including 0 to and including 3; or Y is SO.sub.2; R.sub.1 is aryl; R.sub.2 is a mono- or bicyclic heteroaryl group comprising one or more ring nitrogen atoms with the exception that R.sub.2 cannot represent 2-phthalimidyl, and in case of Y.dbd.SO.sub.2 cannot represent 2,1,3-benzothiadiazol-4-yl; any of R.sub.3, R.sub.4, R.sub.5 and R.sub.6, independently of the other, is H or a substituent other than hydrogen; and R.sub.7 and R.sub.8, independently of each other, are H or lower alkyl; or a N-oxide or a pharmaceutically acceptable salt thereof for the preparation of a pharmaceutical product for the treatment of a neoplastic disease which responds to an inhibition of the VEGF receptor tyrosine kinase activity. The compounds of formula (I) can be used for the treatment e.g. of a neoplastic disease, such as a tumor disease, of retinopathy and age-related macular degeneration.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **267891-04-3P 267891-05-4P 267891-06-5P**
267891-07-6P 267891-09-8P 267891-10-1P
267891-11-2P 267891-12-3P 267891-13-4P
267891-14-5P 267891-15-6P 267891-16-7P
267891-17-8P 267891-18-9P 267891-19-0P
267891-20-3P 267891-21-4P 267891-22-5P
267891-23-6P 267891-24-7P 267891-25-8P
267891-26-9P 267891-27-0P 267891-28-1P
267891-29-2P 267891-30-5P 267891-31-6P
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267891-38-3P 267891-39-4P 267891-40-7P
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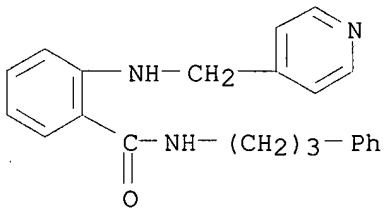
267891-69-0P 267891-70-3P 267891-72-5P
267891-73-6P 267891-74-7P 267891-75-8P
267891-76-9P 267891-77-0P 267891-78-1P
267891-79-2P 267891-80-5P 267891-81-6P
267891-82-7P 267891-83-8P 267891-84-9P
267891-85-0P
(prepn. of anthranilic acid amides as VEGF receptor inhibitors)

RN 267891-04-3 USPATFULL

CN Benzamide, N-(3-phenylpropyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-05-4 USPATFULL
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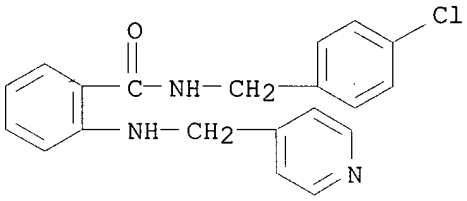

RN 267891-06-5 USPATFULL
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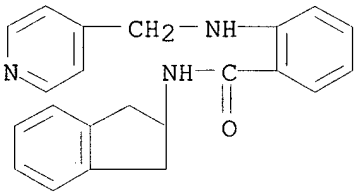

RN 267891-07-6 USPATFULL
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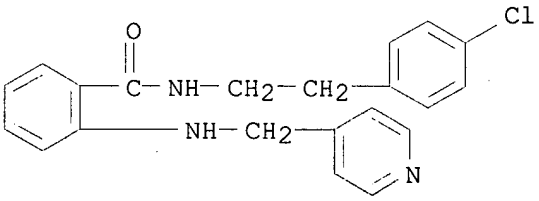
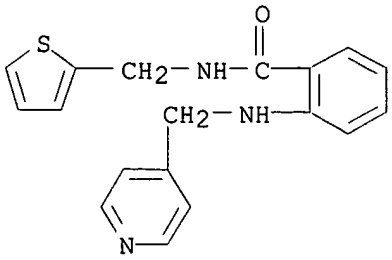
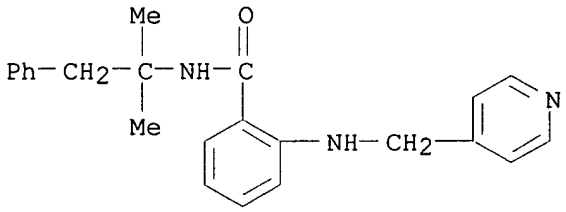


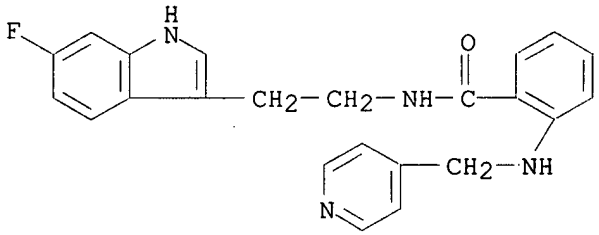
RN 267891-09-8 USPATFULL
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



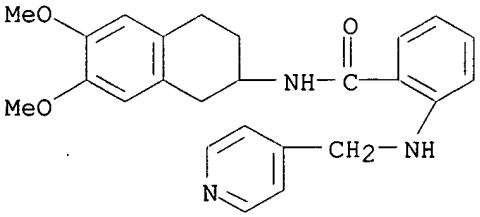
RN 267891-10-1 USPATFULL
CN Benzamide, N-(1,1-dimethyl-2-phenylethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



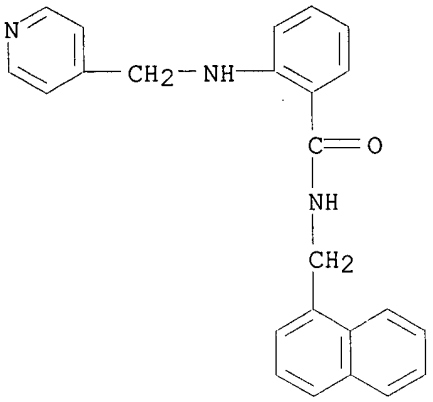
RN 267891-11-2 USPATFULL
CN Benzamide, N-[2-(6-fluoro-1H-indol-3-yl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



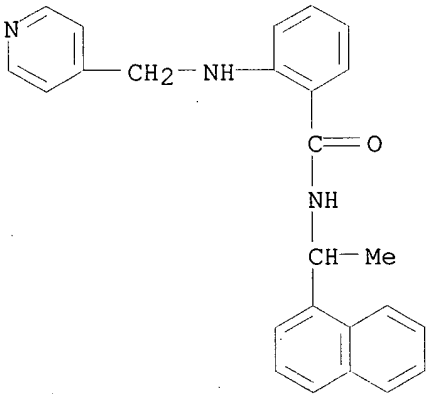
RN 267891-12-3 USPATFULL
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(1,2,3,4-tetrahydro-6,7-dimethoxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)



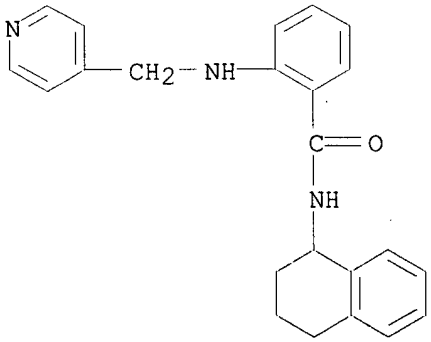
RN 267891-13-4 USPATFULL
CN Benzamide, N-(1-naphthalenylmethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-14-5 USPATFULL
CN Benzamide, N-[1-(1-naphthalenyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-15-6 USPATFULL
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 267891-16-7 USPATFULL
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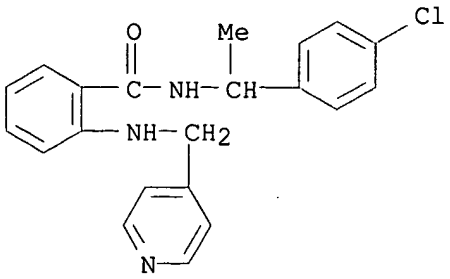
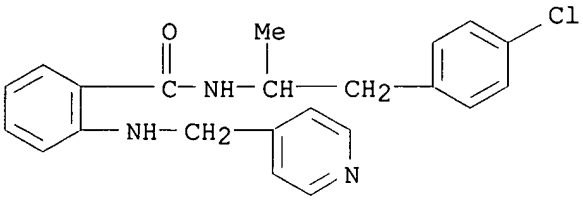
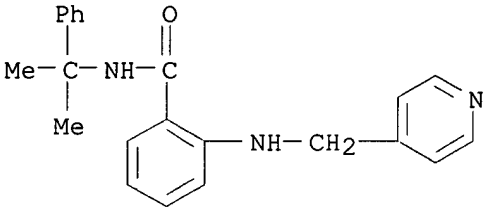


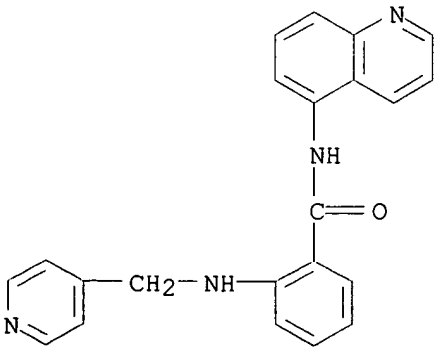
RN 267891-17-8 USPATFULL
CN Benzamide, N-[2-(4-chlorophenyl)-1-methylethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-18-9 USPATFULL
CN Benzamide, N-(1-methyl-1-phenylethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

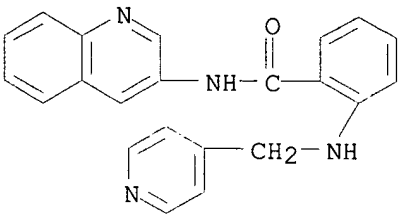


RN 267891-19-0 USPATFULL
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-5-quinolinyl- (9CI) (CA INDEX NAME)

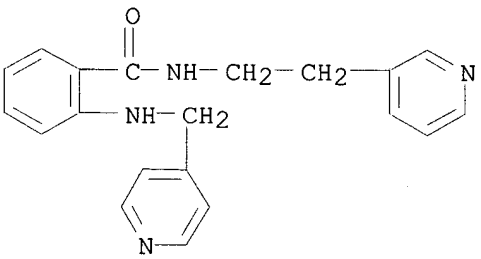


RN 267891-20-3 USPATFULL

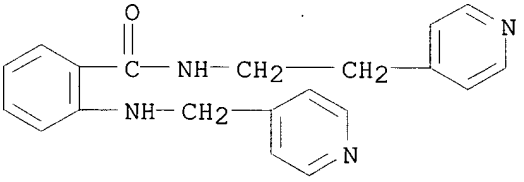
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-3-quinolinyl- (9CI) (CA INDEX NAME)



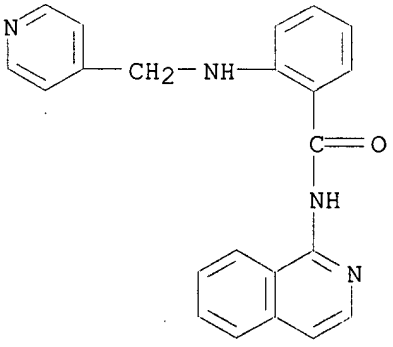
RN 267891-21-4 USPATFULL
CN Benzamide, N-[2-(3-pyridinyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



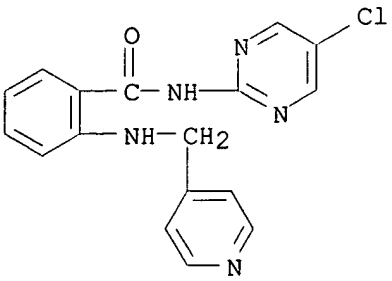
RN 267891-22-5 USPATFULL
CN Benzamide, N-[2-(4-pyridinyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



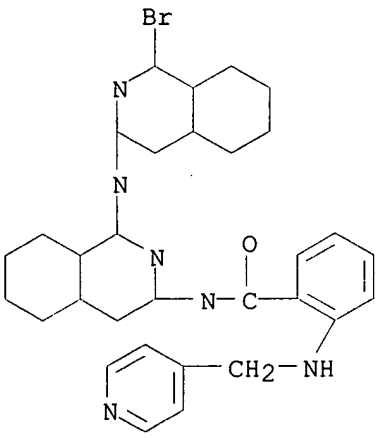
RN 267891-23-6 USPATFULL
CN Benzamide, N-1-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-24-7 USPATFULL
CN Benzamide, N-(5-chloro-2-pyrimidinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

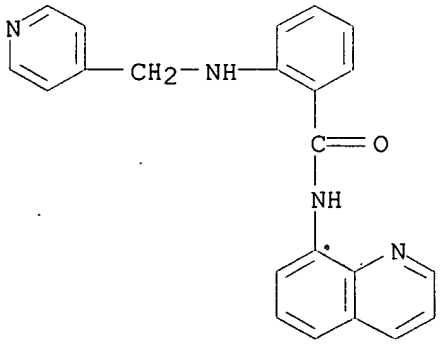


RN 267891-25-8 USPATFULL
CN Benzamide, N-[1-[(1-bromo-3-isoquinolinyl)amino]-3-isoquinolinyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

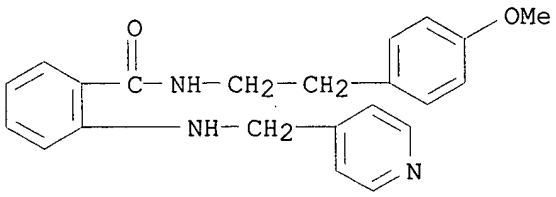


FRAGMENT DIAGRAM IS INCOMPLETE

RN 267891-26-9 USPATFULL
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-8-quinolinyl- (9CI) (CA INDEX NAME)



RN 267891-27-0 USPATFULL
CN Benzamide, N-[2-(4-methoxyphenyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-28-1 USPATFULL
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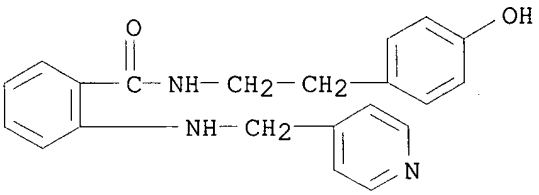
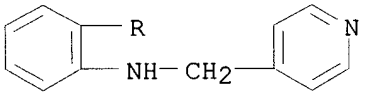
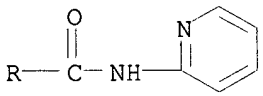
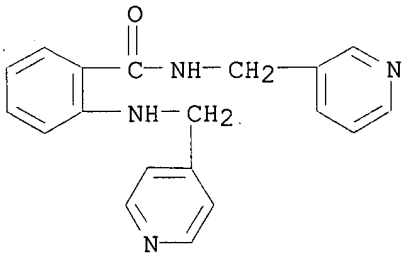


RN 267891-29-2 USPATFULL
CN Benzamide, N-2-pyridinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)





RN 267891-30-5 USPATFULL
CN Benzamide, N-(3-pyridinylmethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-31-6 USPATFULL
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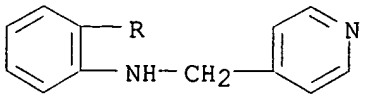
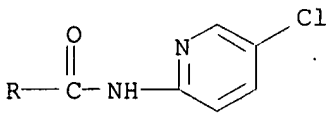
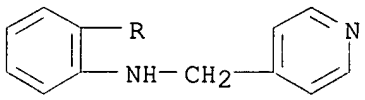
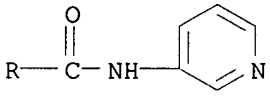




RN 267891-32-7 USPATFULL
CN Benzamide, N-3-pyridinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)





RN 267891-33-8 USPATFULL
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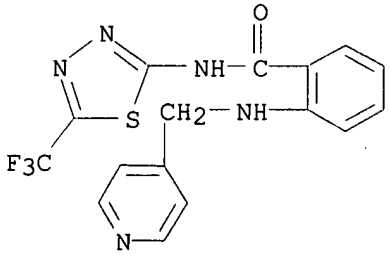

RN 267891-34-9 USPATFULL
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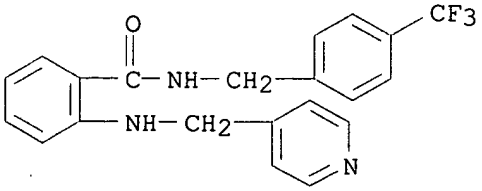
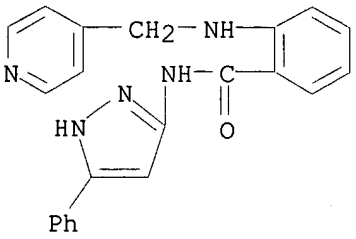
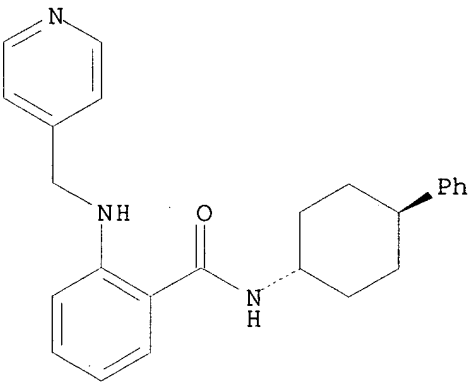


RN 267891-35-0 USPATFULL
CN Benzamide, N-(5-phenyl-1H-pyrazol-3-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

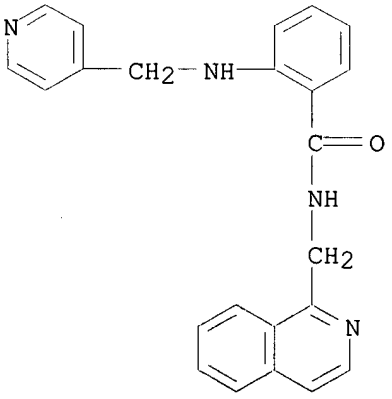


RN 267891-36-1 USPATFULL
CN Benzamide, N-(trans-4-phenylcyclohexyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

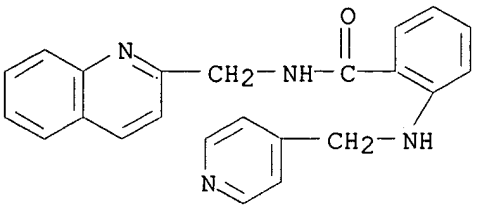
Relative stereochemistry.



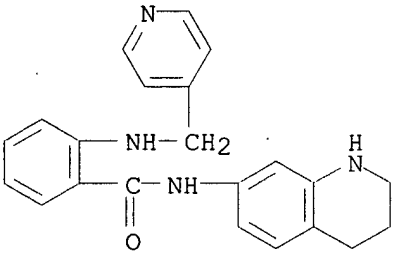
RN 267891-37-2 USPATFULL
CN Benzamide, N-(1-isoquinolinylmethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



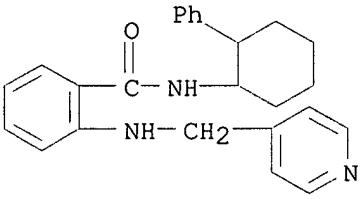
RN 267891-38-3 USPATFULL
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(2-quinolinylmethyl)- (9CI) (CA INDEX NAME)



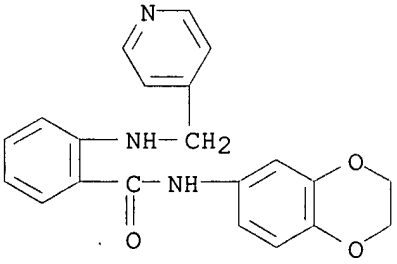
RN 267891-39-4 USPATFULL
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-(1,2,3,4-tetrahydro-7-quinolinyl)- (9CI) (CA INDEX NAME)



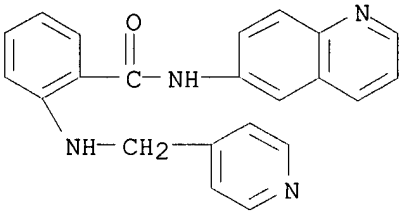
RN 267891-40-7 USPATFULL
CN Benzamide, N-(2-phenylcyclohexyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



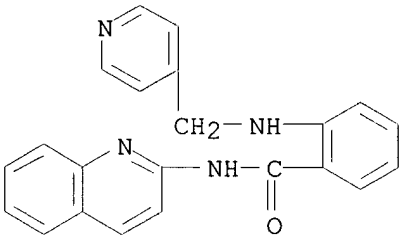
RN 267891-41-8 USPATFULL
CN Benzamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



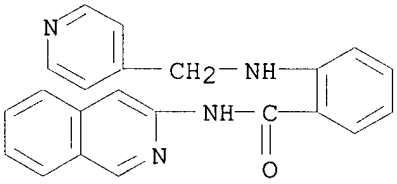
RN 267891-42-9 USPATFULL
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-6-quinolinyl- (9CI) (CA INDEX NAME)



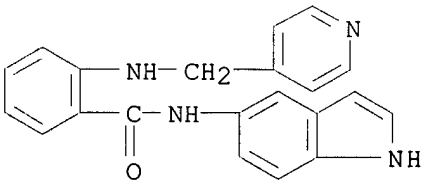
RN 267891-43-0 USPATFULL
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)



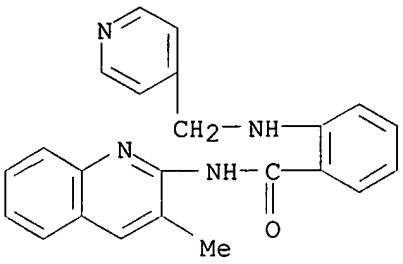
RN 267891-44-1 USPATFULL
CN Benzamide, N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



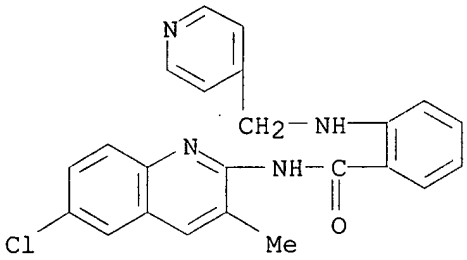
RN 267891-45-2 USPATFULL
CN Benzamide, N-1H-indol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



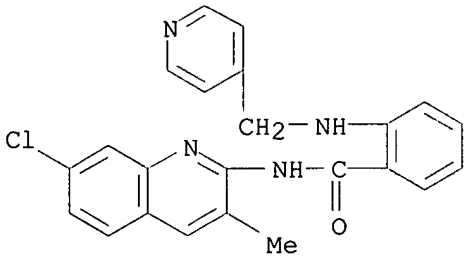
RN 267891-46-3 USPATFULL
CN Benzamide, N-(3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



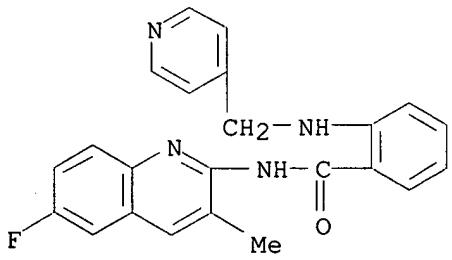
RN 267891-47-4 USPATFULL
CN Benzamide, N-(6-chloro-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]-
(9CI) (CA INDEX NAME)



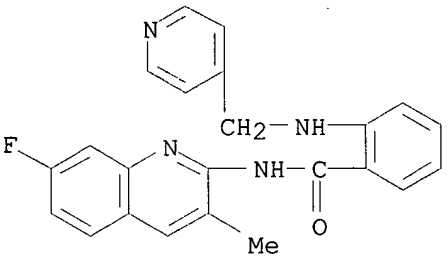
RN 267891-48-5 USPATFULL
CN Benzamide, N-(7-chloro-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]-
(9CI) (CA INDEX NAME)



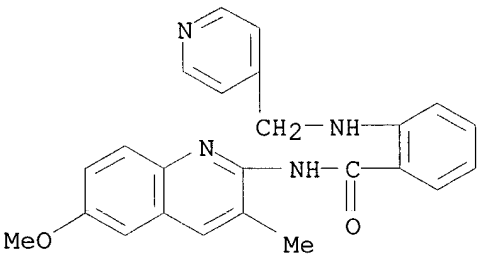
RN 267891-49-6 USPATFULL
CN Benzamide, N-(6-fluoro-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]-
(9CI) (CA INDEX NAME)



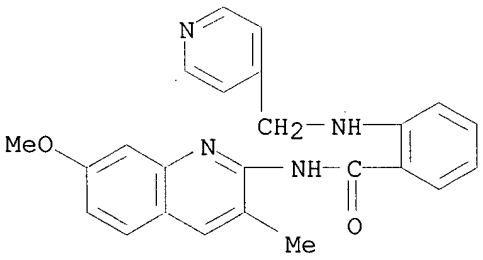
RN 267891-50-9 USPATFULL
CN Benzamide, N-(7-fluoro-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]-
(9CI) (CA INDEX NAME)



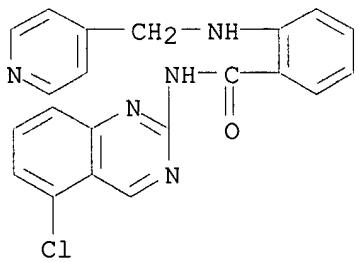
RN 267891-51-0 USPATFULL
CN Benzamide, N-(6-methoxy-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-52-1 USPATFULL
CN Benzamide, N-(7-methoxy-3-methyl-2-quinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

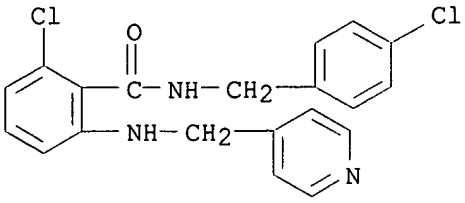


RN 267891-53-2 USPATFULL
CN Benzamide, N-(5-chloro-2-quinazolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

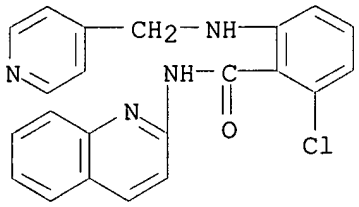


RN 267891-54-3 USPATFULL
CN Benzamide, 2-chloro-N-[(4-chlorophenyl)methyl]-6-[(4-

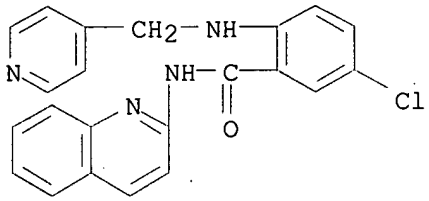
pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



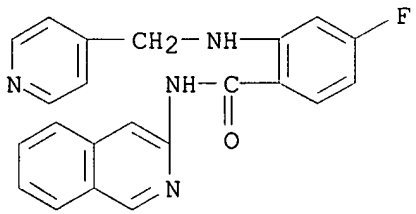
RN 267891-55-4 USPATFULL
CN Benzamide, 2-chloro-6-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI)
(CA INDEX NAME)



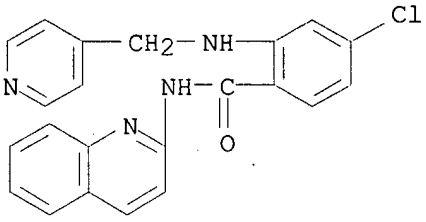
RN 267891-56-5 USPATFULL
CN Benzamide, 5-chloro-2-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI)
(CA INDEX NAME)



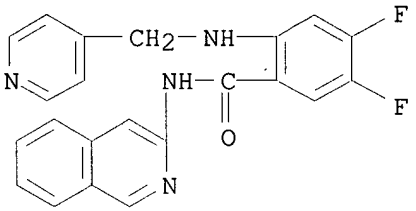
RN 267891-57-6 USPATFULL
CN Benzamide, 4-fluoro-N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI)
(CA INDEX NAME)



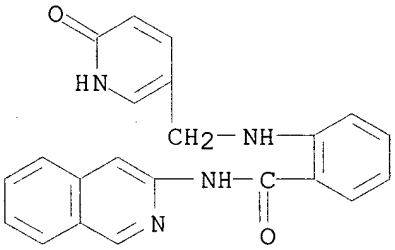
RN 267891-58-7 USPATFULL
CN Benzamide, 4-chloro-2-[(4-pyridinylmethyl)amino]-N-2-quinolinyl- (9CI)
(CA INDEX NAME)



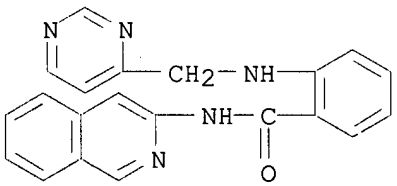
RN 267891-59-8 USPATFULL
CN Benzamide, 4,5-difluoro-N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



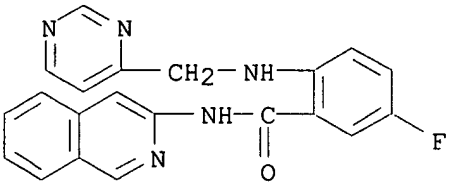
RN 267891-64-5 USPATFULL
CN Benzamide, 2-[[(1,6-dihydro-6-oxo-3-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)



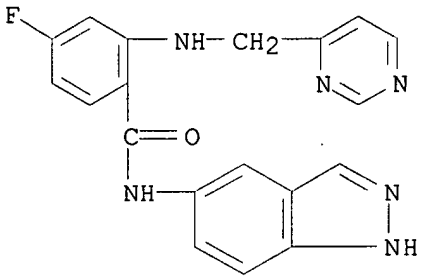
RN 267891-65-6 USPATFULL
CN Benzamide, N-3-isoquinolinyl-2-[(4-pyrimidinylmethyl)amino]- (9CI) (CA INDEX NAME)



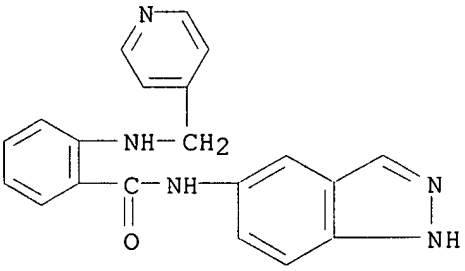
RN 267891-66-7 USPATFULL
CN Benzamide, 5-fluoro-N-3-isoquinolinyl-2-[(4-pyrimidinylmethyl)amino]- (9CI) (CA INDEX NAME)



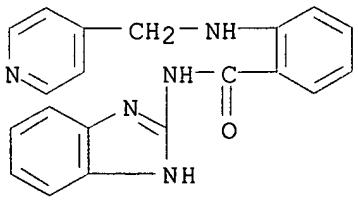
RN 267891-67-8 USPATFULL
CN Benzamide, 4-fluoro-N-1H-indazol-5-yl-2-[(4-pyrimidinylmethyl)amino]- (9CI) (CA INDEX NAME)



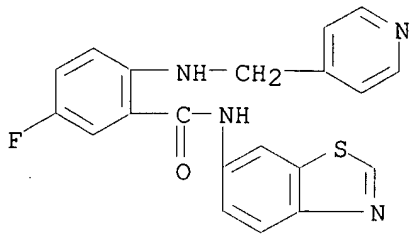
RN 267891-68-9 USPATFULL
CN Benzamide, N-1H-indazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



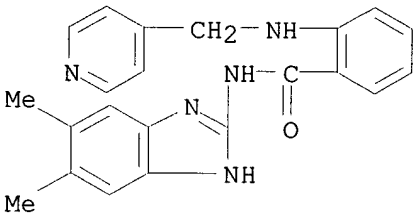
RN 267891-69-0 USPATFULL
CN Benzamide, N-1H-benzimidazol-2-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



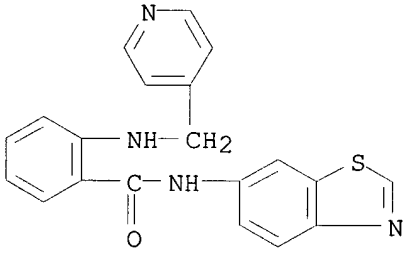
RN 267891-70-3 USPATFULL
CN Benzamide, N-6-benzothiazolyl-5-fluoro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



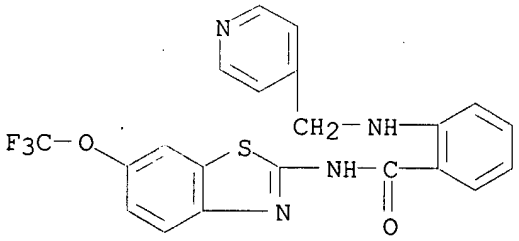
RN 267891-72-5 USPATFULL
CN Benzamide, N-(5,6-dimethyl-1H-benzimidazol-2-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



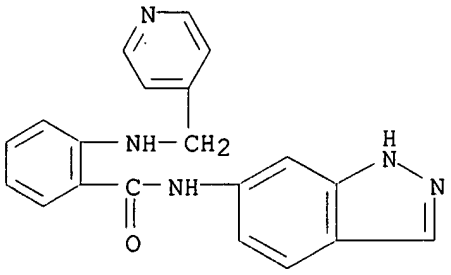
RN 267891-73-6 USPATFULL
CN Benzamide, N-6-benzothiazolyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



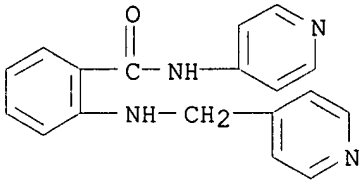
RN 267891-74-7 USPATFULL
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[6-(trifluoromethoxy)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)



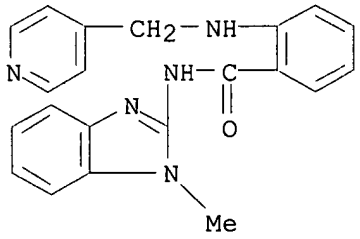
RN 267891-75-8 USPATFULL
CN Benzamide, N-1H-indazol-6-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



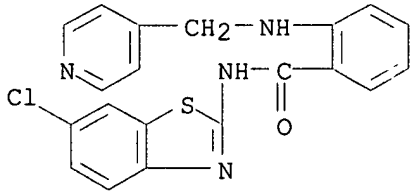
RN 267891-76-9 USPATFULL
CN Benzamide, N-4-pyridinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



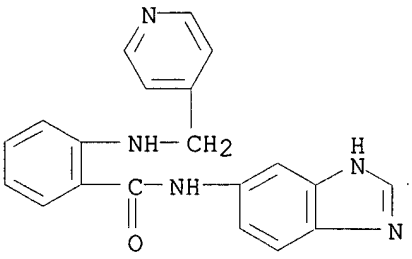
RN 267891-77-0 USPATFULL
CN Benzamide, N-(1-methyl-1H-benzimidazol-2-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



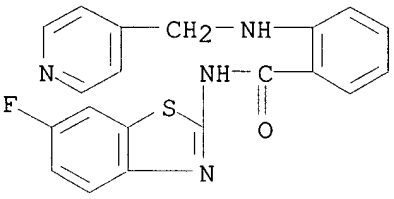
RN 267891-78-1 USPATFULL
CN Benzamide, N-(6-chloro-2-benzothiazolyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



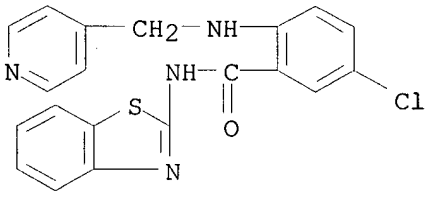
RN 267891-79-2 USPATFULL
CN Benzamide, N-1H-benzimidazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



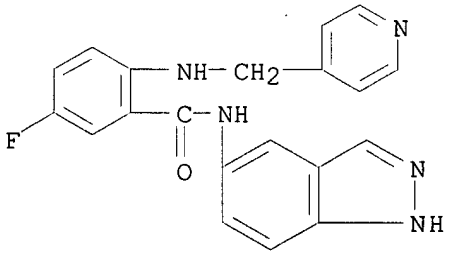
RN 267891-80-5 USPATFULL
CN Benzamide, N-(6-fluoro-2-benzothiazolyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



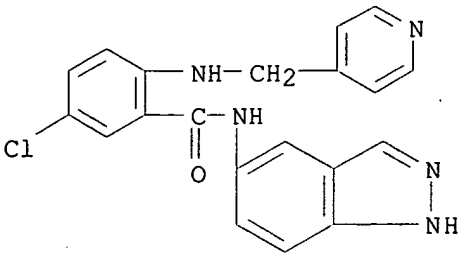
RN 267891-81-6 USPATFULL
CN Benzamide, N-2-benzothiazolyl-5-chloro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



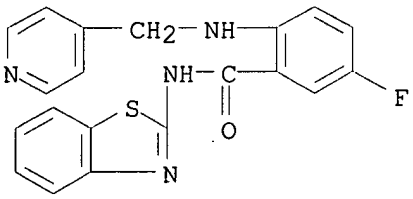
RN 267891-82-7 USPATFULL
CN Benzamide, 5-fluoro-N-1H-indazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



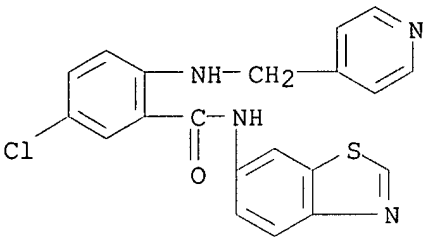
RN 267891-83-8 USPATFULL
CN Benzamide, 5-chloro-N-1H-indazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



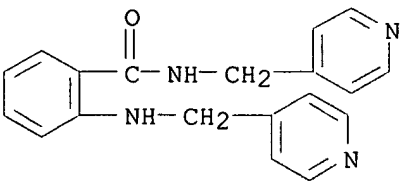
RN 267891-84-9 USPATFULL
CN Benzamide, N-2-benzothiazolyl-5-fluoro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



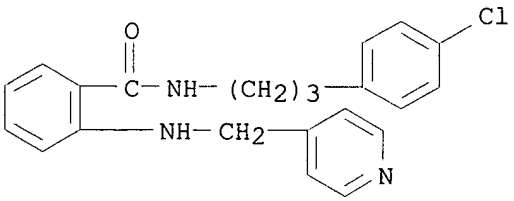
RN 267891-85-0 USPATFULL
CN Benzamide, N-6-benzothiazolyl-5-chloro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



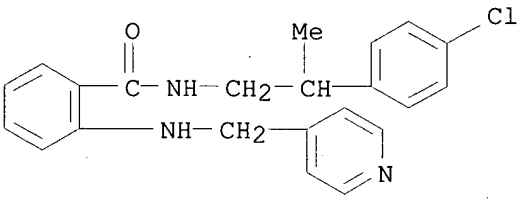
IT **267891-92-9 267891-93-0 267891-94-1**
267891-95-2 267891-96-3 267891-97-4
267891-98-5 267891-99-6 267892-01-3
267892-02-4 267892-03-5 267892-04-6
267892-05-7 267892-06-8 267892-07-9
267892-09-1 267892-11-5 267892-12-6
267892-13-7 267892-14-8 267892-15-9
(prepn. of anthranilic acid amides as VEGF receptor inhibitors)
RN 267891-92-9 USPATFULL
CN Benzamide, N-(4-pyridinylmethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



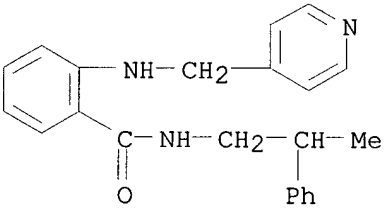
RN 267891-93-0 USPATFULL
CN Benzamide, N-[3-(4-chlorophenyl)propyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



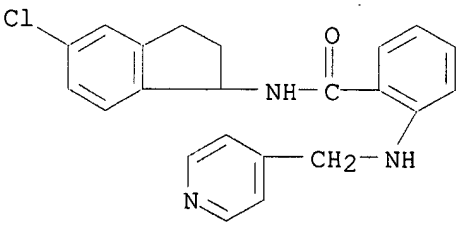
RN 267891-94-1 USPATFULL
CN Benzamide, N-[2-(4-chlorophenyl)propyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



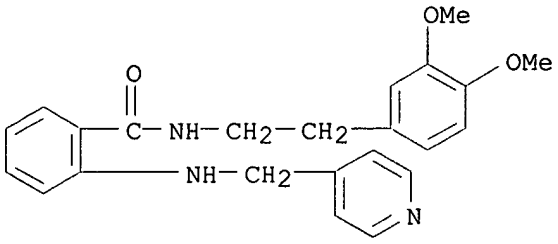
RN 267891-95-2 USPATFULL
CN Benzamide, N-(2-phenylpropyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



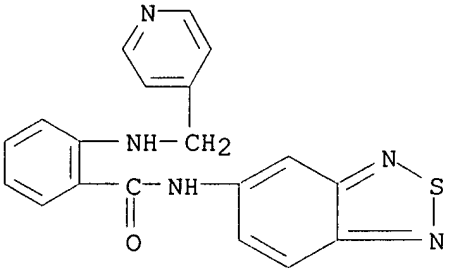
RN 267891-96-3 USPATFULL
CN Benzamide, N-(5-chloro-2,3-dihydro-1H-inden-1-yl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267891-97-4 USPATFULL
CN Benzamide, N-[2-(3,4-dimethoxyphenyl)ethyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

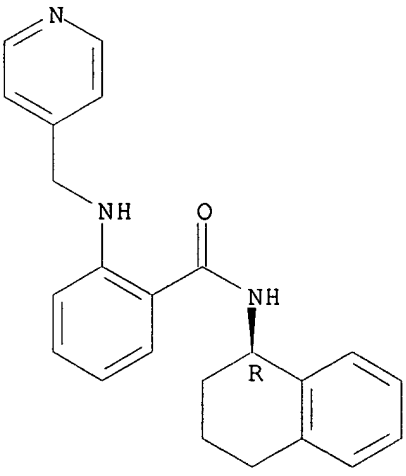


RN 267891-98-5 USPATFULL
CN Benzamide, N-2,1,3-benzothiadiazol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

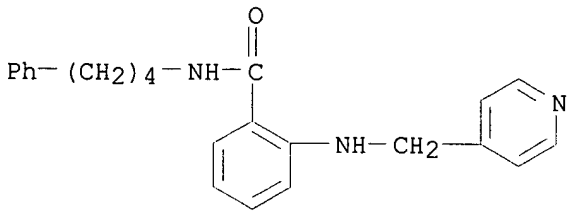


RN 267891-99-6 USPATFULL
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[(1R)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

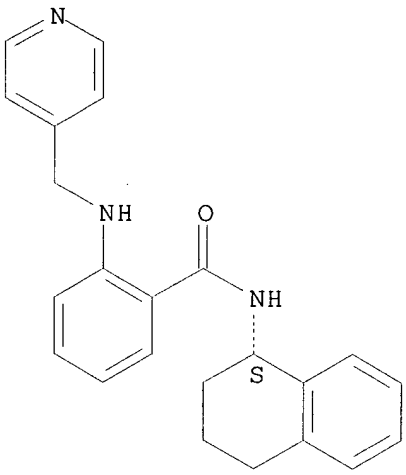


RN 267892-01-3 USPATFULL
CN Benzamide, N-(4-phenylbutyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)

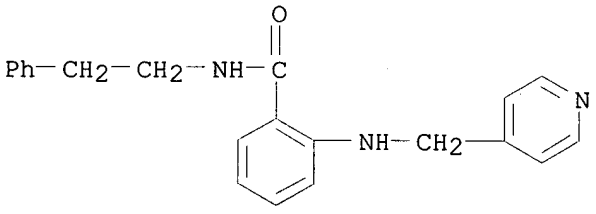


RN 267892-02-4 USPATFULL
CN Benzamide, 2-[(4-pyridinylmethyl)amino]-N-[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]- (9CI) (CA INDEX NAME)

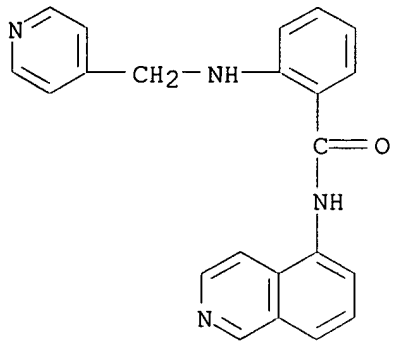
Absolute stereochemistry.



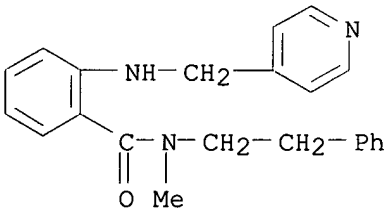
RN 267892-03-5 USPATFULL
CN Benzamide, N-(2-phenylethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



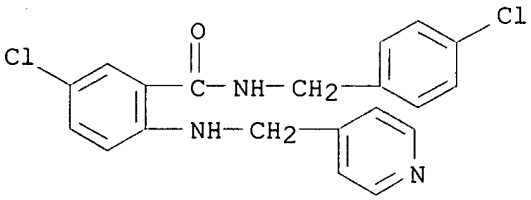
RN 267892-04-6 USPATFULL
CN Benzamide, N-5-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



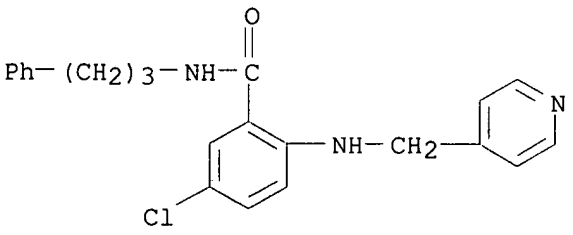
RN 267892-05-7 USPATFULL
CN Benzamide, N-methyl-N-(2-phenylethyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



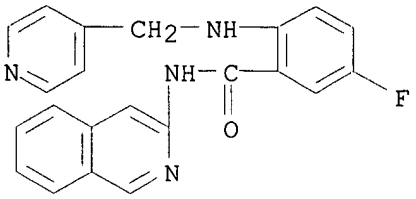
RN 267892-06-8 USPATFULL
CN Benzamide, 5-chloro-N-[(4-chlorophenyl)methyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



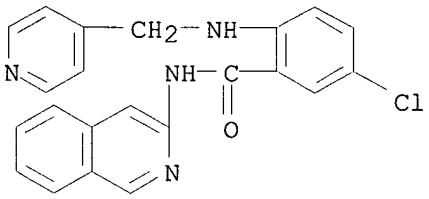
RN 267892-07-9 USPATFULL
CN Benzamide, 5-chloro-N-(3-phenylpropyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



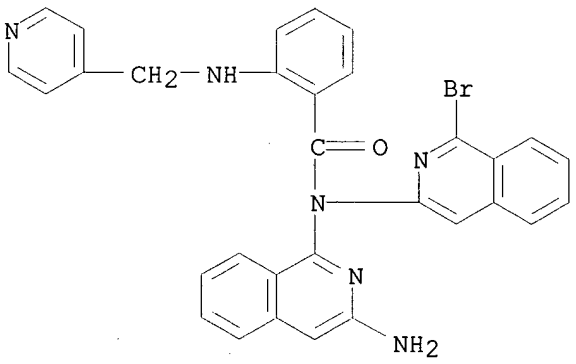
RN 267892-09-1 USPATFULL
CN Benzamide, 5-fluoro-N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



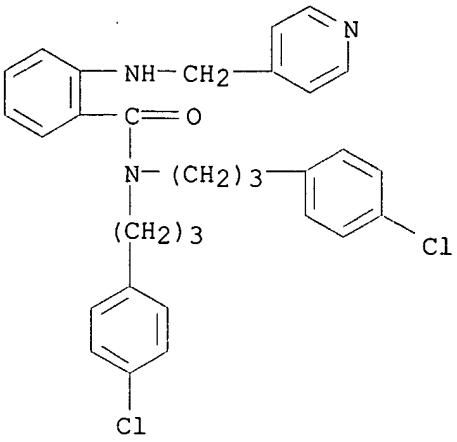
RN 267892-11-5 USPATFULL
CN Benzamide, 5-chloro-N-3-isoquinolinyl-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



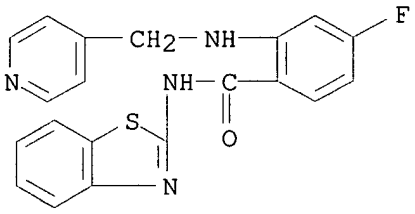
RN 267892-12-6 USPATFULL
CN Benzamide, N-(3-amino-1-isoquinolinyl)-N-(1-bromo-3-isoquinolinyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



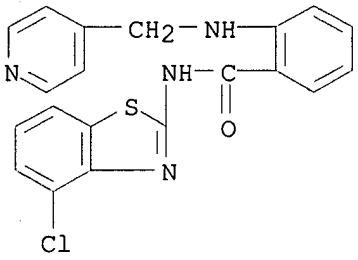
RN 267892-13-7 USPATFULL
CN Benzamide, N,N-bis[3-(4-chlorophenyl)propyl]-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



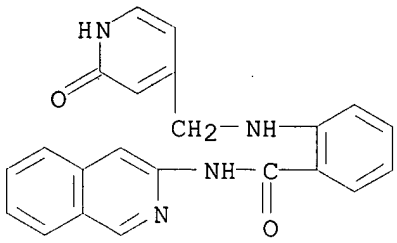
RN 267892-14-8 USPATFULL
CN Benzamide, N-2-benzothiazolyl-4-fluoro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 267892-15-9 USPATFULL
CN Benzamide, N-(4-chloro-2-benzothiazolyl)-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



IT **267891-90-7**
(prepn. of anthranilic acid amides as VEGF receptor inhibitors)
RN 267891-90-7 USPATFULL
CN Benzamide, 2-[[(1,2-dihydro-2-oxo-4-pyridinyl)methyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)



L28 ANSWER 26 OF 30 USPATFULL

ACCESSION NUMBER:	2000:132013 USPATFULL		
TITLE:	Imidazoquinazoline derivatives		
INVENTOR(S):	Onoda, Yasuo, Shizuoka, Japan Nomoto, Yuji, Shizuoka, Japan Ohno, Tetsuji, Shizuoka, Japan Yamada, Koji, Sagamihara, Japan Ichimura, Michio, Mishima, Japan		
PATENT ASSIGNEE(S):	Kyowa Hakko Kogyo Co., Ltd., Tokyo, Japan (non-U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6127541		20001003
	WO 9808848		19980305
APPLICATION INFO.:	US 1998-65061		19980427 (9)
	WO 1997-JP3023		19970829
			19980427 PCT 371 date
			19980427 PCT 102(e) date
	NUMBER		DATE
PRIORITY INFORMATION:	JP 1996-230807		19960830
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Ford, John M.		
LEGAL REPRESENTATIVE:	Fitzpatrick, Cella, Harper & Scinto		
NUMBER OF CLAIMS:	13		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3311		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

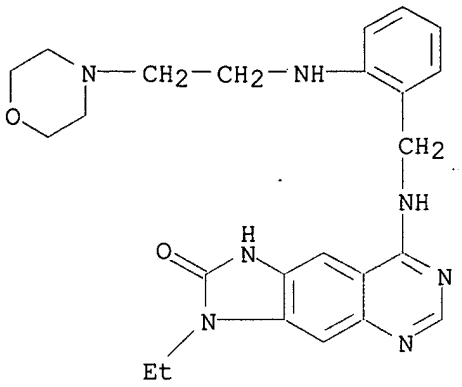
AB Imidazoquinoline derivatives of the formula ##STR1## (wherein X may be O or S) provide selective cyclic guanosine 3',5' monophosphate (cGMP)--specific phosphodiesterase (PDE) inhibitory activity. The compounds are useful for treating or ameliorating cardiovascular disease such as thrombosis, angina pectoris, hypertension, heart failure and arterial sclerosis, as well as asthma, impotence and the like.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **204077-39-4P 204077-40-7P 204077-60-1P**
204077-61-2P
(prepn. of imidazoquinazoline derivs. as cGMP-phosphodiesterase inhibitors)

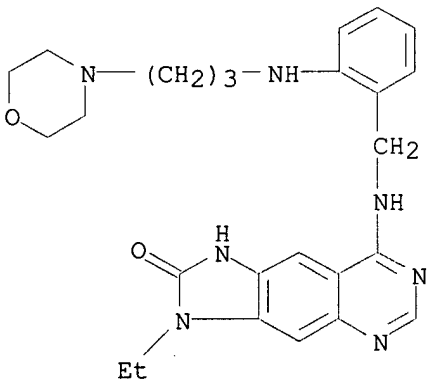
RN 204077-39-4 USPATFULL

CN 2H-Imidazo[4,5-g]quinazolin-2-one, 3-ethyl-1,3-dihydro-8-[[[2-[[2-(4-morpholinyl)ethyl]amino]phenyl]methyl]amino]-, trihydrochloride (9CI) (CA INDEX NAME)



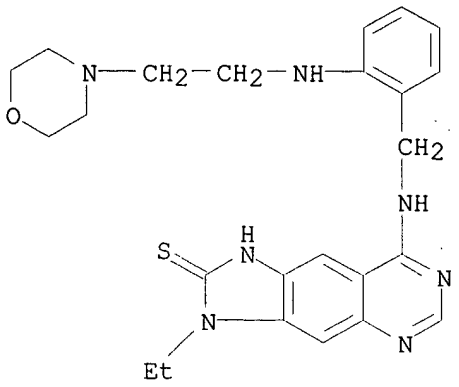
●3 HCl

RN 204077-40-7 USPATFULL
CN 2H-Imidazo[4,5-g]quinazolin-2-one, 3-ethyl-1,3-dihydro-8-[[[2-[[3-(4-morpholinyl)propyl]amino]phenyl]methyl]amino]-, trihydrochloride (9CI) (CA INDEX NAME)



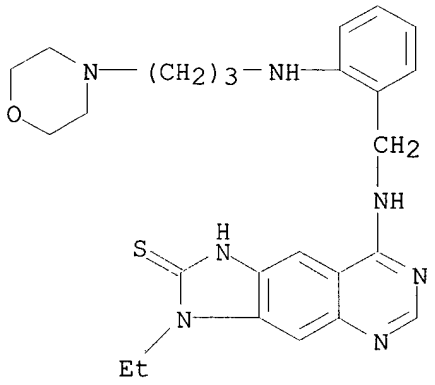
●3 HCl

RN 204077-60-1 USPATFULL
CN 2H-Imidazo[4,5-g]quinazoline-2-thione, 3-ethyl-1,3-dihydro-8-[[[2-[[2-(4-morpholinyl)ethyl]amino]phenyl]methyl]amino]-, trihydrochloride (9CI) (CA INDEX NAME)



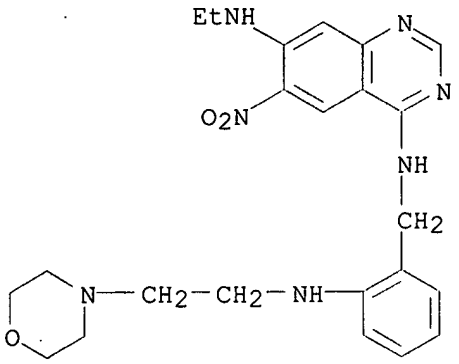
●3 HCl

RN 204077-61-2 USPATFULL
CN 2H-Imidazo[4,5-g]quinazoline-2-thione, 3-ethyl-1,3-dihydro-8-[[[2-[[3-(4-morpholinyl)propyl]amino]phenyl]methyl]amino]-, trihydrochloride (9CI) (CA INDEX NAME)

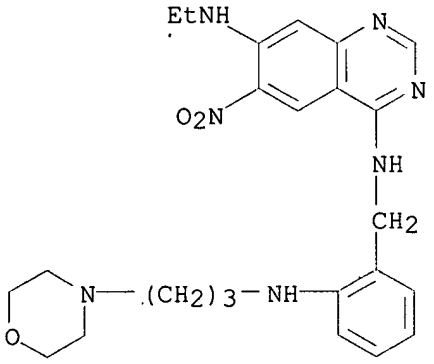


●3 HCl

IT **204078-42-2P 204078-43-3P**
(prepn. of imidazoquinazoline derivs. as cGMP-phosphodiesterase inhibitors)
RN 204078-42-2 USPATFULL
CN 4,7-Quinazolinediamine, N7-ethyl-N4-[[2-[[2-(4-morpholinyl)ethyl]amino]phenyl]methyl]-6-nitro- (9CI) (CA INDEX NAME)



RN 204078-43-3 USPATFULL
CN 4,7-Quinazolinediamine, N7-ethyl-N4-[[2-[[3-(4-morpholinyl)propyl]amino]phenyl]methyl]-6-nitro- (9CI) (CA INDEX NAME)



L28 ANSWER 27 OF 30 USPATFULL

ACCESSION NUMBER:	2000:50707 USPATFULL
TITLE:	Benzamide derivatives and their use as vasopressin antagonists
INVENTOR(S):	Setoi, Hiroyuki, Tsukuba, Japan Ohkawa, Takehiko, Ishigemachi, Japan Zenkoh, Tatsuya, Moriyamachi, Japan Sawada, Hitoshi, Tsukuba, Japan Sato, Kentaro, Tsukuba, Japan Tanaka, Hirokazu, Takarazuka, Japan
PATENT ASSIGNEE(S):	Fujisawa Pharmaceutical Co., Ltd., Osaka, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6054457		20000425	
	WO 9641795		19961227	
APPLICATION INFO.:	US 1997-973103		19971209	(8)
	WO 1996-JP1533		19960606	
			19971209	PCT 371 date
			19971209	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1995-11694	19950609

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Coleman, Brenda
LEGAL REPRESENTATIVE: Oblon, Spivak, McClelland, Maier & Neustadt, P.C.
NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 7051
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to new benzamide derivatives having a vasopressin antagonistic activity, etc, and represented by general formula (I): ##STR1## wherein R.sup.1 is aryl optionally substituted with lower alkoxy, etc., R.sup.2 is lower alkyl, etc.,

R.sup.3 is hydrogen, etc.,

R.sup.4 is lower alkoxy, etc.,

R.sup.5 is hydrogen, etc.,

A is NH, etc.,

E is ##STR2## etc., X is --CH.dbd.CH--, --CH.dbd.N--, or S, and

Y is CH or N,

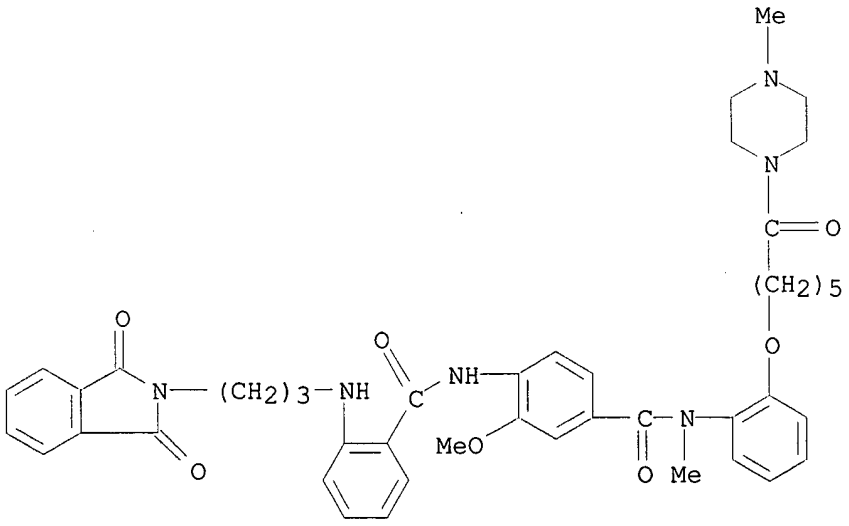
and pharmaceutically acceptable salts thereof, to processes for preparation thereof and to a pharmaceutical composition comprising the same.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **186660-28-6P**
(prepn. of benzamide derivs. as vasopressin antagonists)

RN 186660-28-6 USPATFULL

CN Benzamide, 4-[[2-[[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]amino]benzoyl]amino]-3-methoxy-N-methyl-N-[2-[[6-(4-methyl-1-piperazinyl)-6-oxohexyl]oxy]phenyl]- (9CI) (CA INDEX NAME)



L28 ANSWER 28 OF 30 USPATFULL
ACCESSION NUMBER: 2000:1872 USPATFULL
TITLE: Inhibitors of farnesyl protein transferase

INVENTOR(S): Ding, Charles Z., Plainsboro, NJ, United States
Kim, Soong-Hoon, Plainsboro, NJ, United States
Hunt, John T., Princeton, NJ, United States
Mitt, Toomas, Plainsboro, NJ, United States
Bhide, Rajeev, Langhorne, PA, United States
Leftheris, Katerina, Skillman, NJ, United States
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, Princeton, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6011029		20000104
APPLICATION INFO.:	US 1997-802329		19970220 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-12265P	19960226 (60)
	US 1996-22805P	19960725 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Kifle, Bruck
LEGAL REPRESENTATIVE: Marenberg, Barry J., Hoffman, Frank P.
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 10085

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

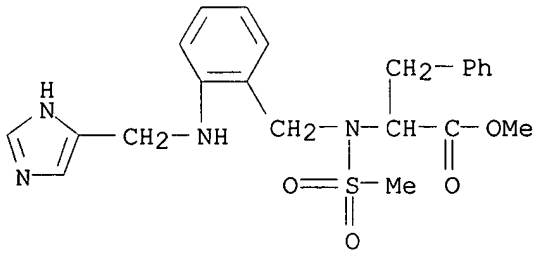
AB The present invention comprises benzodiazepine compounds having farnesyl transferase inhibitory activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **195986-10-8P 195986-11-9P**
(intermediate; prepn. of imidazole-contg. benzodiazepines and analogs as inhibitors of farnesyl protein transferase)

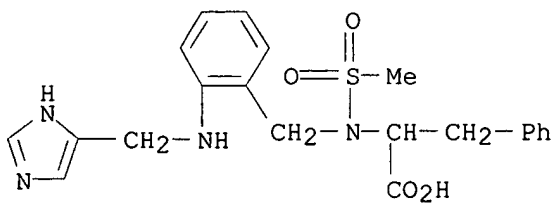
RN 195986-10-8 USPATFULL

CN Phenylalanine, N-[[2-[(1H-imidazol-4-ylmethyl)amino]phenyl]methyl]-N-(methylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 195986-11-9 USPATFULL

CN Phenylalanine, N-[[2-[(1H-imidazol-4-ylmethyl)amino]phenyl]methyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)



L28 ANSWER 29 OF 30 USPATFULL
ACCESSION NUMBER: 1998:14840 USPATFULL
TITLE: Anthranilic acid derivatives
INVENTOR(S): Ozaki, Fumihiro, Ibaraki, Japan
Ishibashi, Keiji, Ibaraki, Japan
Ikuta, Hironori, Ibaraki, Japan
Ishihara, Hiroki, Ibaraki, Japan
Souda, Shigeru, Ibaraki, Japan
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5716993		19980210	
	WO 9518097		19950706	
APPLICATION INFO.:	US 1995-507476		19950914	(8)
	WO 1994-JP2262		19941227	
			19950916	PCT 371 date
			19950916	PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1993-347092	19931227
	JP 1994-299110	19941009

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Owens, Amelia
LEGAL REPRESENTATIVE: Nixon & Vanderhye
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 3902
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides an anthranilic acid derivative having a cGMP-PDE inhibitory activity.

An anthranilic acid derivative represented by the general formula (I) or a pharmacologically acceptable salt thereof: ##STR1## [wherein R.sup.1, R.sup.2, R.sup.3 and R.sup.4 represent the same or different from each other, a hydrogen atom, a halogen atom, a hydroxy group, an optionally halogenated lower alkyl group, an optionally halogenated lower alkoxy group, a nitro group, a hydroxyalkyl group, a cyano group or the like; R.sup.5 and R.sup.6 represent the same or different from each other, a hydrogen atom, a halogen atom, a hydroxy group, a cyano group, an optionally halogenated lower alkyl group, an optionally halogenated lower alkoxy group or the like;

W represents a group of the formula: --N.dbd. or --CH.dbd.; R.sup.7 and R.sup.8 represent the same or different from each other, a hydrogen atom, an optionally halogenated lower alkyl group or the like;

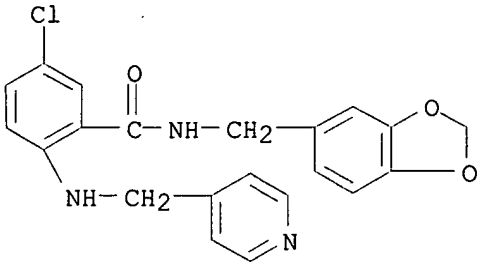
A represents a hydrogen atom, an optionally halogenated lower alkyl group or the like;

Y represents an oxygen atom or a sulfur atom; and

n is an integer of 0 to 6].

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **169043-60-1P**
(prepn. of anthranilamide derivs. as cyclic guanosine monophosphate-phosphodiesterase inhibitors)
RN 169043-60-1 USPATFULL

CN Benzamide, N-(1,3-benzodioxol-5-ylmethyl)-5-chloro-2-[(4-pyridinylmethyl)amino]- (9CI) (CA INDEX NAME)



L28 ANSWER 30 OF 30 USPATFULL

ACCESSION NUMBER:	95:62730 USPATFULL		
TITLE:	Piperazine derivatives and pharmaceuticals containing the same		
INVENTOR(S):	Kumagai, Kazuhiro, Konan, Japan Nagasawa, Masaaki, Konan, Japan Takahashi, Hidenori, Konan, Japan Abe, Tooru, Konan, Japan Omata, Takeshi, Konan, Japan Segawa, Yoshihide, Konan, Japan		
PATENT ASSIGNEE(S):	Zeria Pharmaceutical Co., Ltd., Tokyo, Japan (non-U.S. corporation)		
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5432179		19950711
	WO 9302062		19930204
APPLICATION INFO.:	US 1993-170198		19931230 (8)
	WO 1992-JP833		19920702
			19931230 PCT 371 date
			19931230 PCT 102(e) date
	NUMBER		DATE
PRIORITY INFORMATION:	JP 1991-203755		19910719
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Bernard, Emily		
LEGAL REPRESENTATIVE:	Bacon & Thomas		
NUMBER OF CLAIMS:	4		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1526		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

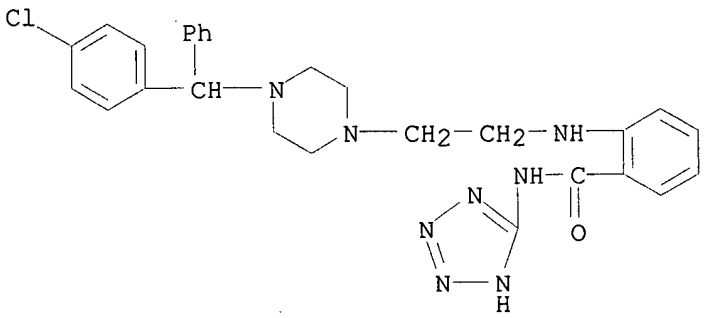
AB A piperazine derivative represented by the following formula: ##STR1## or a pharmaceutically acceptable salt thereof. The compound according to the present invention has strong anti-histaminic and anti-allergic affects and a high degree of safety, and is useful as an anti-histaminic agent, an anti-allergic agent and/or an anti-asthmatic drug. Also disclosed are pharmaceutical compositions containing the compound of formula 1 and a method for the treatment of allergic diseases comprising administering the claimed compound.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **150184-61-5P**
(prepn. of, as drug)

RN 150184-61-5 USPATFULL

CN Benzamide, 2-[[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethyl]amino]-N-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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L21 STR
L23 390 SEA FILE=REGISTRY SSS FUL L21
L27 1 SEA FILE=CAOLD ABB=ON L23

=> d iall hitstr l27

L27 ANSWER 1 OF 1 CAOLD COPYRIGHT 2002 ACS
ACCESSION NUMBER: CA64:8153f CAOLD
TITLE: pyridylethylated anthranilamides
AUTHOR NAME: Schipper, Edgar
PATENT ASSIGNEE: Shulton, Inc.
DOCUMENT TYPE: Patent

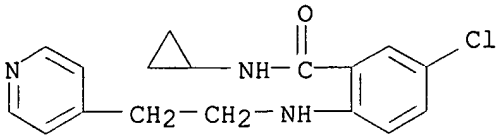
	PATENT NO.	KIND	DATE
PI	US 3226394		1965

INDEX TERM:
2385-25-3 4943-68-4 4943-69-5 4943-70-8 4943-71-9
4943-72-0 4943-73-1 **4943-74-2** 4943-75-3
4943-76-4 4943-77-5 4943-78-6 4943-79-7
4943-80-0 4943-81-1 4943-82-2 4943-83-3 4943-85-5
4943-86-6 **4959-58-4** **4959-59-5**
4959-60-8 **5004-85-3** 5004-86-4 5004-87-5

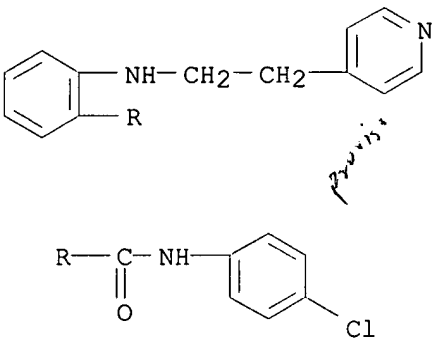
IT **4943-74-2** **4943-76-4** **4959-58-4**

4959-59-5 5004-85-3

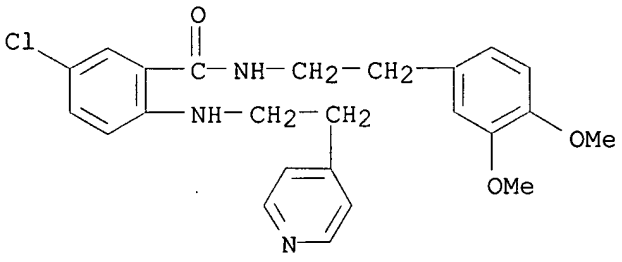
RN 4943-74-2 CAOLD
CN Benzamide, 5-chloro-N-cyclopropyl-2-[[2-(4-pyridyl)ethyl]amino]- (7CI, 8CI) (CA INDEX NAME)



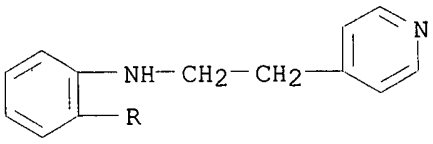
RN 4943-76-4 CAOLD
CN Benzanilide, 4'-chloro-2-[[2-(4-pyridyl)ethyl]amino]- (7CI, 8CI) (CA INDEX NAME)

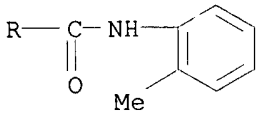


RN 4959-58-4 CAOLD
CN Benzamide, 5-chloro-N-(3,4,-dimethoxyphenethyl)-2-[[2-(4-pyridyl)ethyl]amino]- (7CI, 8CI) (CA INDEX NAME)

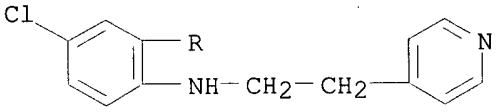


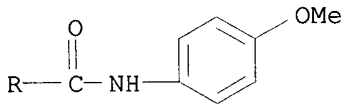
RN 4959-59-5 CAOLD
CN o-Benzotoluidide, 2-[[2-(4-pyridyl)ethyl]amino]- (7CI, 8CI) (CA INDEX NAME)





RN 5004-85-3 CAOLD
CN p-Benzanisidide, 5-chloro-2-[[2-(4-pyridyl)ethyl]amino]- (7CI, 8CI) (CA INDEX NAME)





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